

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:sssptal202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	3	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	4	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	5	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	6	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	7	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	8	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	9	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	10	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	11	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	12	JUN 25	CA/CAPLUS and USPAT databases updated with IPC reclassification data
NEWS	13	JUN 30	AEROSPACE enhanced with more than 1 million U.S. patent records
NEWS	14	JUN 30	EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations
NEWS	15	JUN 30	STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in
NEWS	16	JUN 30	STN AnaVist enhanced with database content from EPFULL
NEWS	17	JUL 28	CA/CAPLUS patent coverage enhanced
NEWS	18	JUL 28	EPFULL enhanced with additional legal status information from the epoline Register
NEWS	19	JUL 28	IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS	20	JUL 28	STN Viewer performance improved
NEWS	21	AUG 01	INPADOCDB and INPAFAMDB coverage enhanced
NEWS	22	AUG 13	CA/CAPLUS enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS	23	AUG 15	CAOLD to be discontinued on December 31, 2008
NEWS	24	AUG 15	CAPLUS currency for Korean patents enhanced
NEWS	25	AUG 25	CA/CAPLUS, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching
NEWS	26	AUG 27	CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS	27	SEP 18	Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS	28	SEP 25	CA/CAPLUS current-awareness alert options enhanced

to accommodate supplemental CAS indexing of  
 exemplified prophetic substances  
 NEWS 29 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and  
 and Korean patents enhanced  
 NEWS 30 SEP 29 IFICLS enhanced with new super search field  
 NEWS 31 SEP 29 EMBASE and EMBAL enhanced with new search and  
 display fields  
 NEWS 32 SEP 30 CAS patent coverage enhanced to include exemplified  
 prophetic substances identified in new Japanese-  
 language patents

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
 AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
 NEWS LOGIN Welcome Banner and News Items  
 NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that  
 specific topic.

All use of STN is subject to the provisions of the STN Customer  
 agreement. Please note that this agreement limits use to scientific  
 research. Use for software development or design or implementation  
 of commercial gateways or other similar uses is prohibited and may  
 result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 16:24:22 ON 02 OCT 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:24:40 ON 02 OCT 2008  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
 provided by InfoChem.

STRUCTURE FILE UPDATES: 1 OCT 2008 HIGHEST RN 1056151-32-6  
 DICTIONARY FILE UPDATES: 1 OCT 2008 HIGHEST RN 1056151-32-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
 predicted properties as well as tags indicating availability of  
 experimental property data in the original document. For information  
 on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

10/562,112

=>

Uploading C:\Program Files\Stnexp\Queries\10562112c.str



chain nodes :  
7 8 9 10  
ring nodes :  
1 2 3 4 5 6  
chain bonds :  
2-7 3-10 7-8 8-9  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6  
exact/norm bonds :  
2-7 3-10 7-8 8-9  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6  
isolated ring systems :  
containing 1 :

G1:CN,X

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 CN,X

Structure attributes must be viewed using STN Express query preparation.

=> s 11 full

FULL SEARCH INITIATED 16:24:58 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1806059 TO ITERATE

55.4% PROCESSED 1000000 ITERATIONS 214978 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.11

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS: 1806059 TO 1806059  
PROJECTED ANSWERS: 386397 TO 390127

L2 214978 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	178.36	178.57

FILE 'CAPLUS' ENTERED AT 16:25:17 ON 02 OCT 2008  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 2 Oct 2008 VOL 149 ISS 14  
FILE LAST UPDATED: 1 Oct 2008 (20081001/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>



=&gt; s 12 and quinazol?

2231 L2

15391 QUINAZOL?

L3

72 L2 AND QUINAZOL?

=&gt; d 13 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 72 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:1009115 CAPLUS

DOCUMENT NUMBER: 149:288806

TITLE: 6-Hydroxydibenzodiazepinones useful as hepatitis C virus inhibitors and their preparation and use in the treatment of hepatitis C

INVENTOR(S): Raboison, Pierre Jean-Marie Bernard; McGowan, David Craig; Vandyck, Koen; Vendeville, Sandrine Marie Helene; Bonfanti, Jean-Francois; Van den Broeck, Walter Marcel Mathilde; Nyanguile, Origene; Amssoms, Katie Ingrid Eduard; Hu, Lili; Boutton, Carlo Willy Maurice; Tahri, Abdellah; Last, Stefaan Julien; Rombauts, Klara; Rebstock, Anne-Sophie Helene Marie; Fortin, Jerome Michel Claude; Muller, Philippe

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCI Int. Appl., 228pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

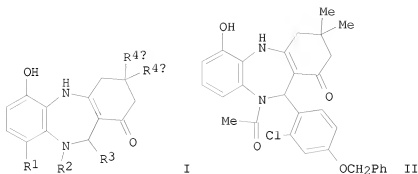
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008099019	A1	20080821	WO 2008-EP51902	20080215
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.:

EP 2007-102519

A 20070216

GI



AB Inhibitors of HCV replication of formula I the stereoisomers, prodrugs, tautomers, racemics, salts, hydrates or solvates thereof. The invention also relates to processes for preparing said compds., pharmaceutical compns. containing them and their use in HCV therapy. Compds. of formula I wherein R<sub>1</sub> is H, halo, CF<sub>3</sub>, (un)substituted C1-6 alkyl; R<sub>2</sub> is H, acyl, acylcarbonyl, alkoxy carbonyl, etc.; R<sub>3</sub> is (un)substituted C1-6 alkyl, C3-7 cycloalkyl, aryl, etc.; R<sub>4a</sub> and R<sub>4b</sub> are independently C1-6 alkyl; R<sub>4a</sub>R<sub>4b</sub> taken together to form C3-7 cycloalkyl; and their stereoisomers, prodrugs, tautomers, racemics, salts, hydrates and solvates thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their HCV inhibitory activity. From the assay, it was determined that compound II exhibited EC<sub>50</sub> value of 1.43 μM and IC<sub>50</sub> value of 0.05 μM.

IT 1048336-37-3P 1048336-43-1P

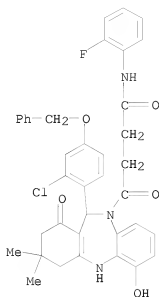
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of hydroxydibenodiazepinones as hepatitis C virus inhibitors useful in the treatment of HCV infection)

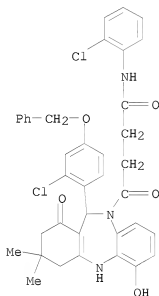
RN 1048336-37-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

10/562,112



RN 1048336-43-1 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

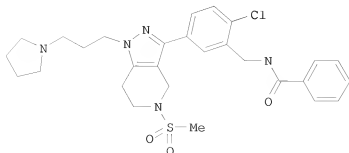
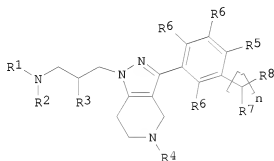


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 72 CAPLUS COPYRIGHT 2008 ACS ON STN  
ACCESSION NUMBER: 2008:1006407 CAPLUS  
DOCUMENT NUMBER: 149:288778  
TITLE: 1-[3-(Monocyclic amino)propyl]-4,5,6,7-tetrahydro-1H-  
pyrazolo[4,3-c]pyridines as modulators of cathepsin S  
and their preparation, pharmaceutical compositions and

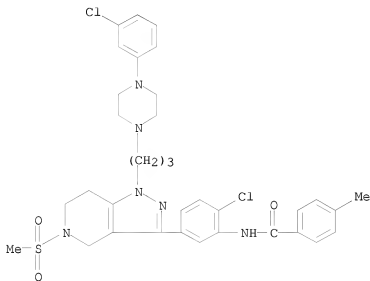
use in the treatment of CatS-mediated diseases  
 INVENTOR(S): Allen, Darin; Ameriks, Michael K.; Axe, Frank U.;  
 Burdett, Matthew; Cai, Hui; Choong, Ingrid; Edwards,  
 James P.; Lew, Willard; Meduna, Steven P.  
 PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 177pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008100635	A1	20080821	WO 2008-US2165	20080215
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.: US 2007-889982P P 20070215 US 2008-31579 A 20080214 GI				



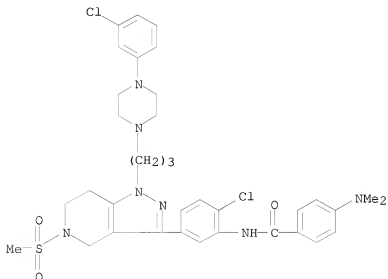
- AB Monocyclic aminopropyl tetrahydro-pyrazolo-pyridine compds. of formula I are described, which are useful as cathepsin S modulators. Such compds. may be used in pharmaceutical compns. and methods for the treatment of disease states, disorders, and conditions mediated by cathepsin S activity, such as psoriasis, pain, multiple sclerosis, atherosclerosis, and rheumatoid arthritis. Compds. of formula I wherein R1R2 is taken together to form (un)substituted monocyclic heterocycloalkyl; R3 is H, OH, Cl-4 alkyl, O-Cl-4 alkyl, and O-CO-Cl-4 alkyl; R4 is H, Cl-4 alkyl, (un)substituted CO-Cl-4 alkyl, COCF3, SO2-Cl-4 alkyl, etc.; R5 is halo and CF3; R6 is and F; n is 0, 1, and 2; R7 is H and Cl-4 alkyl; R8 is CONH2 and derivs., NH-acyl and derivs., NH2 and derivs., OH and derivs., etc.; and their pharmaceutically acceptable salts, prodrugs, and metabolites thereof, are claimed. Example compound II was prepared by N-alkylation of 2-chloro-5-(5-methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)benzonitrile; the resulting 2-chloro-5-[1-(2-[1,3]-dioxolan-2-ylethyl)-5-methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]benzonitrile underwent hydrolysis to give the corresponding aldehyde, which underwent reductive amination with pyrrolidine to give 2-chloro-5-(5-methanesulfonyl-1-(3-pyrrolidin-1-ylpropyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)benzonitrile, which underwent hydrogenation to give the corresponding benzylamine, which underwent amidation with benzoyl chloride to give compound II. All the invention compds. were evaluated for their CatS modulatory activity. From the assay, it was determined that compound II exhibited IC50 value of 0.32  $\mu$ M.
- IT 1048034-21-4P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methylbenzamide 1048034-22-5P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(dimethylamino)benzamide 1048034-23-6P, 4-Chloro-N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]benzamide 1048034-24-7P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-cyanobenzamide 1048034-43-0P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-nitrobenzamide 1048034-44-1P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methoxybenzamide 1048034-45-2P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-ethylbenzamide 1048034-46-3P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-trifluoromethylbenzamide 1048034-47-4P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-fluorobenzamide 1048034-48-5P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]benzamide
- RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (prophetic drug candidate; preparation of (aminopropyl)tetrahydropyrazolopyridines as cathepsin S modulators useful in the treatment of CatS-mediated diseases)
- RN 1048034-21-4 CAPLUS
- CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-

4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methyl- (CA INDEX NAME)



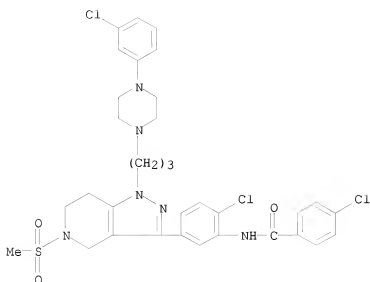
RN 1048034-22-5 CAPLUS

CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(dimethylamino)- (CA INDEX NAME)



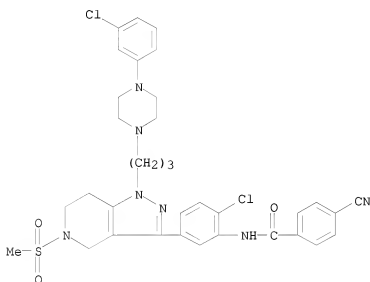
RN 1048034-23-6 CAPLUS

CN Benzamide, 4-chloro-N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]- (CA INDEX NAME)



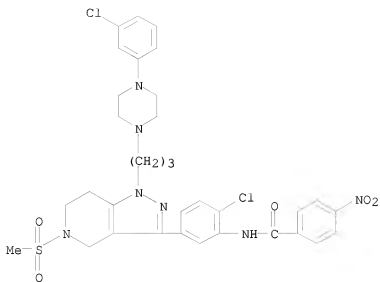
RN 1048034-24-7 CAPLUS

CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-cyano- (CA INDEX NAME)



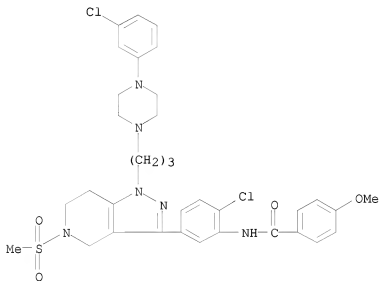
RN 1048034-43-0 CAPLUS

CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-nitro- (CA INDEX NAME)



RN 1048034-44-1 CAPLUS

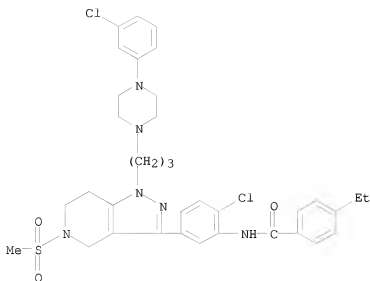
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methoxy- (CA INDEX NAME)



RN 1048034-45-2 CAPLUS

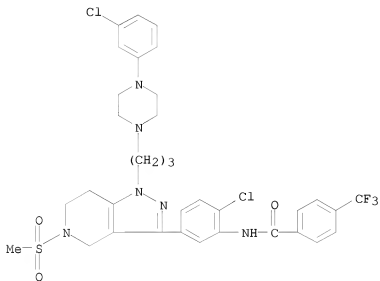
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-ethyl- (CA INDEX NAME)





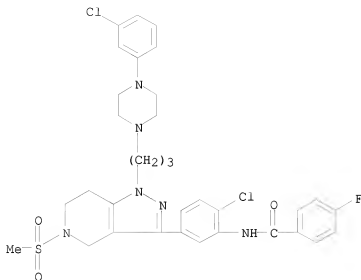
RN 1048034-46-3 CAPLUS

CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)

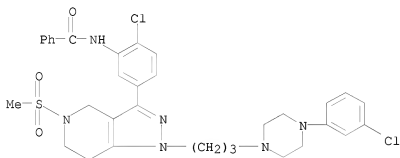


RN 1048034-47-4 CAPLUS

CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-fluoro- (CA INDEX NAME)



RN 1048034-48-5 CAPLUS  
 CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:1005647 CAPLUS

DOCUMENT NUMBER: 149:288776

TITLE: Preparation of heterocyclylpropyl tetrahydropyrazolopyridines as modulators of cathepsin S.

INVENTOR(S): Allen, Darin; Ameriks, Michael K.; Axe, Frank U.; Burdett, Matthew; Cai, Hui; Choong, Ingrid; Edwards, James P.; Lew, Willard; Meduna, Steven P.

PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 166pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

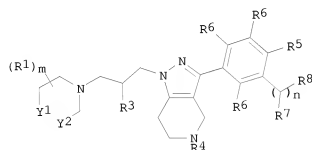
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008100620	A2	20080821	WO 2008-US2110	20080215
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRIORITY APPLN. INFO.:			US 2007-889987P	P 20070215
			US 2008-31597	A 20080214

GI



I

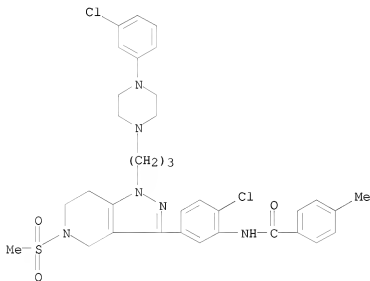
- AB Title compds. [I; Y1Y2 = CRaRbCH2, CRaRb, NRbCH2; Ra = H, OH; Rb = Rc, CORc, SO2Rc; Rc = (substituted) cycloalkyl, Ph, naphthyl, heterocycloalkyl, heteroaryl; m = 0-2; R1 = alkyl, OH, alkoxy, halo, CF3, amino; R3 = H, OH, alkyl, alkoxy, alkylcarbonyloxy; R4 = H, alkyl, COCF3, alkylsulfonyl, SO2CF3, CONH2, COCONH2, (substituted) alkylcarbonyl, etc.; R5 = halo, CF3; R6 = H, F; n = 1, 2; R7 = H, alkyl; R8 = CON(R9)2, N(R9)2, OY, SY, OCH2Y, (substituted) heteroaryl, etc.; R9 = H, alkyl; Y = (substituted) cycloalkyl, Ph, styrenyl, naphthyl, heterocycloalkyl, heteroaryl], were prepared. Thus, N-[2-chloro-5-[1-[2-hydroxy-3-[4-(2-oxopyrrolidin-1-yl)piperidin-1-yl]propyl]-5-methylsulfonyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]benzyl]-4-fluorobenzamide (7 step preparation given) inhibited human cathepsin S with IC50 = 0.02 μM.
- IT 1048034-21-4P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methylbenzamide 1048034-22-5P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(dimethylamino)benzamide 1048034-23-6P, 4-Chloro-N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]benzamide 1048034-24-7P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-

yl]phenyl]-4-cyanobenzamide 1048034-43-0P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-nitrobenzamide 1048034-44-1P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methoxybenzamide 1048034-45-2P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-ethylbenzamide 1048034-46-3P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-trifluoromethylbenzamide 1048034-47-4P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-fluorobenzamide 1048034-48-5P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]benzamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of heterocyclylpropyl tetrahydropyrazolopyridines as modulators of cathepsin S)

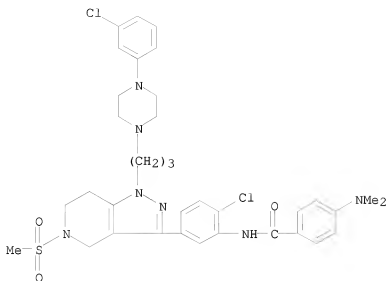
RN 1048034-21-4 CAPLUS

CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methyl- (CA INDEX NAME)



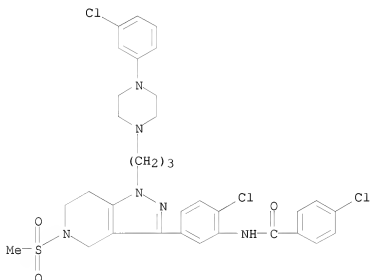
RN 1048034-22-5 CAPLUS

CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(dimethylamino)- (CA INDEX NAME)



RN 1048034-23-6 CAPLUS

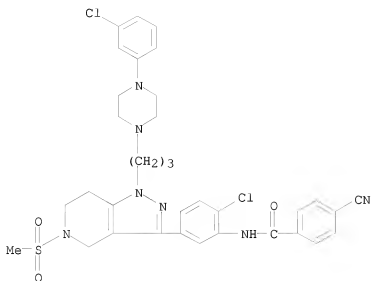
CN Benzamide, 4-chloro-N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]- (CA INDEX NAME)



RN 1048034-24-7 CAPLUS

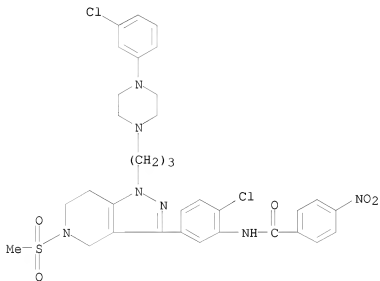
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-cyano- (CA INDEX NAME)

10/562,112



RN 1048034-43-0 CAPLUS

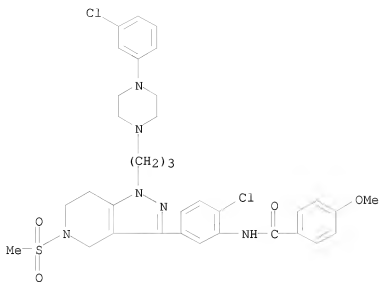
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-nitro- (CA INDEX NAME)



RN 1048034-44-1 CAPLUS

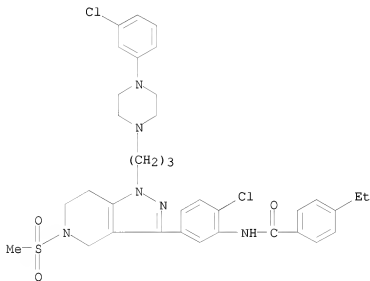
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methoxy- (CA INDEX NAME)

10/562,112



RN 1048034-45-2 CAPLUS

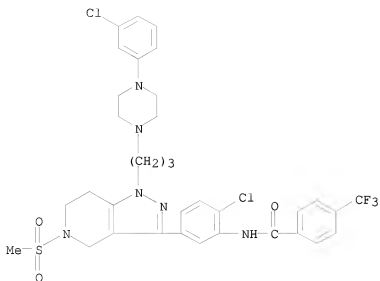
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-ethyl- (CA INDEX NAME)



RN 1048034-46-3 CAPLUS

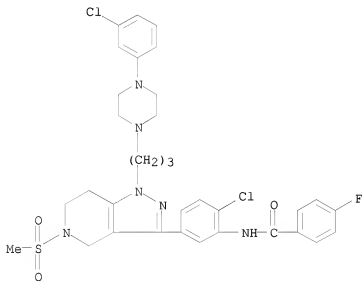
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)

10/562,112



RN 1048034-47-4 CAPLUS

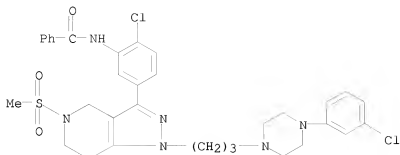
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-fluoro- (CA INDEX NAME)



RN 1048034-48-5 CAPLUS

CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]- (CA INDEX NAME)





L3 ANSWER 4 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:508498 CAPLUS  
 DOCUMENT NUMBER: 148:472019  
 TITLE: Preparation of isoxazole compounds as therapeutic  
 farnesoid X receptor agonists  
 INVENTOR(S): Navas, Frank; Spearing, Paul Kenneth  
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 95pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080096921	A1	20080424	US 2007-876906	20071023
WO 2008051942	A2	20080502	WO 2007-US82170	20071023
WO 2008051942	A3	20080703		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:  
 US 2006-853886P P 20061024  
 US 2006-855337P P 20061030  
 US 2007-911954P P 20070416

OTHER SOURCE(S): MARPAT 148:472019  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention provides novel substituted isoxazole compds. of general formula I (wherein Y1, Y2, Y3 and Y4 are independently N, CH, or and C-R1; R1 is independently alkyl, fluoroalkyl, etc.; R2 is H, halo,

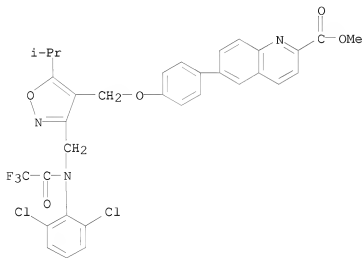
alkyl or fluoroalkyl; a = 0-2; R3 is halo, alkyl and fluoroalkyl; Z1 is O, S, etc.; n = 1-3; R4 is alkyl, 2,2,2-trifluoroethyl, etc.; c and d are both 0 or c is 1 and d = 0-1; R5 is C1-3alkylene; Z2 is O, NH, etc.; Ring D is C3-6cycloalkyl, C3-6cycloalkenyl, etc.), pharmaceutical compns., therapeutic uses and processes for preparing the same. Example compound II was prepared by reacting Me 6-(4-hydroxyphenyl)-2-quinolinecarboxylate (preparation given) and 4-(chloromethyl)-3-(2,6-dichlorophenyl)-5-(1-methylethyl)isoxazole (preparation given) and then converting the Me ester intermediate obtained to the acid. II (10-100 mg/kg, orally) decreased body fat mass, serum glucose, insulin, cholesterol, triglyceride, NEFA, and glycerol in high-fat diet fed obese mice.

IT 1020572-26-2P, Methyl 6-[4-[[[3-[(2,6-dichlorophenyl)(trifluoroacetyl)amino]methyl]-5-(1-methylethyl)-4-isoxazolyl]methyl]oxy]phenyl]-2-quinolinecarboxylate  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of isoxazole compds. as therapeutic farnesoid X receptor agonists)

RN 1020572-26-2 CAPLUS

CN 2-Quinolinecarboxylic acid, 6-[4-[[[3-[(2,6-dichlorophenyl)(2,2,2-trifluoroacetyl)amino]methyl]-5-(1-methylethyl)-4-isoxazolyl]methoxy]phenyl]-, methyl ester (CA INDEX NAME)



L3 ANSWER 5 OF 72 CAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2008:473604 CAPLUS

DOCUMENT NUMBER: 148:449655

TITLE: Preparation of N,N'-diphenylurea and N-phenyl-N'-pyridylurea derivatives as BRAF kinase inhibitors

INVENTOR(S): Wada, Kunio; Ito, Mitsuru; Fujiwara, Kosaku; Iwasaki, Shiho

PATENT ASSIGNEE(S): Daiichi Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 113pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008044688	A1	20080417	WO 2007-JP69714	20071010
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.:

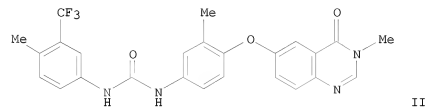
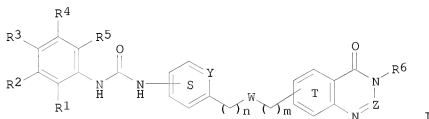
JP 2006-277722

A 20061011

OTHER SOURCE(S):

MARPAT 148:449655

GI

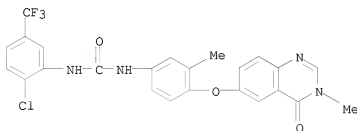


AB There are disclosed compds. represented by the general formula (I) or pharmacol. acceptable salts thereof [R1, R2, R3, R4, R5 = H, halogen atom, C1-4 alkyl, halogeno-C1-4 alkyl, C1-4 alkoxy, halogeno-C1-4 alkoxy, NO2, C1-4 alkylsulfonyl; R6 = C1-4 alkyl, C3-4 cycloalkyl; n, m = 0, 1; Y = CR, N; R = H, halogen atom, trihalomethyl, Me; W = O, S; Z = CH, N; the binding position of an ureido group to the ring S is position-3 or position-4 in the ring S; and the binding position of a partial structure containing W to the fused ring T is position-6 or position-7 in the ring T]. These compds. have an activity of inhibiting a BRAF kinase and are useful for the treatment of BRAF mutant tumors such as malignant melanoma, colon cancer, ovarian cancer, thyroid cancer, bile duct cancer, glioma, lung cancer, sarcoma, breast cancer and/or liver cancer. Thus, 6-(4-amino-2-methylphenoxy)-3-methyl-3H-quinazolin-4-one was stirred with 3-(trifluoromethyl)-4-methylphenyl isocyanate in DMF at room temperature for 67 h to give

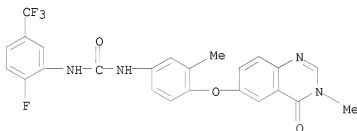
N-[3-methyl-4-(3-methyl-4-oxo-3,4-dihydroquinazolin-

6-yloxy)phenyl]-N'-(4-methyl-3-trifluoromethylphenyl)urea (II). II in vitro showed IC<sub>50</sub> of 0.0031  $\mu$ M against recombinant human BRAF kinase and in vitro showed IC<sub>50</sub> of 0.056 and 1  $\mu$ M against the proliferation of human melanoma WM-266-4 and A375 cell, resp.

IT 1018983-43-1P, N-(2-Chloro-5-trifluoromethylphenyl)-N'-[3-methyl-4-[(3-methyl-4-oxo-3,4-dihydroquinazolin-6-yl)oxy]phenyl]urea  
 1018983-58-8P, N-(2-Fluoro-5-trifluoromethylphenyl)-N'-[3-methyl-4-[(3-methyl-4-oxo-3,4-dihydroquinazolin-6-yl)oxy]phenyl]urea  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N,N'-diphenylurea and N-phenyl-N'-pyridylurea derivs. as BRAF kinase inhibitors for treatment of BRAF mutant tumors)  
 RN 1018983-43-1 CAPLUS  
 CN Urea, N-[2-chloro-5-(trifluoromethyl)phenyl]-N'-[4-[(3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl)oxy]-3-methylphenyl]- (CA INDEX NAME)



RN 1018983-58-8 CAPLUS  
 CN Urea, N-[4-[(3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl)oxy]-3-methylphenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)

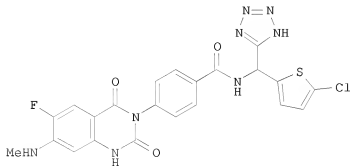
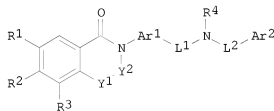


REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2008:380871 CAPLUS  
 DOCUMENT NUMBER: 148:403236  
 TITLE: Preparation of 2,4-quinazolin-6-one derivatives and their related analogs as platelet ADP receptor inhibitors  
 INVENTOR(S): Scarborough, Robert M.; Bauer, Shawn M.; Pandey, Anjali  
 PATENT ASSIGNEE(S): Portola Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 114pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008036843	A2	20080327	WO 2007-US79076	20070920
WO 2008036843	A3	20080515		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20080132499	A1	20080605	US 2007-856616	20070917
PRIORITY APPLN. INFO.:			US 2006-846328P	P 20060920
			US 2007-856616	A 20070917
OTHER SOURCE(S):			MARPAT 148:403236	
GI				



AB Title compds. I [Y1 = N, NH, O, CR5 or CH2; Y2 = CO, CH2, CH or N; each R1, R2 and R3 independently = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, halo, etc.; R4 = H or -(CH2)mCO2H; R5 = H, alkyl, cyano, halo, haloalkyl, aryl, etc.; each Ar1 and Ar2 independently = an aromatic ring consisting of (un)substituted benzene, pyridine, pyrazine, pyrimidine, tetrazole or thiophene; L1 = bond, CO, CH2, NHCO or CH2CO; L2 = bond,

CR92, CR92CH2 or CO, wherein each R9 independently = H, (un)substituted alkyl, hydroxyalkyl, heterocyclyl, etc.), and their pharmaceutically acceptable salts thereof, are prepared and disclosed as platelet ADP receptor inhibitors, for treating thrombosis and for reducing the likelihood and/or severity of a secondary ischemic event in a patient. Thus, e.g., II was prepared in a multi-step synthesis starting from 5-chlorothiophene-2-carboxaldehyde. The invention compds. were evaluated for their ADP inhibitory activity. For instance, II showed an IC50 value of < 10  $\mu$ M in both an ADP-mediated platelet aggregation inhibition assay and in a ADP receptor binding inhibition assay.

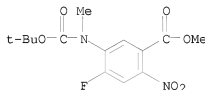
II 1015435-68-3P 1015435-70-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinazolinone and benzoxazinone derivs. as platelet ADP receptor inhibitors for treating thrombosis and reducing secondary ischemia)

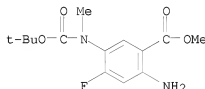
RN 1015435-68-3 CAPLUS

CN Benzoic acid, 5-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-fluoro-2-nitro-, methyl ester (CA INDEX NAME)



RN 1015435-70-7 CAPLUS

CN Benzoic acid, 2-amino-5-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-fluoro-, methyl ester (CA INDEX NAME)



L3 ANSWER 7 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:353001 CAPLUS

DOCUMENT NUMBER: 148:355828

TITLE: Multi-functional small molecules as anti-proliferative agents and their preparation

INVENTOR(S): Cai, Xiong; Qian, Changgeng; Gould, Stephen; Zhai, Haixiao

PATENT ASSIGNEE(S): Curis, Inc., USA

SOURCE: PCT Int. Appl., 494pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

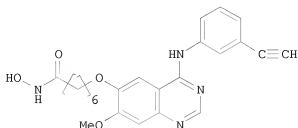
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	-----	-----	-----	-----

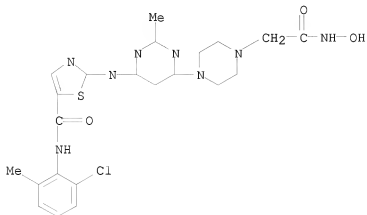
WO 2008033747 A2 20080320 WO 2007-US77971 20070910  
 WO 2008033747 A9 20080724  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA  
 US 20080221132 A1 20080911 US 2007-852458 20070910  
 PRIORITY APPLN. INFO.: US 2006-843590P P 20060911  
 US 2007-895889P P 20070320  
 OTHER SOURCE(S): MARPAT 148:355828  
 GI



AB The invention relates to the compns., methods, and applications of an approach to selective inhibition of several cellular or mol. targets with a single small mol. More specifically, the present invention relates to multi-functional small mols. of formula I wherein one functionality is capable of inhibiting histone deacetylases (HDAC) and the other functionality is capable of inhibiting a different cellular or mol. pathway involved in aberrant cell proliferation, differentiation or survival. Compds. of formula I wherein A is a pharmacophore of an anticancer agent capable of inhibiting at least one cellular or mol. pathway involved in the aberrant cell proliferation, differentiation or survival; B is a linker; C is a zinc-binding moiety; and their geometrical isomers, enantiomers, diastereoisomers, racemates, pharmaceutically acceptable salts, prodrugs and solvates thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their antiproliferative activity (some data given).

IT 1012055-55-8P 1012055-56-9P 1012055-57-0P  
 1012055-58-1P 1012055-59-2P 1012055-60-5P  
 1012055-61-6P 1012055-62-7P 1012055-63-8P  
 1012055-64-9P 1012055-65-0P  
 RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of multi-functional small mols. as antiproliferative agents)  
 RN 1012055-55-8 CAPLUS  
 CN 1-Piperazineacetamide, 4-[6-[[[2-chloro-6-methylphenyl]amino]carbonyl]-

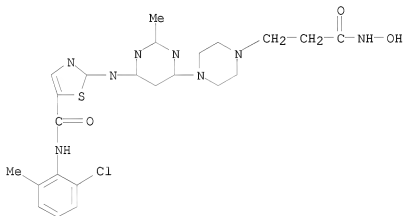
2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-56-9 CAPLUS

CN 1-Piperazinepropanamide, 4-[6-[[5-[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)

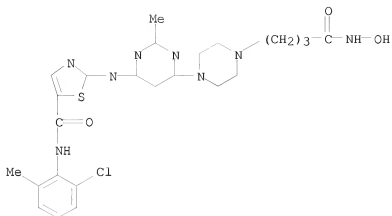


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-57-0 CAPLUS

CN 1-Piperazinebutanamide, 4-[6-[[5-[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)

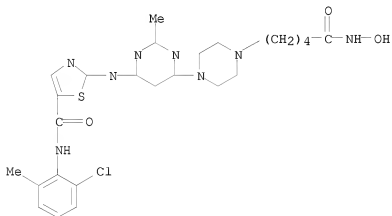




ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-58-1 CAPLUS

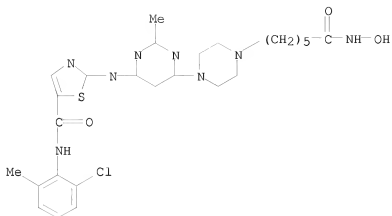
CN 1-Piperazinepentanamide, 4-[6-[[5-[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-59-2 CAPLUS

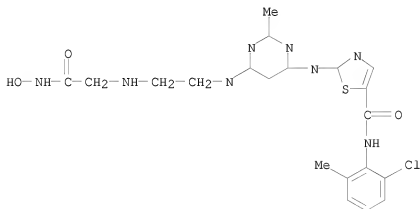
CN 1-Piperazinehexanamide, 4-[6-[[5-[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-60-5 CAPLUS

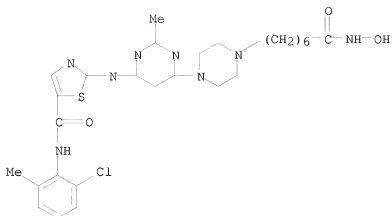
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[[6-[[[2-(hydroxyamino)-2-oxoethyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-61-6 CAPLUS

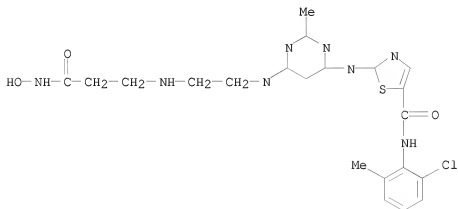
CN 1-Piperazineheptanamide, 4-[6-[[[5-[[[2-(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-62-7 CAPLUS

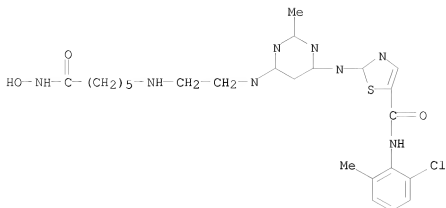
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[3-(hydroxyamino)-3-oxopropyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-63-8 CAPLUS

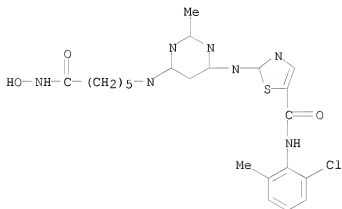
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[6-(hydroxyamino)-6-oxohexyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-64-9 CAPLUS

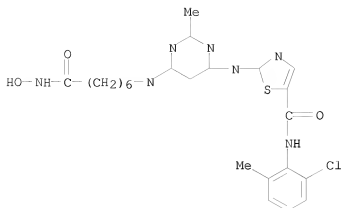
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[6-(hydroxyamino)-6-oxohexyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-65-0 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[7-(hydroxyamino)-7-oxoheptyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



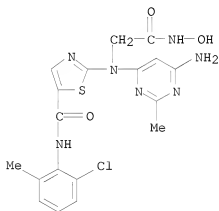
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT 1012056-93-7

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(drug candidate; preparation of multi-functional small mols. as antiproliferative agents)

RN 1012056-93-7 CAPLUS

CN 5-Thiazolocarboxamide, 2-[(6-amino-2-methyl-4-pyrimidinyl)[2-(hydroxyamino)-2-oxoethyl]amino]-N-(2-chloro-6-methylphenyl)- (CA INDEX NAME)



IT 910297-51-7P 910297-59-5P 910297-62-0P

1012058-67-1P 1012058-68-2P 1012058-69-3P

1012058-70-6P 1012058-71-7P 1012058-72-8P

1012058-73-9P 1012058-74-0P 1012058-75-1P

1012058-76-2P

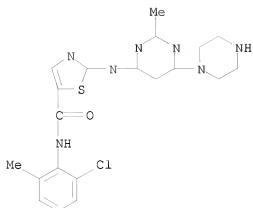
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of multi-functional small mols. as antiproliferative agents)

RN 910297-51-7 CAPLUS

CN 5-Thiazolocarboxamide, N-(2-chloro-6-methylphenyl)-2-[[2-methyl-6-(1-piperazinyl)-4-pyrimidinyl]amino]- (CA INDEX NAME)

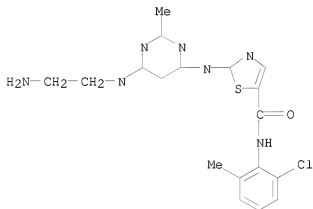
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 910297-59-5 CAPLUS

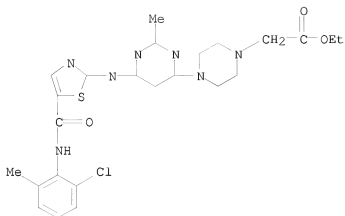
CN 5-Thiazolecarboxamide, 2-[[6-[(2-aminoethyl)amino]-2-methyl-4-pyrimidinyl]amino]-N-(2-chloro-6-methylphenyl)- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 910297-62-0 CAPLUS

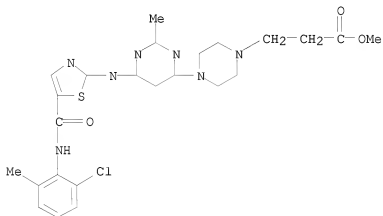
CN 1-Piperazineacetic acid, 4-[6-[[5-[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-67-1 CAPLUS

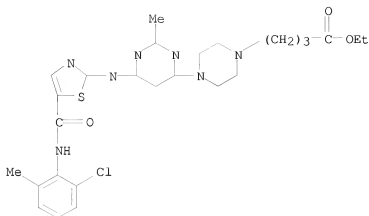
CN 1-Piperazinepropanoic acid, 4-[6-[[5-[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-68-2 CAPLUS

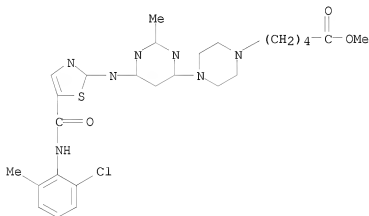
CN 1-Piperazinebutanoic acid, 4-[6-[[5-[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-69-3 CAPLUS

CN 1-Piperazinepentanoic acid, 4-[6-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)

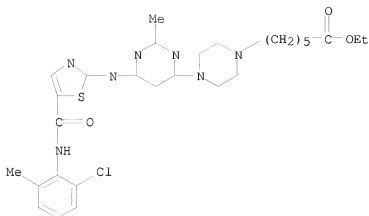


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-70-6 CAPLUS

CN 1-Piperazinehexanoic acid, 4-[6-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)

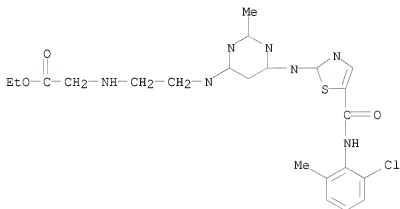




ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-71-7 CAPLUS

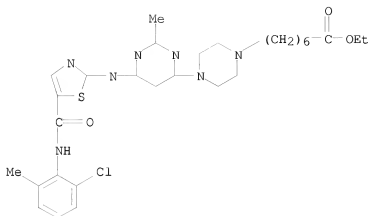
CN Glycine, N-[2-[[6-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]amino]ethyl]-, ethyl ester (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-72-8 CAPLUS

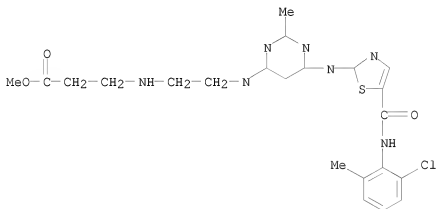
CN 1-Piperazineheptanoic acid, 4-[6-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-73-9 CAPLUS

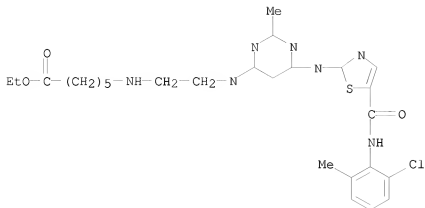
CN  $\beta$ -Alanine, N-[2-[[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]amino]ethyl]-, methyl ester (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-74-0 CAPLUS

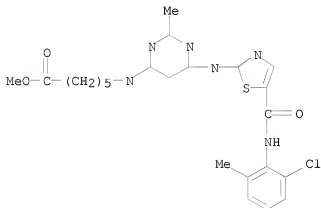
CN Hexanoic acid, 6-[[2-[[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]amino]ethyl]amino]-, ethyl ester (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-75-1 CAPLUS

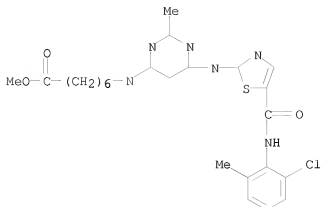
CN Hexanoic acid, 6-[[[6-[[[5-[[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-76-2 CAPLUS

CN Heptanoic acid, 7-[[[6-[[[5-[[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)



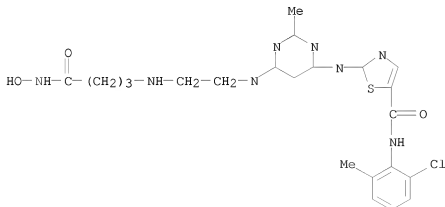
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT 1012885-78-7P 1012885-79-8P 1012885-80-1P  
 1012885-81-2P 1012885-82-3P 1012885-83-4P  
 1012885-84-5P 1012885-85-6P 1012885-86-7P  
 1012885-87-8P 1012885-88-9P 1012885-89-0P  
 1012885-90-3P 1012885-91-4P 1012885-92-5P  
 1012885-96-9P 1012886-02-0P 1012886-03-1P  
 1012886-04-2P 1012886-05-3P 1012886-06-4P  
 1012886-07-5P 1012886-08-6P 1021359-96-5P  
 1021359-97-6P 1021359-98-7P 1021359-99-8P  
 1021360-00-8P 1021360-01-9P 1021360-02-0P  
 1021360-03-1P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRPH  
 (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (prophetic starting material; preparation of multi-functional small mols. as  
 antiproliferative agents)

RN 1012885-78-7 CAPLUS

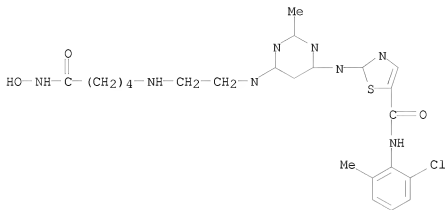
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[4-  
 (hydroxyamino)-4-oxobutyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]-  
 (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-79-8 CAPLUS

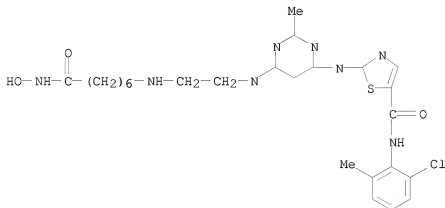
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[5-(hydroxyamino)-5-oxopentyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-80-1 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[7-(hydroxyamino)-7-oxoheptyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

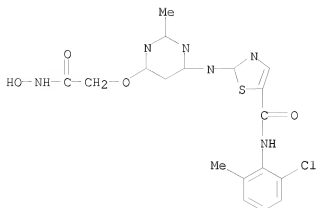


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-81-2 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[2-(hydroxyamino)-2-oxoethoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

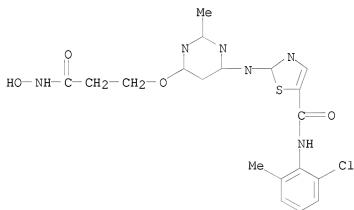
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-82-3 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[3-(hydroxyamino)-3-oxopropoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

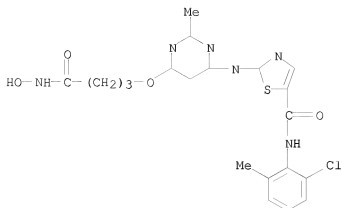


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-83-4 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[4-(hydroxyamino)-4-oxobutoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

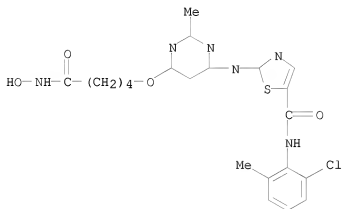
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-84-5 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[[6-[(hydroxyamino)-5-oxopentyl]oxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

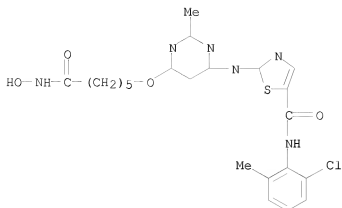


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-85-6 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[[6-[(hydroxyamino)-6-oxohexyl]oxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

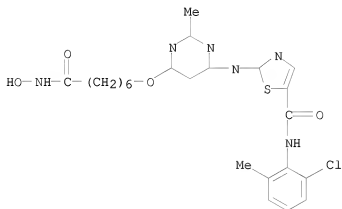
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-86-7 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[7-(hydroxyamino)-7-oxoheptyl]oxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

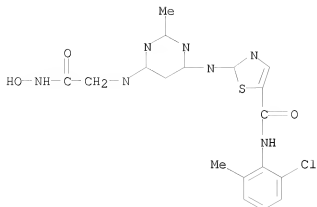


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-87-8 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-(hydroxyamino)-2-oxoethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

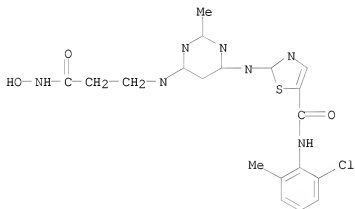




ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-88-9 CAPLUS

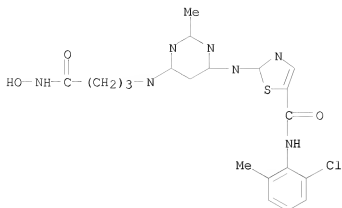
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[3-(hydroxyamino)-3-oxopropyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-89-0 CAPLUS

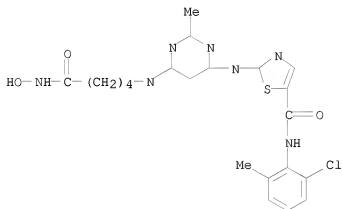
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[4-(hydroxyamino)-4-oxobutyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-90-3 CAPLUS

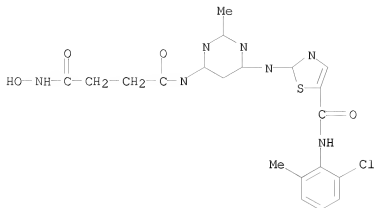
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[[6-[[5-(hydroxyamino)-5-oxopentyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-91-4 CAPLUS

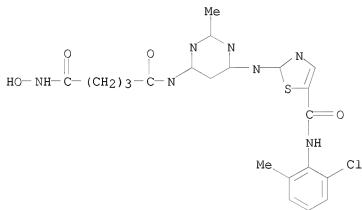
CN Butanediamide, N1-[6-[[[5-[[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N4-hydroxy- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-92-5 CAPLUS

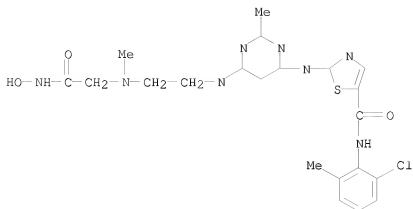
CN Pentanediamide, N1-[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N5-hydroxy- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-96-9 CAPLUS

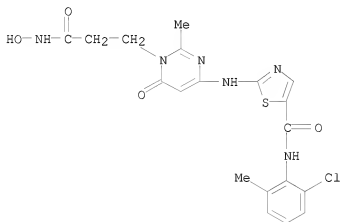
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[[6-[[[2-(hydroxyamino)-2-oxoethyl]methylamino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012886-02-0 CAPLUS

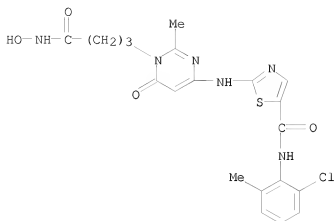
CN 1 (6H)-Pyrimidinepropanamide, 4-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-N-hydroxy-2-methyl-6-oxo-]  
(CA INDEX NAME)



RN 1012886-03-1 CAPLUS

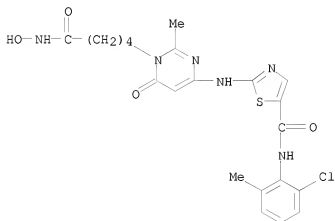
CN 1 (6H)-Pyrimidinebutanamide, 4-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-N-hydroxy-2-methyl-6-oxo-]  
(CA INDEX NAME)

10/562,112



RN 1012886-04-2 CAPLUS

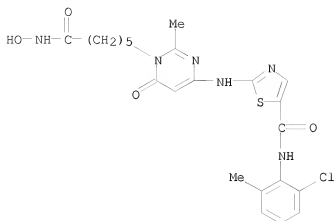
CN 1 (6H)-Pyrimidinepentanamide, 4-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-N-hydroxy-2-methyl-6-oxo- (CA INDEX NAME)



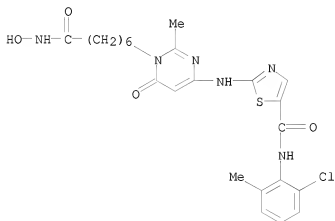
RN 1012886-05-3 CAPLUS

CN 1 (6H)-Pyrimidinehexanamide, 4-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-N-hydroxy-2-methyl-6-oxo- (CA INDEX NAME)

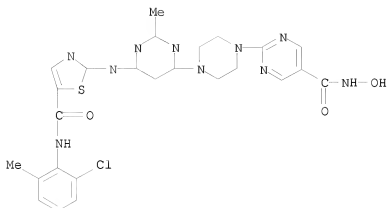
10/562,112



RN 1012886-06-4 CAPLUS  
 CN 1-(6H)-Pyrimidineheptanamide, 4-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-N-hydroxy-2-methyl-6-oxo-]  
 (CA INDEX NAME)



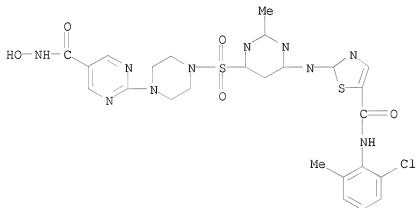
RN 1012886-07-5 CAPLUS  
 CN 5-Pyrimidinecarboxamide, 2-[4-[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-1-piperazinyl]-N-hydroxy-]  
 (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012886-08-6 CAPLUS

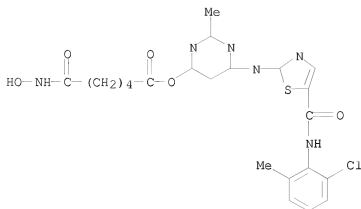
CN 5-Pyrimidinecarboxamide, 2-[4-[[6-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]sulfonyl]-1-piperazinyl]-N-hydroxy- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021359-96-5 CAPLUS

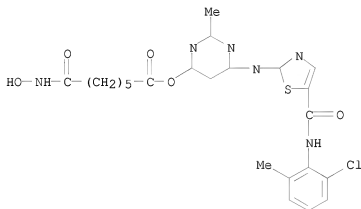
CN Hexanoic acid, 6-(hydroxyamino)-6-oxo-, 6-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl ester (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021359-97-6 CAPLUS

CN Heptanoic acid, 7-(hydroxyamino)-7-oxo-, 6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl ester (CA INDEX NAME)



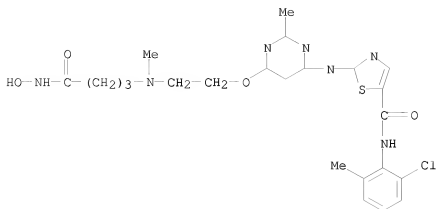
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021359-98-7 CAPLUS

CN Octanoic acid, 8-(hydroxyamino)-8-oxo-, 6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl ester (CA INDEX NAME)



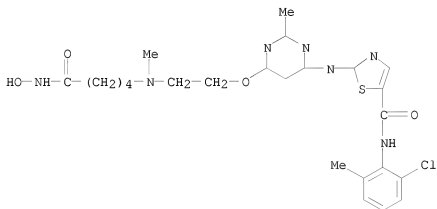




ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021360-01-9 CAPLUS

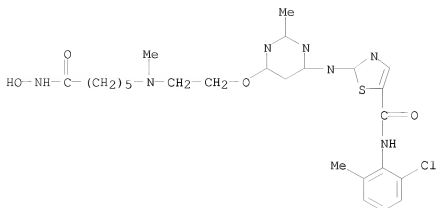
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[2-[[5-(hydroxyamino)-5-oxopentyl]methylamino]ethoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021360-02-0 CAPLUS

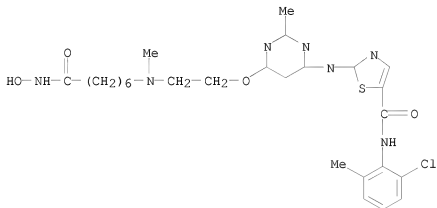
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[2-[[6-(hydroxyamino)-6-oxohexyl]methylamino]ethoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021360-03-1 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[2-[[7-(hydroxyamino)-7-oxoheptyl]methylamino]ethoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L3 ANSWER 8 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:70070 CAPLUS

DOCUMENT NUMBER: 148:168588

TITLE: Preparation of N-heterocycl-yl- and N-aryl-5,5-diphenylpentadienamide derivatives as antagonists of transient receptor potential Vanilloid (TRPV1)

INVENTOR(S): Nakasato, Yoshisuke; Saku, Osamu; Atsumi, Eri;

Sugimoto, Yoshiyuki; Ishida, Hiroshi

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 245pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

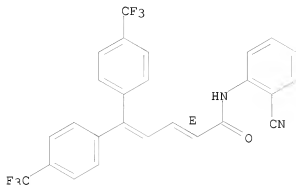
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008007780	A1	20080117	WO 2007-JP64007	20070713
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p>				
PRIORITY APPLN. INFO.:			JP 2006-193044	A 20060713
OTHER SOURCE(S):			MARPAT 148:168588	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB The title compds. [I; R1 = (un)substituted aryl or aromatic heterocyclic group; R2 = each (un)substituted aryl, aromatic heterocyclic group, or alicyclic heterocyclic group; R3 = H or R3 together with R4 and a nitrogen atom adjacent to R3, forms (un)substituted heterocyclic group; R4 = each (un)substituted lower alkyl, cycloalkyl, aryl, aromatic heterocyclic group, or alicyclic heterocyclic group; or R4 together with R3 and a nitrogen atom adjacent to R4, forms (un)substituted heterocyclic group; R5, R6, R7 = independently H or Me] or pharmaceutically acceptable salts thereof are prepared. These compds. are useful for the prevention and/or treatment of pain, in particular neuropathic pain. Thus, 97 mg (E)-5,5-bis[4-(trifluoromethyl)phenyl]-2,4-pentadienoic acid (preparation given) was dissolved in 2 mL SOCl<sub>2</sub>, refluxed for 2 h, concentrated under reduced pressure, dissolved in 2 mL CH<sub>2</sub>Cl<sub>2</sub>, treated with 0.030 mL thiomorpholine and 0.052 mL Et<sub>3</sub>N, stirred at room temperature for 4 h to give, after workup and recrystn.
- from Et<sub>2</sub>O/hexane, (E)-1-(thiomorpholino)-5,5-bis[4-(trifluoromethyl)phenyl]penta-2,4-dien-1-one (II). (2E,4Z)-5-(4-Fluorophenyl)-N-(isoquinolin-5-yl)-5-[4-(trifluoromethyl)phenyl]-2,4-pentadienamide (III) in vitro showed IC<sub>50</sub> of <10 nm for inhibiting the binding of [3H]resiniferatoxin to homogenized rat vertebra and in vivo at 20 mg/kg significantly suppressed neuropathic pain in rats having the sciatic nerve of the hind left leg detached. A tablet formulation containing II was described.
- IT 1002123-29-6P, (E)-N-(2-Cyanophenyl)-5,5-bis[4-(trifluoromethyl)phenyl]-2,4-pentadienamide  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (intermediate; preparation of N-heterocyclyl- and N-aryl-5,5-diphenylpentadienamide derivs. as antagonists of transient receptor potential Vanilloid (TRPV1) for prevention and/or treatment of pains and neuropathic pain)
- RN 1002123-29-6 CAPLUS
- CN 2,4-Pentadienamide, N-(2-cyanophenyl)-5,5-bis[4-(trifluoromethyl)phenyl]-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 72 CAPLUS COPYRIGHT 2008 ACS ON STN

ACCESSION NUMBER: 2008:12248 CAPLUS

DOCUMENT NUMBER: 148:121726

TITLE: Preparation of quinoline and quinazoline derivatives as inhibitors of VEGF receptor and HGF receptor signaling

INVENTOR(S): Raepfel, Stephane; Claridge, Stephen William; Saavedra, Oscar Mario; Vaisburg, Arkadii; Deziel, Robert; Zhan, Lijie; Mannion, Michael; Gaudette, Frederic; Zhou, Nancy Z.; Isakovic, Ljubomir Can.

PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 122pp.

SOURCE: CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

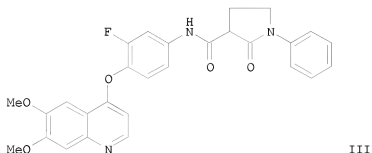
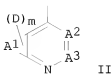
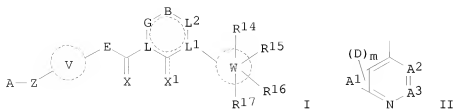
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080004273	A1	20080103	US 2007-807907	20070530
WO 2008035209	A2	20080327	WO 2007-IB3264	20070530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-803412P P 20060530

OTHER SOURCE(S): MARPAT 148:121726

GI



III

AB The invention relates to compds. of formula I that inhibit protein tyrosine kinase activity, in particular that inhibit the protein tyrosine kinase activity of growth factor receptors, resulting in the inhibition of receptor signaling, for example, the inhibition of VEGF receptor signaling and HGF receptor signaling. Compds. of formula I [A = II (A1 = fused 6-membered aryl or heteroaryl; A2 and A3 independently = N or CR107, wherein R107 = H, halo, alkyl, alkenyl, etc.; D = H, halo, CN, NO2, etc.; m = 0-4); V = (un)substituted 5- to 7-membered cycloalkyl, aryl, heterocyclic or heteroaryl ring system; Z = O, S, S(O), SO2, CH2, etc.; E = O, NH, N-alkyl, CH2NH, NHCH2, etc.; X = O, S, NH, N-alkyl, N-OH, etc.; solid/dash line = single or double bond; X1 = O, S, CH2, NH, etc., when solid/dash line = double bond, or X1 = H, halo, CN, NH2, trihalomethyl, etc., when solid/dash = single bond; L and L1 independently = CH, N, C(halo), C(alkyl), etc.; or L1 = O and W = absent; L2 and G = CH2, NH, O, S, C(O), C(S), etc.; B = (L4)n, wherein L4 = absent, CH2, NH, O, S, C(O), C(S), etc.; n = 0-5; W = (un)substituted 5- to 10-membered cycloalkyl, aryl, heterocyclic or heteroaryl ring system; R14, R15, R16 and R17 independently = H, halo, trihalomethyl, CN, NO2, NH2, etc.], and their N-oxides, hydrates, solvates, pharmaceutically acceptable salts, prodrugs and complexes thereof, are prepared and disclosed. Thus, e.g., III was prepared in a multi-step synthesis starting from 3,4-dimethoxybenzenamine with 5-(methoxymethylene)-2,2-dimethyl-1,3-dioxane-4,6-dione. The exemplar compds. showed inhibition of recombinant human c-Met/HGF receptor and VEGF receptor enzymic activity in in vitro receptor tyrosine kinase assays. The invention also provides compns. and methods for treating cell proliferative diseases and conditions.

IT 1000850-55-4P

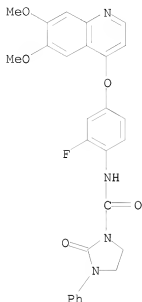
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinoline and quinazoline derivs. as inhibitors of VEGF receptor and HGF receptor signaling for treatment of proliferative diseases)

RN 1000850-55-4 CAPLUS

CN 1-Imidazolidinecarboxamide, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2-

fluorophenyl]-2-oxo-3-phenyl- (CA INDEX NAME)



L3 ANSWER 10 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1469103 CAPLUS

DOCUMENT NUMBER: 148:93193

TITLE: Method using fused heterocyclic compounds for the treatment of glioma brain tumors

INVENTOR(S): Bush, Ashley

PATENT ASSIGNEE(S): Prana Biotechnology Limited, Australia

SOURCE: PCT Int. Appl., 115pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007147217	A1	20071227	WO 2007-AU876	20070622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2006-815779P P 20060622

OTHER SOURCE(S): MARPAT 148:93193

AB The invention discloses therapeutic agents, formulations comprising them, and their use in the treatment, amelioration and/or prophylaxis of glioma

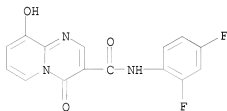
brain tumors and related conditions. The therapeutic agent comprises two fused 6-membered rings with at least a nitrogen at position 1 and a hydroxyl at position 8.

IT 1000013-74-0

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(fused heterocyclic compds. for treatment of glioma)

RN 1000013-74-0 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-3-carboxamide, N-(2,4-difluorophenyl)-9-hydroxy-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1281234 CAPLUS

DOCUMENT NUMBER: 148:121845

TITLE: Chemical modification of the alkaloid

2,3-tetramethylene-3,4-dihydroquinazolin-4-one  
Shakhidoyatov, Kh. M.; Samarov, Z. U.; Mukarramov, N. I.; Levkovich, M. G.; Abdullaev, N. D.; Tashkhodzhaev, B.; Barakat, Yasser; Urakov, B. A.

CORPORATE SOURCE: S. Yu. Yunusov Institute of the Chemistry of Plant Substances, Academy of Sciences of the Republic of Uzbekistan, Tashkent, Uzbekistan

SOURCE: Chemistry of Natural Compounds (2007), 43(4), 441-449  
CODEN: CHNCA8; ISSN: 0009-3130

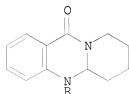
PUBLISHER: Springer

DOCUMENT TYPE: Journal

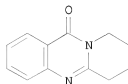
LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:121845

GI



I



II

AB The 1,2-dihydro derivative I (R = H) of the title alkaloid, a.k.a mackinazolinone (II), was prepared by NaBH<sub>4</sub> reduction of II and was characterized by NMR spectra. N-acyl derivs. I [R = COMe, COPh, COC<sub>6</sub>H<sub>4</sub>-4-NO<sub>2</sub>, COCH<sub>2</sub>Cl] by reactions of I (R = H) with acetic anhydride or



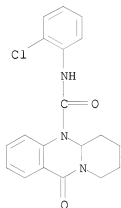
corresponding acyl chlorides, and N-thiocarboxamido and N-carboxamido derivs. I [R = CSNHPh, CONHC6H4-2-NO<sub>2</sub>, CONHC6H4-2-Cl, CONHC6H4-3-Cl, CONHC6H4-4-Me] were prepared by reactions of I (R = H) with PhNCS or corresponding isocyanates. Chloroacetyl derivative I [R = COCH<sub>2</sub>Cl] was subsequently reacted with amines to form aminoacetyl derivs. I [R = COCH<sub>2</sub>R<sub>1</sub>, R<sub>1</sub> = NMe<sub>2</sub>, NEt<sub>2</sub>, 1-morpholinyl, 1-piperidinyl]. The mol. structures of I [R = COMe and R = CONHC6H4-3-Cl] were established using x-ray structure analyses.

IT 1000871-71-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of acyl and carboxamido derivs. of the alkaloid  
2,3-tetramethylene-3,4-dihydroquinazolin-4-one)

RN 1000871-71-5 CAPLUS

CN 7H-Pyrido[2,1-b]quinazoline-5(11H)-carboxamide, N-(2-chlorophenyl)-  
5a,6,8,9-tetrahydro-11-oxo- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1204014 CAPLUS

DOCUMENT NUMBER: 147:486453

TITLE: Quinazolin-4-one derivatives as B-Raf inhibitors, process for their preparation and pharmaceutical compositions containing them for treating cancer

INVENTOR(S): Aquila, Brian; Lyne, Paul; Pontz, Timothy  
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited  
SOURCE: PCT Int. Appl., 52pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007119055	A1	20071025	WO 2007-GB1389	20070417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK,				

MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,  
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,  
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,  
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,  
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
 BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

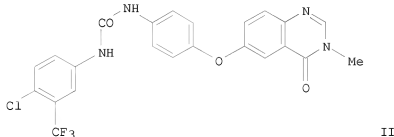
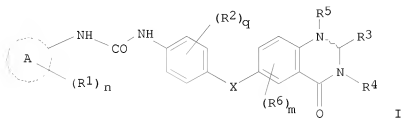
US 2006-745038P

P 20060418

OTHER SOURCE(S):

CASREACT 147:486453; MARPAT 147:486453

GI



AB The invention relates to chemical compds. of the formula I (wherein Ring A is carbocyclyl or heterocyclyl; R1 is a substituent on C and is halo, nitro, etc.; n is 0-4; R2 is halo, nitro, cyano, OH, etc.; q is 0-2; X is NR16 or O; R3 and R6 are H, halo, nitro, cyano, etc.; R4, R5 and R16 are H, Cl-6alkyl, Cl-6alkanoyl, etc.; m is 3 wherein the value of R6 may be the same or different) or pharmaceutically acceptable salts thereof, which possess B-Raf inhibitory activity and are accordingly useful for their anti-cancer activity and thus in methods of treatment of the human or animal body. The invention also relates to processes for the manufacture of said chemical compds., to pharmaceutical compns. containing them and to their

use in the manufacture of medicaments of use in the production of an anti-cancer effect

in a warm-blooded animal such as man. Example compound II was prepared by reacting 1-chloro-4-isocyanato-2-(trifluoromethyl)benzene and 6-(4-aminophenoxy)-3-methylquinazolin-4(3H)-one. In the B-Raf in vitro AlphaScreen assay, II had an IC50 of 0.287  $\mu$ M.

IT 953413-93-9P, 1-[2-Fluoro-3-(trifluoromethyl)phenyl]-3-[4-[(3-methyl-4-oxo-3,4-dihydroquinazolin-6-yl)oxy]phenyl]urea  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

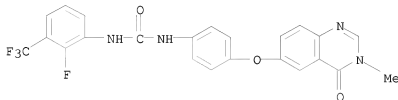
## (Uses)

(drug candidate; quinazolin-4-one derivs. as B-Raf inhibitors, process for their preparation and pharmaceutical compns. containing

them for treating cancer)

RN 953413-93-9 CAPLUS

CN Urea, N-[4-[(3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl)oxy]phenyl]-N'-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1176211 CAPLUS

DOCUMENT NUMBER: 147:469365

TITLE: Preparation of quinazolines for PDK1 inhibition

INVENTOR(S): Ramurthy, Savithri; Lin, Xiadong; Subramanian, Sharada; Rico, Alice C.; Wang, Xiajong M.; Jain, Rama; Murray, Jeremy M.; Bashman, Steven E.; Warne, Robert L.; Shu, Wei; Zhou, Yasheen; Dove, Jeffrey; Aikawa, Mina; Amiri, Payman; Wang, Weibo; Jensen, Johanna M.; Wagman, Allan S.; Pfister, Keith B.; Ng, Simon C.  
 PATENT ASSIGNEE(S): Novartis Vaccines & Diagnostics, Inc., USA  
 SOURCE: PCT Int. Appl., 390pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117607	A2	20071018	WO 2007-US8592	20070405
WO 2007117607	A3	20071221		
WO 2007117607	A9	20080306		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				

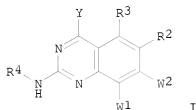
PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 147:469365

US 2006-790304P

P 20060406

GI



AB The title compds. I [one of W1 or W2 = R1 and the other = LA1; L = a bond, C(O), CONH, O, etc.; A1 = (un)substituted aryl, heteroaryl, heterocyclyl; Y = H, alkyl, halo, CN, NO2 or NH2; R1 = H, alkyl, alkoxy, acyl, etc.; R2, R3 = H, alkyl, alkoxy, acyl, etc.; R4 = (un)substituted aryl, heteroaryl, cycloalkyl, heterocyclyl; with the proviso] that are inhibitors of PDK1, were prepared E.g., a multi-step synthesis of 4-[6-ethynyl-8-(1-isopropylpiperidin-4-yloxy)quinazolin-2-ylamino]benzenesulfonamide, starting from 6-bromo-2-chloro-8-methoxyquinazoline, was given. Exemplified compds. I were tested in various assays. For example, I showed an IC50 value of less than or equal to 25  $\mu$ M, with respect to inhibition of PDK1. Also provided are pharmaceutical compns. including the compds. I, and methods of treating proliferative diseases, such as cancers, with the compds. or compns.

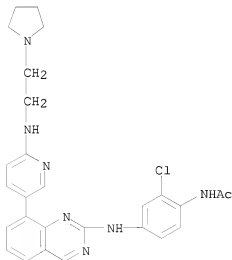
IT 953034-64-5P 953034-81-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolines for PDK1 inhibition)

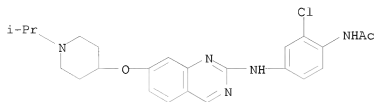
RN 953034-64-5 CAPLUS

CN Acetamide, N-[2-chloro-4-[[8-[6-[[2-(1-pyrrolidinyl)ethyl]amino]-3-pyridinyl]-2-quinazolinyl]amino]phenyl]- (CA INDEX NAME)



RN 953034-81-6 CAPLUS

CN Acetamide, N-[2-chloro-4-[[7-[[1-(1-methylethyl)-4-piperidinyl]oxy]-2-quinazolinyl]aminol]phenyl]- (CA INDEX NAME)



L3 ANSWER 14 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1151701 CAPLUS

DOCUMENT NUMBER: 147:421326

TITLE: Preparation of N-phenyl-1,1,1-trifluoromethanesulfonamide derivatives as ecto- and endoparasiticides

INVENTOR(S): Winzenberg, Kevin Norman; Meyer, Adam Gerhard; Yang, Qi; Riches, Andrew Geoffrey

PATENT ASSIGNEE(S): Australia

SOURCE: U.S. Pat. Appl. Publ., 110pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

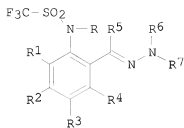
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070238700	A1	20071011	US 2007-695226	20070402
WO 2007116314	A1	20071018	WO 2007-1B997	20070405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

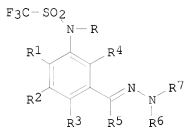
PRIORITY APPLN. INFO.: US 2006-790839P P 20060410

OTHER SOURCE(S): MARPAT 147:421326

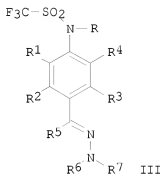
GI



I

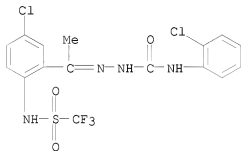


II



III

- AB The N-phenyl-1,1,1-trifluoromethanesulfonamide hydrazone derivs. I, II and III [R = h, alkyl, alkenyl, alkynyl, (cyclo)arylalkyl, etc.; R1-4 = H, CN, NO2, halo, (un)substituted (cyclo)alkyl, heteroaryl, etc.; R5 = H, halo, CN, (un)substituted alkyl, alkenyl, etc.; R6, R7 = H, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, etc.] are prepared as ecto- and endoparasitocides.
- IT 951780-24-8P  
 RL: AGR (Agricultural use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation as ecto- and endoparasiticide)
- RN 951780-24-8 CAPLUS
- CN Hydrazinecarboxamide, N-(2-chlorophenyl)-2-[1-[5-chloro-2-[[trifluoromethyl)sulfonyl]amino]phenyl]ethylidene]- (CA INDEX NAME)



L3 ANSWER 15 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1145534 CAPLUS

DOCUMENT NUMBER: 147:448797

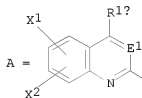
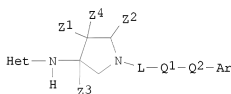
TITLE: Preparation of aminopyrrolidine derivatives as MC4 receptor antagonists for treatment of depression, anxiety disorder, etc.

INVENTOR(S): Okubo, Taketoshi; Kumagai, Toshihito; Ishii, Takaaki; Nakamura, Toshio; Abe, Kumi; Amada, Yuri; Ishizaka,

PATENT ASSIGNEE(S): Tomoko; Sun, Xiang-Min; Sekiguchi, Yoshinori; Sasako, Shigetada; Shimizu, Takanori; Nagatsuka, Takayuki  
 SOURCE: Taisho Pharmaceutical Co., Ltd., Japan  
 PCT Int. Appl., 230pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007114323	A1	20071011	WO 2007-JP57054	20070330
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM</p>				

PRIORITY APPLN. INFO.: JP 2006-102744 A 20060404  
 OTHER SOURCE(S): MARPAT 147:448797  
 GI



AB The title compds. I [Het = A, etc.; E1 = N, CR1; R1 = H, alkyl; R1a = OH, alkyl, cycloalkyl, etc.; X1, X2 = H, alkyl, alkoxy, etc.; Z1 - Z4 = H, hydroxy, alkyl, etc.; or Z4 and Z1 together form cycloalkane; Q1 = single bond, (CH2)n; n = integer of 1 - 10; Q2 = CO, O, S, etc.; L = CO, CS; Ar = (un)substituted Ph, naphthyl, heteroaryl] are prepared. Thus, 1-(7-fluoro-2-((S)-1-(2-(4-trifluoromethoxyphenyl)ethanoyl)pyrrolidin-3-ylamino)quinazolin-4-yl)piperidine-4-carboxylic acid di-Me amide monohydrochloride was prepared in a multistep process starting from 2-amino-4-fluorobenzoic acid and urea. In an MC4 receptor binding assay, compds. of this invention showed IC50 values of 0.3 nM to 180 nM. Formulations are given.

IT 952438-23-2P 952438-25-4P

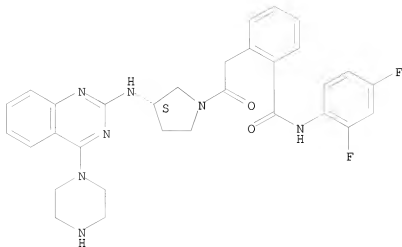
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopyrrolidine derivs. as MC4 receptor antagonists for treatment of depression, anxiety disorder)

RN 952438-23-2 CAPLUS

CN Benzamide, N-(2,4-difluorophenyl)-2-[2-oxo-2-[(3S)-3-[(4-(1-piperazinyl)-2-quinazolinyl]amino]-1-pyrrolidinyl]ethyl]- (CA INDEX NAME)

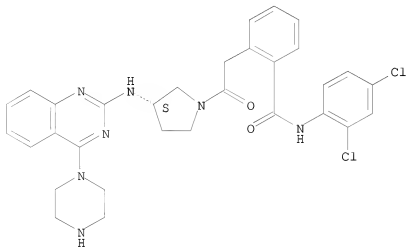
Absolute stereochemistry.



RN 952438-25-4 CAPLUS

CN Benzamide, N-(2,4-dichlorophenyl)-2-[2-oxo-2-[(3S)-3-[[4-(1-piperazinyl)-2-quinazolinyl]amino]-1-pyrrolidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1064310 CAPLUS

DOCUMENT NUMBER: 147:386011

TITLE: Preparation of 4-amino-quinazolines as

metabotropic glutamate receptors

Reich, Melanie; Oberboersch, Stefan; Kuehnert, Sven;

Haurand, Michael; Schiene, Klaus

PATENT ASSIGNEE(S): Gruenenthal GmbH, Germany

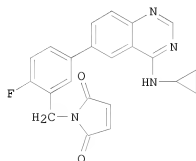
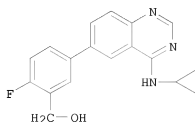
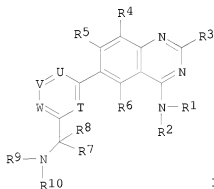
SOURCE: PCT Int. Appl., 360pp.

CODEN: PIXXD2



DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007104560	A1	20070920	WO 2007-EP2280	20070315
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KE, KZ, MD, RU, TJ, TM DE 102006012251 A1 20071108 DE 2006-102006012251 20060315 DE 2006-102006012251A 20060315 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 147:386011 GI				



AB Title compds. I [T = N, S, O, etc.; U = N, S, O, etc.; V = N, S, O, etc.; W = (W')n; W' = N, CR14; n = 0, 1; R1, R2 = H, CO2H, CHO, etc.; R3 = H, halo, NO2, etc.; R4, R5, R6 = H, halo, NO2, etc.; R7, R8 = H, halo, NO2, etc.; R9 = H, CO2H, CHO, etc.; R10 = CO2H, CHO, CONH2, etc.; CR14 = H, halo, NO2, etc.] and their pharmaceutically acceptable salts and formulations were prepared For example, Mitsunbo coupling of alc. II and

maleic imide afforded claimed 4-amino-quinazoline in 60% yield.  
In mGluR5 inhibition assays, 15-examples of compds. I exhibited Ki values ranging from 0.0008-0.039  $\mu$ M.

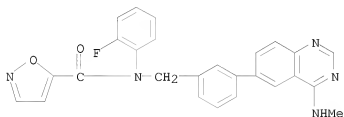
IT 950574-54-6P 950574-62-6P 950574-70-6P  
950574-78-4P 950574-94-4P 950575-12-9P  
950575-50-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-amino-quinazolines as metabotropic glutamate receptors)

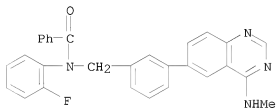
RN 950574-54-6 CAPLUS

CN 5-Isoxazolecarboxamide, N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)



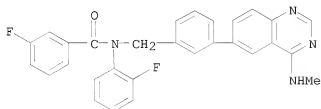
RN 950574-62-6 CAPLUS

CN Benzamide, N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)



RN 950574-70-6 CAPLUS

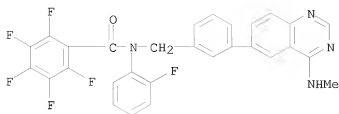
CN Benzamide, 3-fluoro-N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)



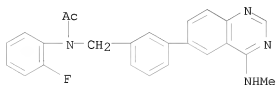
RN 950574-78-4 CAPLUS

CN Benzamide, 2,3,4,5,6-pentafluoro-N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)

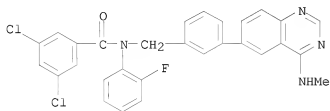
10/562,112



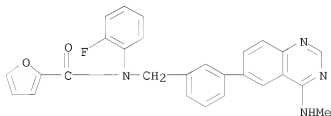
RN 950574-94-4 CAPLUS  
CN Acetamide, N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazoliny]phenyl]methyl]- (CA INDEX NAME)



RN 950575-12-9 CAPLUS  
CN Benzamide, 3,5-dichloro-N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazoliny]phenyl]methyl]- (CA INDEX NAME)



RN 950575-50-5 CAPLUS  
CN 2-Furancarboxamide, N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazoliny]phenyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
ACCESSION NUMBER: 2007:1051296 CAPLUS  
DOCUMENT NUMBER: 147:461580

TITLE: Rational design of conformationally restricted quinazolinone inhibitors of poly(ADP-ribose)polymerase

AUTHOR(S): Hattori, Kouji; Kido, Yoshiyuki; Yamamoto, Hirofumi; Ishida, Junya; Iwashita, Akinori; Mihara, Kayoko

CORPORATE SOURCE: Chemistry Research Laboratories, Astellas Pharma Inc., 21, Miyukigaoka, Tsukuba-shi, Ibaraki, 305-8585, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(20), 5577-5581  
CODEN: BMCLE8; ISSN: 0960-894X

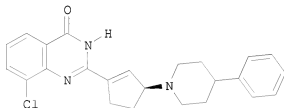
PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:461580

GI



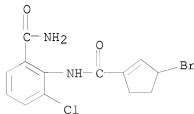
I

AB A successful design of conformationally restricted novel quinazolinone derivs. linked via a cyclopentene moiety as potent poly(ADP-ribose)polymerase-1 (PARP-1) inhibitors has been developed. One selected member of the new series, 8-chloro-2-[(3S)-3-(4-phenylpiperidin-1-yl)cyclopent-1-en-1-yl]quinazolin-4(3H)-one (S-16d, I), was found to be highly potent with IC<sub>50</sub> = 8.7 nM and good brain penetration.

IT 952606-63-2P 952606-64-3P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(conformationally restricted quinazolinone inhibitors of poly(ADP-ribose)polymerase)

RN 952606-63-2 CAPLUS

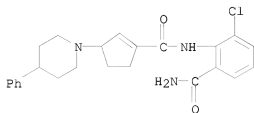
CN Benzamide, 2-[[[3-(4-phenyl-1-piperidinyl)-1-cyclopenten-1-yl]carbonyl]amino]-3-chloro- (CA INDEX NAME)



RN 952606-64-3 CAPLUS

CN Benzamide, 3-chloro-2-[[[3-(4-phenyl-1-piperidinyl)-1-cyclopenten-1-

yl]carbonyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:912269 CAPLUS

DOCUMENT NUMBER: 147:277915

TITLE: Preparation of 4-phenylpiperidine-substituted amino acid derivatives, particularly valine amides, as modulators of chemokine receptor activity and their use in the treatment of inflammatory and autoimmune diseases

INVENTOR(S): Carter, Percy H.; Cavallaro, Cullen L.; Duncia, John V.; Gardner, Daniel S.; Hynes, John; Liu, Rui-Qin; Santella, Joseph B.; Dodd, Dharmpal S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 515pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

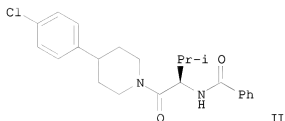
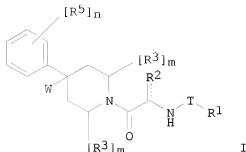
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007092681	A2	20070816	WO 2007-US61012	20070125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20070208056	A1	20070906	US 2007-625874	20070123
AU 2007212236	A1	20070816	AU 2007-212236	20070125
PRIORITY APPLN. INFO.:			US 2006-762801P	P 20060127
			US 2007-625874	A 20070123
			WO 2007-US61012	W 20070125

OTHER SOURCE(S): MARPAT 147:277915

GI



AB Title compds. I [T = CO, COO, CONH, CON-alkyl, SO<sub>2</sub>; R<sub>1</sub> = (un)substituted cyclo/alkyl, (hetero)aryl, heterocyclyl; R<sub>2</sub> = cycloalkyl/cyclo/alkyl, alkenyl optionally substituted with OH; R<sub>3</sub> at each occurrence = alkyl; or any 2 R<sub>3</sub>'s attached to the same C may form a 3-6 membered ring; W = H, F, OH, CN, NH<sub>2</sub>; R<sub>5</sub> = halo, CN, alkoxy; W and one R<sub>5</sub> together with the C atoms to which each is attached may form an (un)substituted 3-6 membered O containing ring; m at each occurrence = independently 0-2; n = 1-3; and their stereoisomers, prodrugs and pharmaceutically acceptable salts] were prepared as modulators of CCR-1 and MIP-1, especially MIP-1α receptors. Thus, valine amide II was prepared using N-(tert-butoxycarbonyl)-D-valine, 4-(4-chlorophenyl)piperidine hydrochloride, and benzoic acid. All the invention compds. were evaluated for their chemokine receptor modulatory activity. Methods of treating and preventing inflammatory diseases such as asthma and allergic diseases, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis using said modulators are disclosed.

IT 946581-56-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

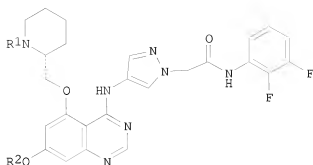
(preparation of piperidine-substituted amino acid derivs., particularly valine amides, as chemokine receptor modulators)

RN 946581-56-2 CAPLUS

CN Urea, N-[(1R)-1-[[4-(4-chlorophenyl)-1-piperidinyl]carbonyl]-2-methylpropyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.





I

AB Title compds. (I; R1 = H, Me; R2 = Me, Et), were prepared Thus, I (R1 = H; R2 = Et) (multistep preparation given) inhibited aurora B kinase with IC50 = 1.4 nM.

IT 944741-98-4P 944742-00-1P 944742-02-3P  
944742-04-5P

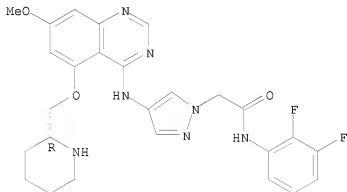
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of  
piperidinylmethoxyquinazolinylaminopyrazolyl  
acetamides as aurora kinase inhibitors)

RN 944741-98-4 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-methoxy-5-[(2R)-2-piperidinylmethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

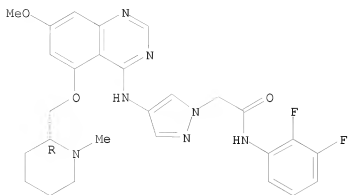


RN 944742-00-1 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-methoxy-5-[(2R)-1-methyl-2-piperidinylmethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

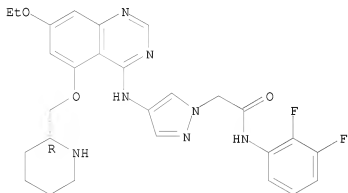




RN 944742-02-3 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(2R)-2-piperidinylmethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

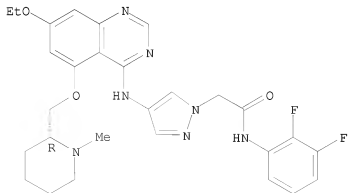
Absolute stereochemistry.



RN 944742-04-5 CAPLUS

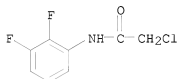
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(2R)-1-methyl-2-piperidinylmethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

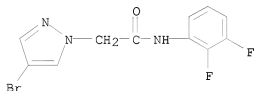


10/562,112

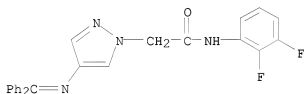
IT 916483-51-7P 916483-52-8P 916483-53-9P  
916483-54-0P 944742-22-7P 944742-35-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation of piperidinylmethoxyquinazolinylaminopyrazolylacetamides as  
aurora kinase inhibitors)  
RN 916483-51-7 CAPLUS  
CN Acetamide, 2-chloro-N-(2,3-difluorophenyl)- (CA INDEX NAME)



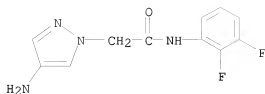
RN 916483-52-8 CAPLUS  
CN 1H-Pyrazole-1-acetamide, 4-bromo-N-(2,3-difluorophenyl)- (CA INDEX NAME)



RN 916483-53-9 CAPLUS  
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-  
[(diphenylmethylene)amino]- (CA INDEX NAME)



RN 916483-54-0 CAPLUS  
CN 1H-Pyrazole-1-acetamide, 4-amino-N-(2,3-difluorophenyl)-, hydrochloride  
(1:1) (CA INDEX NAME)

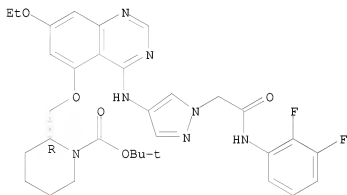


● HCl

RN 944742-22-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxy-5-quinazolinyl]oxymethyl]-, 1,1-dimethylethyl ester, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.

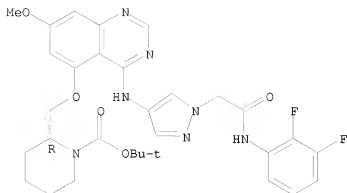


● HCl

RN 944742-35-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-methoxy-5-quinazolinyl]oxymethyl]-, 1,1-dimethylethyl ester, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L3 ANSWER 20 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:754498 CAPLUS

DOCUMENT NUMBER: 147:143463

TITLE: Heterocycle-substituted amide derivatives, their preparation, and pharmaceuticals and ACAT inhibitors containing them

INVENTOR(S): Natsukari, Hideaki; Uede, Tomonori  
JAPAN

PATENT ASSIGNEE(S):

SOURCE: Jpn. Kokai Tokkyo Koho, 34pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007176809	A	20070712	JP 2005-374007	20051227
PRIORITY APPLN. INFO.:			JP 2005-374007	20051227
OTHER SOURCE(S):	MARPAT	147:143463		

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title derivs. I [ring A = (un)substituted benzene ring, heteroarom. ring; rings B and C = (un)substituted benzene ring; X = N, CR1 [R1 = H, (un)substituted lower alkyl, halo]; m = 1, 2; n = 0-2; except the cases where rings A, B, and C = benzene ring, C6H4Cl-2, and C6H3F2-2,4, resp., X = N, m = 1, and n = 0] or their salts, are prepared by reaction of carboxylic acids II (rings A and B, X, n = same as above) or their salts with amines III (ring C, n = same as above) or their salts or by cyclization of IV (rings A, B, and C, X, m, n = same as above) or their salts. Title pharmaceuticals and inhibitors, useful for treatment of hypercholesterolemia, arteriosclerosis, etc., are also claimed. Thus, a DMF solution of [2-(2-chlorophenyl)-4-oxo-4H-quinazolin-3-yl]-acetic

acid (preparation given) was treated with 2,6-dimethoxyaniline and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temperature for 16 h to give 60% 2-[2-(2-chlorophenyl)-4-oxo-4H-quinazolin-3-yl]-N-(2,6-dimethoxyphenyl)acetamide. IC50 of this compound against ACAT of rat liver microsome was 0.0213  $\mu$ M.

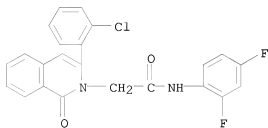
IT 943754-88-9P 943754-91-4P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocycle-substituted amide derivs. as ACAT inhibitors for pharmaceuticals)

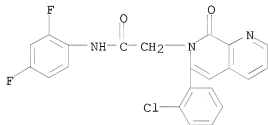
RN 943754-88-9 CAPLUS

CN 2(1H)-Isoquinolineacetamide, 3-(2-chlorophenyl)-N-(2,4-difluorophenyl)-1-oxo- (CA INDEX NAME)



RN 943754-91-4 CAPLUS

CN 1,7-Naphthyridine-7(8H)-acetamide, 6-(2-chlorophenyl)-N-(2,4-difluorophenyl)-8-oxo- (CA INDEX NAME)



L3 ANSWER 21 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:737455 CAPLUS

DOCUMENT NUMBER: 148:471970

TITLE: Synthesis and reactions of 2-phenylamino-6,8-dibromo-3,1-benzoxazin-4-one and 4(3H)quinazolin-4-one derivatives

AUTHOR(S): Kassab, E. A.; El-Hashash, M. A.; Ali, R. S.

CORPORATE SOURCE: Industrial Education College, Ammeria, Egypt

SOURCE: Communications de la Faculte des Sciences de l'Universite d'Ankara, Series B: Chemistry and Chemical Engineering (2006), 52(1), 25-43  
CODEN: CFBEEC; ISSN: 1303-6017

PUBLISHER: University of Ankara, Faculty of Sciences

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 2-Phenylamino-6,8-dibromo-4H-3,1-benzoxazinone (I), when reacted with

nitrogen nucleophiles such as hydrazine hydrate, amines, and formamide, yielded 4(3H)quinazolin-one derivs.; with sulfur nucleophiles I yielded the corresponding thioesters. The behavior of aminoquinazolinone and 4(3H)-quinazolinone towards carbon electrophiles under different conditions has been described.

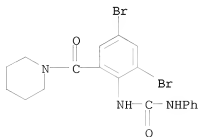
IT 1020153-14-3P 1020153-15-4P 1020153-16-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and reactions of 2-phenylamino-6,8-dibromo-3,1-benzoxazin-4-one and 4(3H)-quinazolin-4-one derivs. with nucleophiles)

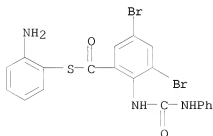
RN 1020153-14-3 CAPLUS

CN Urea, N-[2,4-dibromo-6-(1-piperidinylcarbonyl)phenyl]-N'-phenyl- (CA INDEX NAME)



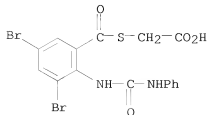
RN 1020153-15-4 CAPLUS

CN Benzenecarbothioic acid, 3,5-dibromo-2-[[ (phenylamino)carbonyl]amino]-, S-(2-aminophenyl) ester (CA INDEX NAME)



RN 1020153-16-5 CAPLUS

CN Acetic acid, 2-[[3,5-dibromo-2-[[ (phenylamino)carbonyl]amino]benzoyl]thio]- (CA INDEX NAME)



REFERENCE COUNT:

21

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:640728 CAPLUS

DOCUMENT NUMBER: 147:72651

TITLE: Preparation of nitrogen-containing heteroaryl-substituted aryl bicycles as kinase inhibitors for the treatment of cancer

INVENTOR(S): Calderwood, Emily F.; Duffey, Matthew; Gould, Alexandra E.; Greenspan, Paul D.; Kulkarni, Bheemashankar; Lamarche, Matthew J.; Rowland, Robyn Scott; Tregay, Ming; Vos, Tricia J.

PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 292pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

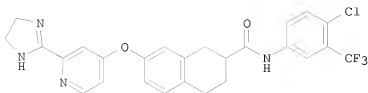
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

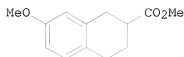
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007067444	A1	20070614	WO 2006-US46097	20061207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006322094	A1	20070614	AU 2006-322094	20061207
US 20070149533	A1	20070628	US 2006-636609	20061207
EP 1957460	A1	20080820	EP 2006-838840	20061207
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
MX 200807179	A	20080627	MX 2008-7179	20080605
KR 2008074220	A	20080812	KR 2008-716456	20080707
PRIORITY APPLN. INFO.:			US 2005-748369P	P 20051208
			WO 2006-US46097	W 20061207

OTHER SOURCE(S): MARPAT 147:72651

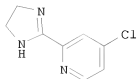
GI



II



III



IV

AB Bicyclic aryl compds. B-G1-A-G2-C {A = (un)substituted fused bicycle with at least one benzene ring such as 2,7-naphthalenediyl, 3,6-quinolinediyl, 3,6-isoquinolinediyl, 2,7-quinolinediyl, 2,7-quinazolinediyl, etc.; B = (un)substituted nitrogen-containing monocyclic heteroaryl ring or an (un)substituted pyridine- or pyrimidine-fused lactam; C = (un)substituted five- or six-membered aryl or heteroaryl ring containing 0-3 nitrogen atoms and 0-1 oxygen or sulfur atoms; G1 = (un)substituted CH<sub>2</sub>, C(:O), O, S, S(:O), SO<sub>2</sub>, or imino; G2 = (un)substituted C(:O)NH or NHC(:O) [if G2 is attached to a nitrogen atom of A, then G2 = (un)substituted C(:O)NH]; I} such as II are prepared as kinase inhibitors (particularly for Raf kinases) for the treatment of cancer. II is prepared in six steps (longest linear sequence) from 7-methoxy-1-tetralone and 4-chloro-2-pyridinecarbonitrile; II is separated into its enantiomers by chiral HPLC. Hydrolysis of tetrahydronaphthalenecarboxylate III, coupling of the naphthalenecarboxylic acid and 4-chloro-3-(trifluoromethyl)aniline, boron tribromide-mediated demethylation to yield a phenol, and O-arylation of the phenol with IV yields II. III is prepared in two steps by Claisen condensation of 7-methoxy-1-tetralone with di-Me carbonate followed by reduction of the ketone, while IV is prepared by cyclocondensation of 4-chloro-2-pyridinecarbonitrile with 1,2-ethanediamine. Ranges of IC<sub>50</sub> values for the inhibition of B-Raf and C-Raf kinases and for the inhibition of Raf kinases in A375 cells by approx. 300 of the invention compds. are determined Pharmaceutical compds. of I with an appropriate carrier are claimed.

IT 942068-94-2P 942069-07-0P 942071-65-0P  
942072-88-0P 942073-56-5P 942075-78-7P  
942075-80-1P 942075-84-5P 942075-89-0P  
942075-91-4P 942076-09-7P 942076-13-3P  
942076-18-8P

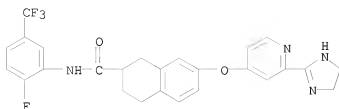
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrogen-containing heteroaryl-substituted aryl bicycles as inhibitors of kinases such as B-Raf and C-Raf kinases for treatment of cancer)

RN 942068-94-2 CAPLUS

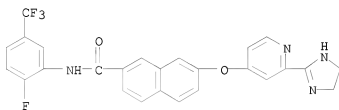
CN 2-Naphthalenecarboxamide, 7-[[2-(4,5-dihydro-1H-imidazol-2-yl)-4-pyridinyl]oxy]-N-[2-fluoro-5-(trifluoromethyl)phenyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)





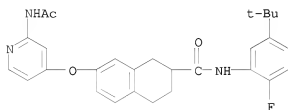
RN 942069-07-0 CAPLUS

CN 2-Naphthalenecarboxamide, 7-[[2-(4,5-dihydro-1H-imidazol-2-yl)-4-pyridinyl]oxy]-N-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



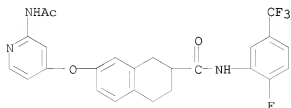
RN 942071-65-0 CAPLUS

CN 2-Naphthalenecarboxamide, 7-[[2-(acetylamino)-4-pyridinyl]oxy]-N-[5-(1,1-dimethylethyl)-2-fluorophenyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)



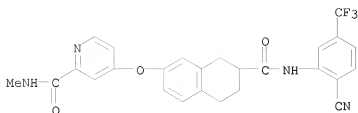
RN 942072-88-0 CAPLUS

CN 2-Naphthalenecarboxamide, 7-[[2-(acetylamino)-4-pyridinyl]oxy]-N-[2-fluoro-5-(trifluoromethyl)phenyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)



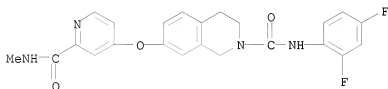
RN 942073-56-5 CAPLUS

CN 2-Pyridinecarboxamide, 4-[[7-[[[2-cyano-5-(trifluoromethyl)phenyl]amino]carbonyl]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-N-methyl- (CA INDEX NAME)



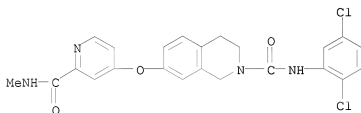
RN 942075-78-7 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(2,4-difluorophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



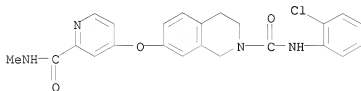
RN 942075-80-1 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(2,5-dichlorophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



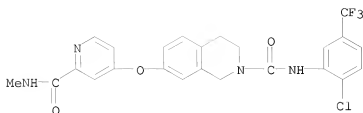
RN 942075-84-5 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(2-chlorophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



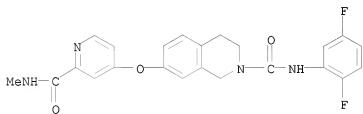
RN 942075-89-0 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-[2-chloro-5-(trifluoromethyl)phenyl]-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



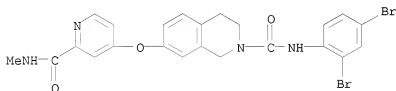
RN 942075-91-4 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(2,5-difluorophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



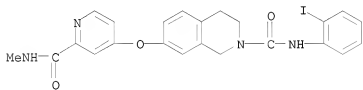
RN 942076-09-7 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(2,4-dibromophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



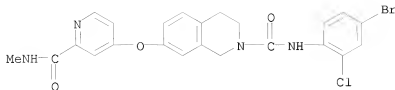
RN 942076-13-3 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(2-iodophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



RN 942076-18-8 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(4-bromo-2-chlorophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:619478 CAPLUS

DOCUMENT NUMBER: 147:52814

TITLE: Heteroaryl substituted piperidine derivatives as L-CPT1 inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Ackermann, Jean; Bleicher, Konrad; Ceccarelli Grenz, Simona M.; Chomienne, Odile; Mattei, Patrizio; Schulz-Gasch, Tanja

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 179pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

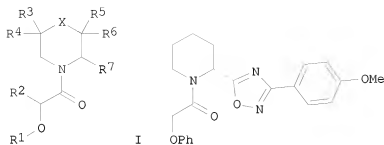
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007063012	A1	20070607	WO 2006-EP68745	20061122
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006319247	A1	20070607	AU 2006-319247	20061122
CA 2630460	A1	20070607	CA 2006-2630460	20061122
EP 1959951	A1	20080827	EP 2006-819660	20061122
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
US 20070129544	A1	20070607	US 2006-605904	20061129
MX 200806776	A	20080602	MX 2008-6776	20080526
IN 2008DN04829	A	20080815	IN 2008-DN4829	20080605
KR 2008072097	A	20080805	KR 2008-715998	20080630
PRIORITY APPLN. INFO.:			EP 2005-111560	A 20051201
			WO 2006-EP68745	W 20061122

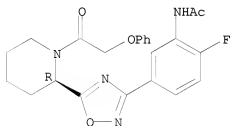
OTHER SOURCE(S): MARPAT 147:52814

GI



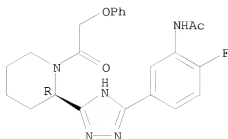
- AB The invention is concerned with substituted piperidine derivs. of formula I as well as physiol. acceptable salts and esters thereof. Comps. of formula I wherein X is (un)substituted CH<sub>2</sub>, NH and derivs., O, S, SO and SO<sub>2</sub>; R<sub>1</sub> is (un)substituted phenyl; R<sub>2</sub> is H and lower alkyl; R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub> and R<sub>6</sub> are independently H, halo, lower alkyl and lower alkoxy; R<sub>3</sub>R<sub>4</sub> and R<sub>5</sub>R<sub>6</sub> may independently be taken together to form a =O; R<sub>7</sub> is (un)substituted oxadiazolyl and (un)substituted triazolyl; and their pharmaceutically acceptable salts and esters thereof, are claimed. These comps. inhibit L- CPTI and can be used as medicaments. Example compound II was prepared by a multistep procedure (procedure given). All the invention comps. were evaluated for their L-CPTI inhibitory activity.
- IT 939998-54-6P 939998-59-1P 939999-17-4P,  
N-(5-Cyano-2-fluorophenyl)acetamide  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(drug candidate; preparation of heteroaryl substituted piperidine derivs. as L-CPTI inhibitors useful as therapeutic and prophylactic agents)
- RN 939998-54-6 CAPLUS
- CN Acetamide, N-[2-fluoro-5-[5-[(2R)-1-(2-phenoxyacetyl)-2-piperidinyl]-1,2,4-oxadiazol-3-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



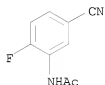
- RN 939998-59-1 CAPLUS
- CN Acetamide, N-[2-fluoro-5-[5-[(2R)-1-(2-phenoxyacetyl)-2-piperidinyl]-1H-1,2,4-triazol-3-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 939999-17-4 CAPLUS

CN Acetamide, N-(5-cyano-2-fluorophenyl)- (CA INDEX NAME)



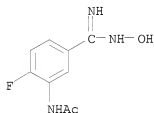
IT 940000-21-5 940000-25-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of heteroaryl substituted piperidine derivs.  
as L-CPTI inhibitors useful as therapeutic and prophylactic agents)

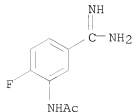
RN 940000-21-5 CAPLUS

CN Acetamide, N-[2-fluoro-5-[(hydroxyamino)iminomethyl]phenyl]- (CA INDEX NAME)



RN 940000-25-9 CAPLUS

CN Acetamide, N-[5-(aminoiminomethyl)-2-fluorophenyl]- (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:565402 CAPLUS

DOCUMENT NUMBER: 147:9942

TITLE: Quinazolines useful as modulators of voltage gated ion channels and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Wilson, Dean; Fanning, Lev T. D.; Krenitsky, Paul; Termin, Andreas; Joshi, Pramod; Sheth, Urvi

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 133pp.

DOCUMENT TYPE: CODEN: PIXXD2

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: English 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007058989	A2	20070524	WO 2006-US43895	20061113
WO 2007058989	A3	20070907		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006315675	A1	20070524	AU 2006-315675	20061113
CA 2628650	A1	20070524	CA 2006-2628650	20061113
EP 1957482	A2	20080820	EP 2006-837387	20061113
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
US 20080221137	A1	20080911	US 2006-598576	20061113
US 20080167305	A1	20080710	US 2008-50289	20080318
KR 2008073749	A	20080811	KR 2008-714446	20080613
PRIORITY APPLN. INFO.:			US 2005-737330P	P 20051114
			WO 2006-US43895	W 20061113

OTHER SOURCE(S): MARPAT 147:9942

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to compds. of formula I useful as inhibitors of voltage-gate sodium channels. Compds. of formula I where squiggle line indicated either (R)- or (S) stereochem.; R is R is H and (un)substituted C1-6 aliphatic; R3, R4 and R5 are independently Q-Rx; Q is bond and C1-6 alkylidene, etc.; Rx is halo, =NH and derivs., NO2, CN, OH and derivs., SH and derivs., etc.; and their pharmaceutically acceptable salts thereof, are claimed. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention and methods of using the

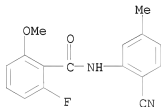
comps. in the treatment of various disorders. Example compound II was prepared by amidation of 2-fluoro-6-methoxybenzoic acid with 2-amino-4-methylbenzonitrile; the resulting N-(2-cyano-5-methylphenyl)-2-fluoro-6-methoxybenzamide underwent cyclization to give 2-(2-fluoro-6-methoxyphenyl)-7-methyl-3H-quinazolin-4-one, which underwent chlorination to give 4-chloro-2-(2-fluoro-6-methoxyphenyl)-7-methylquinazoline, which underwent demethylation to give 2-(4-chloro-7-methylquinazolin-2-yl)-3-fluorophenol, which underwent amination with (R)-benzyl pyrrolidin-3-ylcarbamate to give (R)-benzyl 1-[2-(2-fluoro-6-hydroxyphenyl)-7-methylquinazolin-4-yl]pyrrolidin-3-ylcarbamate, which underwent hydrogenation to give (R)-2-[4-(3-aminopyrrolidin-1-yl)-7-methylquinazolin-2-yl]-3-fluorophenol, which underwent acylation with 2-methoxyethyl chloroformate to give compound II•TFA. All the invention compds. were evaluated for their NaV inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value between 1  $\mu$ M and 5  $\mu$ M.

IT 879274-77-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of quinazoline compds. as inhibitors of voltage-gated sodium channels useful in treatment of various disorders)

RN 879274-77-8 CAPLUS

CN Benzamide, N-(2-cyano-5-methylphenyl)-2-fluoro-6-methoxy- (CA INDEX NAME)



L3 ANSWER 25 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:526090 CAPLUS

DOCUMENT NUMBER: 147:143379

TITLE: The discovery of highly selective erbB2 (Her2) inhibitors for the treatment of cancer

AUTHOR(S): Lipka, Blaise; Kauffman, Goss S.; Arcari, Joel; Kwan, Tricia; Chen, Jinshan; Hungerford, William; Bhattacharya, Samit; Zhao, Xumiao; Williams, Courtney; Xiao, Jun; Pustilnik, Leslie; Su, Chunyan; Moyer, James D.; Ma, Ling; Campbell, Mary; Steyn, Stefanus  
CORPORATE SOURCE: PGRD Groton, Pfizer, Inc., Groton, CT, 06340, USA  
SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(11), 3081-3086

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:143379

AB The synthesis and biol. evaluation of potent and selective inhibitors of the erbB2 kinase is presented. Based on the 4-anilinoquinazoline chemotype, the syntheses of several new series of erbB2 inhibitors are described with quinazoline and pyrido[3,4-d]pyrimidine cores. The vast majority of these compds. are >100+ selective over the



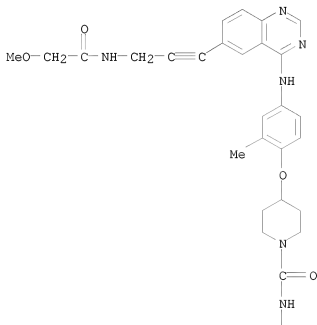
closely related EGFR kinase. Two lead compds. (4-[[4-[[1-(cyclopentylcarbonyl)piperidin-4-yl]oxy]-3-methylphenyl]amino]-6-(morpholin-4-yl)pyrido[3,4-d]pyrimidine hydrochloride and tert-Bu 4-[2-methyl-4-[[6-(morpholin-4-yl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]benzoate) further have low clearance and moderate bioavailability in rat.

IT 943784-37-0P, N-[3-[4-[[4-[[1-[(2,6-Difluorophenyl)carbamoyl]piperidin-4-yl]oxy]-3-methylphenyl]amino]quinazolin-6-yl]-2-propynyl]-2-methoxyacetamide 943784-58-5P, N-(2,6-Difluorophenyl)-4-[[4-[[6-(2-methoxyethoxy)quinazolin-4-yl]amino]-2-methylphenyl]oxy]piperidine-1-carboxamide 943784-59-6P, N-(2,6-Difluorophenyl)-4-[2-methyl-4-[[6-[3-(morpholin-4-yl)propoxy]quinazolin-4-yl]amino]phenoxy]piperidine-1-carboxamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of anilinoquinazolines and anilinopyridopyrimidines as highly selective erbB2 (Her2) inhibitors for treatment of cancer)

RN 943784-37-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[6-[3-[(2-methoxyacetyl)amino]-1-propyn-1-yl]-4-quinazolinyl]amino]-2-methylphenoxy]-  
 (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

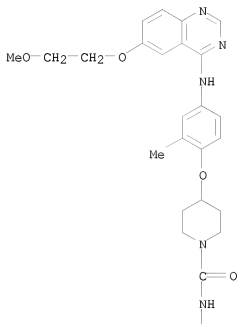


10/562,112

RN 943784-58-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[6-(2-methoxyethoxy)-4-quinazolinyl]amino]-2-methylphenoxy]- (CA INDEX NAME)

PAGE 1-A

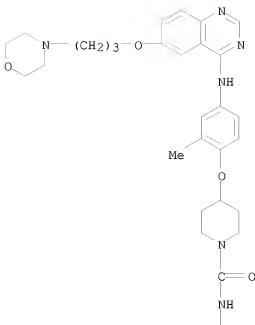


PAGE 2-A



RN 943784-59-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenoxy]- (CA INDEX NAME)

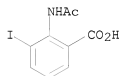


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

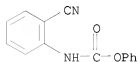
L3 ANSWER 26 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:410879 CAPLUS  
 DOCUMENT NUMBER: 148:538299  
 TITLE: Process for synthesis of quinazolinones as  
 antimycobacterial agents  
 INVENTOR(S): Meyyanathan, S. N.; Suresh, Bhojraj; Anbunathan,  
 Perumal Nirmala  
 PATENT ASSIGNEE(S): India  
 SOURCE: Indian Pat. Appl., 14pp.  
 CODEN: INXXBQ  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
IN 2004CH01048	A	20070309	IN 2004-CH1048	20041011
PRIORITY APPLN. INFO.:			IN 2004-CH1048	20041011

OTHER SOURCE(S): CASREACT 148:538299  
 AB A process for the synthesis of 4-(2-methyl-4-oxo-4h-quinazolin  
 -3-yl)-benzoyl pyrrolidine-2-carboxylic acid starting from anthranilic  
 acids and acetic anhydride. The claimed compds. are active against  
 Mycobacterium tuberculosis.  
 IT 1027340-18-6  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (process for synthesis of quinazolinones as antimycobacterial  
 agents)  
 RN 1027340-18-6 CAPLUS  
 CN Benzoic acid, 2-(acetylamino)-3-iodo- (CA INDEX NAME)



L3 ANSWER 27 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:392415 CAPLUS  
 DOCUMENT NUMBER: 148:308280  
 TITLE: Convenient preparation procedure for  
 3-alkyl-4-imino-3,4-dihydro-1H-quinazolin  
 -2-ones  
 Vovk, M. B.  
 AUTHOR(S):  
 CORPORATE SOURCE: Institute of Organic Chemistry, National Academy of  
 Sciences of the Ukraine, Kiev, 02094, Ukraine  
 SOURCE: Russian Journal of Organic Chemistry (2007), 43(2),  
 312-314  
 CODEN: RJOCEQ; ISSN: 1070-4280  
 PUBLISHER: Pleiades Publishing, Ltd.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 148:308280  
 AB Cyclization of Ph N-(2-cyanophenyl)carbamate with alkylamines in MeCN gave  
 the title compds. in 76-85% yields.  
 IT 924715-43-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of iminoquinazolinones by cyclization of (cyanophenyl)carbamate  
 with aliphatic amines)  
 RN 924715-43-5 CAPLUS  
 CN Carbamic acid, N-(2-cyanophenyl)-, phenyl ester (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:321162 CAPLUS  
 DOCUMENT NUMBER: 146:521755

TITLE: Discovery, Synthesis, and in Vivo Activity of a New Class of Pyrazolylamino Quinazolines as Selective Inhibitors of Aurora B Kinase

AUTHOR(S): Mortlock, Andrew A.; Foote, Kevin M.; Heron, Nicola M.; Jung, Frederic H.; Pasquet, Georges; Lohmann, Jean-Jacques M.; Warin, Nicolas; Renaud, Fabrice; De Savi, Chris; Roberts, Nicola J.; Johnson, Trevor; Dousson, Cyril B.; Hill, George B.; Perkins, David; Hatter, Glenn; Wilkinson, Robert W.; Wedge, Stephen R.; Heaton, Simon P.; Odedra, Rajesh; Keen, Nicholas J.; Crafter, Claire; Brown, Elaine; Thompson, Katherine; Brightwell, Stephen; Khatri, Liz; Brady, Madeleine C.; Kearney, Sarah; McKillop, David; Rhead, Steve; Parry, Tony; Green, Stephen

CORPORATE SOURCE: AstraZeneca Pharmaceuticals, Macclesfield, Cheshire, SK10 4TG, UK

SOURCE: Journal of Medicinal Chemistry (2007), 50(9), 2213-2224

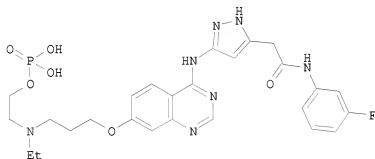
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:521755

GI



I

AB A series of pyrazolylamino-substituted quinazolines was synthesized and biol. evaluated as inhibitors of Aurora kinases, which have been the subject of considerable interest as targets for the development of new anticancer agents. Some of the products demonstrated greater than 1000-fold selectivity for Aurora B over Aurora A kinase activity in recombinant enzyme assays. These compds. have been designed for parenteral administration and achieve high levels of solubility by virtue of their ability to be delivered as readily activated phosphate derivs. The prodrugs are comprehensively converted to the des-phosphate form in vivo, and the active species have advantageous pharmacokinetic properties and safety pharmacol. profiles. The compds. display striking in vivo activity, and I (AZD1152) has been selected for clin. evaluation and is currently in phase 1 clin. trials.

IT 936731-81-6P

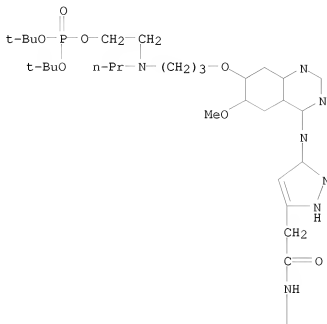
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and in vivo activity of pyrazolylamino-substituted quinazolines as selective inhibitors of Aurora B kinase and antitumor agents)

RN 936731-81-6 CAPLUS

CN Phosphoric acid, 2-[[3-[[4-[[5-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-3-yl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]propylamino]ethyl bis(1,1-dimethylethyl) ester (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE  
 REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:262438 CAPLUS

DOCUMENT NUMBER: 146:500996

TITLE: A Novel Highly Stereoselective Synthesis of  
 2,3-Disubstituted 3H-Quinazoline-4-one  
 Derivatives

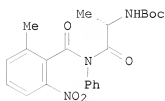
AUTHOR(S): Zhichkin, Paul; Kesicki, Edward; Treiberg, Jennifer;  
 Bourdon, Lisa; Ronsheim, Matthew; Ooi, Hua Chee;  
 White, Stephen; Judkins, Angela; Fairfax, David  
 CORPORATE SOURCE: Albany Molecular Research, Inc., Albany, NY, 12212,  
 USA

SOURCE: Organic Letters (2007), 9(7), 1415-1418

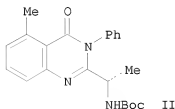
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 146:500996  
 GI



I



II

AB An efficient three-step synthesis of chiral 3H-quinazolin-4-one derivs. from com. materials is disclosed. The Mumm reaction of nitrobenzimidoyl chlorides with chiral L- $\alpha$ -amino acids, which were prepared by chlorination of nitrobenzamides, affords the corresponding (nitrobenzamido)oxoethylcarbamate derivs, e.g., I. Reductive cyclocondensation of the (nitrobenzamido)oxoethylcarbamate derivs affords enantiomerically pure (ee >93%) quinazolin-4-ones, e.g., II, in good overall yield. A comparison with existing approaches indicates that this method is superior for hindered substrates.

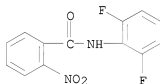
IT 936025-10-4P 936025-14-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(stereoselective preparation of quinazolinone derivs. via amidation and chlorination of nitrobenzoic acids/nitrobenzoyl chloride to generate nitrobenzimidoyl chlorides which undergo Mumm reaction and reductive cyclocondensation)

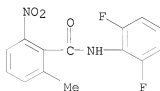
RN 936025-10-4 CAPLUS

CN Benzamide, N-(2,6-difluorophenyl)-2-nitro- (CA INDEX NAME)



RN 936025-14-8 CAPLUS

CN Benzamide, N-(2,6-difluorophenyl)-2-methyl-6-nitro- (CA INDEX NAME)



REFERENCE COUNT:

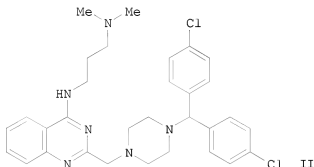
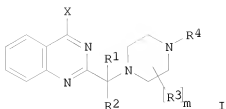
44

THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 30 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:85847 CAPLUS  
 DOCUMENT NUMBER: 146:184486  
 TITLE: Preparation of piperazinomethyl substituted  
 quinazolines useful in cancer treatment  
 INVENTOR(S): Mallams, Alan K.; Dasmahapatra, Bimalendu; Neustadt,  
 Bernard R.; Demma, Mark; Vaccaro, Henry A.  
 PATENT ASSIGNEE(S): Schering Corporation, USA  
 SOURCE: PCT Int. Appl., 569pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

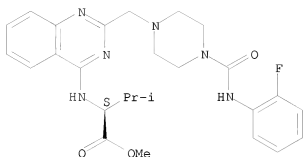
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007011623	A1	20070125	WO 2006-US27114	20060713
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2615380	A1	20070125	CA 2006-2615380	20060713
US 20070032502	A1	20070208	US 2006-486358	20060713
EP 1924568	A1	20080528	EP 2006-787068	20060713
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS			
MX 200800745	A	20080314	MX 2008-745	20080115
CN 101263125	A	20080910	CN 2006-80033539	20080312
PRIORITY APPLN. INFO.:			US 2005-700058P	P 20050715
			WO 2006-US27114	W 20060713
OTHER SOURCE(S):	MARPAT 146:184486			
GI				





- AB The title compds. I [ $m = 0-2$ ;  $X = OR^5$ ,  $N(R^6)_2$ ;  $R^1$ ,  $R^2 = H$ , alkyl;  $R^3 =$  (un)substituted alkyl, cycloalkyl, aryl, etc.;  $R^4 = H$ , alkyl, cycloalkyl, aryl, etc.;  $R^5, R^6 = H$ , alkyl, cycloalkyl, etc.], useful for treating cellular proliferative diseases, disorders associated with activity of mutants of p53, or in causing apoptosis of cancer cells, were prepared E.g., a multi-step synthesis of II, starting from Et 2-aminobenzoate and chloroacetonitrile, was given. Compound II showed  $EC_{50}$  of  $1.1 \mu M$  (MB468) when tested in proliferation assay measuring the growth suppression effects of small mols. in cells with mutant p53 vs. p53 null background. The present invention also provides compns. comprising the compds. I.
- IT 922152-99-6P 922153-10-4P 922153-26-2P  
922155-86-0P 922155-97-3P 922156-12-5P  
922158-93-8P 922159-03-3P 922159-18-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of piperazinomethyl substituted quinazolines as antitumor agents)
- RN 922152-99-6 CAPLUS
- CN L-Valine, N-[2-[[4-[[2-(4-fluorophenyl)amino]carbonyl]-1-piperazinyl]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

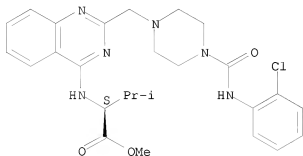
Absolute stereochemistry.



RN 922153-10-4 CAPLUS

CN L-Valine, N-[2-[[4-[[2-(chlorophenyl)amino]carbonyl]-1-piperazinyl]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

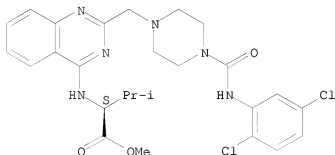
Absolute stereochemistry.



RN 922153-26-2 CAPLUS

CN L-Valine, N-[2-[[4-[[2-(5,6-dichlorophenyl)amino]carbonyl]-1-piperazinyl]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

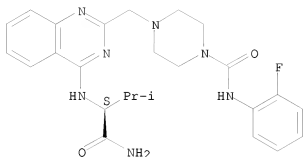
Absolute stereochemistry.



RN 922155-86-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[[4-[[1S]-1-(aminocarbonyl)-2-methylpropyl]amino]-2-quinazolinyl]methyl]-N-(2-fluorophenyl)- (CA INDEX NAME)

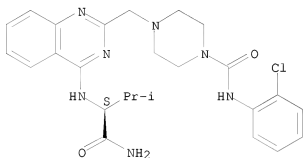
Absolute stereochemistry.



RN 922155-97-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[[4-[[[(1S)-1-(aminocarbonyl)-2-methylpropyl]amino]-2-quinazoliny]methyl]-N-(2-chlorophenyl)]- (CA INDEX NAME)

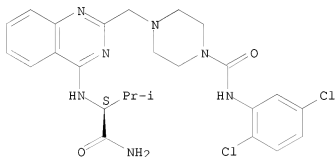
Absolute stereochemistry.



RN 922156-12-5 CAPLUS

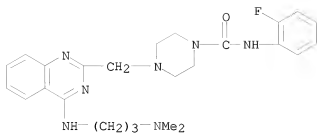
CN 1-Piperazinecarboxamide, 4-[[4-[[[(1S)-1-(aminocarbonyl)-2-methylpropyl]amino]-2-quinazoliny]methyl]-N-(2,5-dichlorophenyl)]- (CA INDEX NAME)

Absolute stereochemistry.

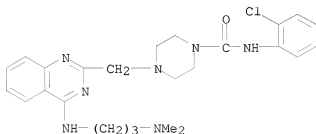


RN 922158-93-8 CAPLUS

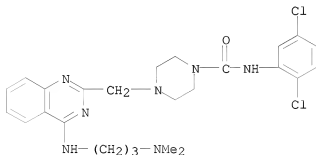
CN 1-Piperazinecarboxamide, 4-[[4-[[[3-(dimethylamino)propyl]amino]-2-quinazoliny]methyl]-N-(2-fluorophenyl)]- (CA INDEX NAME)



RN 922159-03-3 CAPLUS  
 CN 1-Piperazinecarboxamide, N-(2-chlorophenyl)-4-[[4-[[3-(dimethylamino)propyl]amino]-2-quinazolinyl]methyl]- (CA INDEX NAME)



RN 922159-18-0 CAPLUS  
 CN 1-Piperazinecarboxamide, N-(2,5-dichlorophenyl)-4-[[4-[[3-(dimethylamino)propyl]amino]-2-quinazolinyl]methyl]- (CA INDEX NAME)



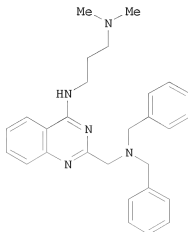
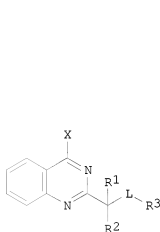
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 31 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2007:63627 CAPLUS  
 DOCUMENT NUMBER: 146:163135  
 TITLE: Preparation of quinazoline derivatives useful in cancer treatment  
 INVENTOR(S): Mallams, Alan K.; Dasmahapatra, Bimalendu; Neustadt, Bernard R.; Demma, Mark; Vaccaro, Henry A.  
 PATENT ASSIGNEE(S): Schering Corporation, USA  
 SOURCE: U.S. Pat. Appl. Publ., 536pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070015774	A1	20070118	US 2006-486300	20060713
CA 2615373	A1	20070125	CA 2006-2615373	20060713
WO 2007011618	A1	20070125	WO 2006-US27105	20060713
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1915351	A1	20080430	EP 2006-787060	20060713
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
MX 200800744	A	20080310	MX 2008-744	20080115
CN 101263124	A	20080910	CN 2006-80033491	20080312
PRIORITY APPLN. INFO.:				P 20050715
				WO 2006-US27105 W 20060713

OTHER SOURCE(S): MARPAT 146:163135  
 GI



AB The title compds. I [X = OR<sub>4</sub>, SR<sub>5</sub> or N(R<sub>6</sub>)<sub>2</sub>; L = NR<sub>7</sub>, NR<sub>7</sub>CO, NR<sub>7</sub>CONR<sub>7</sub>, NR<sub>7</sub>SO<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = H, alkyl; R<sub>3</sub> = (un)substituted alkyl, cycloalkyl, aryl, etc.; R<sub>4</sub>-R<sub>6</sub> = H, alkyl, cycloalkyl, etc.; R<sub>7</sub> = H, alkyl, CH<sub>2</sub>Ph; with the proviso], useful for treating cellular proliferative diseases, disorders associated with activity of mutants of p53, or in causing apoptosis of cancer cells, were prepared. Thus, reacting 4-chloro-2-(N,N-dibenzylaminomethyl) quinazoline with 3-dimethylaminopropylamine afforded 97% II. Exemplified compound I were tested for their ability to bind directly to p53

core and restore DNA binding activity to mutant p53 (data were given for selected compds. I). The present invention also provides compns. comprising the compds. I.

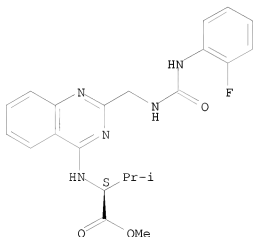
IT 920027-69-6P 920027-81-2P 920029-39-6P  
920029-49-8P 920032-45-7P 920032-56-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. as antitumor agents)

RN 920027-69-6 CAPLUS

CN L-Valine, N-[2-[[[(2-fluorophenyl)amino]carbonyl]amino]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

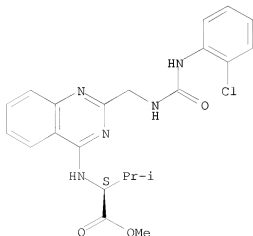
Absolute stereochemistry.



RN 920027-81-2 CAPLUS

CN L-Valine, N-[2-[[[(2-chlorophenyl)amino]carbonyl]amino]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

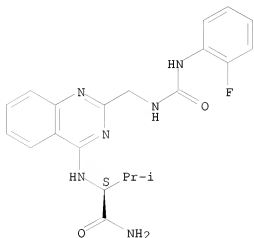


RN 920029-39-6 CAPLUS

10/562,112

CN Butanamide, 2-[[2-[[[(2-fluorophenyl)amino]carbonyl]amino]methyl]-4-quinazolinyl]amino]-3-methyl-, (2S)- (CA INDEX NAME)

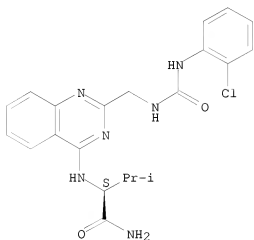
Absolute stereochemistry.



RN 920029-49-8 CAPLUS

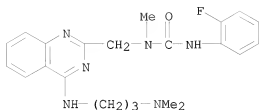
CN Butanamide, 2-[[2-[[[(2-chlorophenyl)amino]carbonyl]amino]methyl]-4-quinazolinyl]amino]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

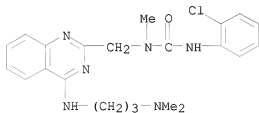


RN 920032-45-7 CAPLUS

CN Urea, N-[[4-[[3-(dimethylamino)propyl]amino]-2-quinazolinyl]methyl]-N'-(2-fluorophenyl)-N-methyl- (CA INDEX NAME)



RN 920032-56-0 CAPLUS  
 CN Urea, N'-(2-chlorophenyl)-N-[[4-[[3-(dimethylamino)propyl]amino]-2-quinazolinyl]methyl]-N-methyl- (CA INDEX NAME)



L3 ANSWER 32 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1358129 CAPLUS  
 DOCUMENT NUMBER: 146:100721  
 TITLE: Preparation of 8-alkoxy or cycloalkoxy-4-methyl-3,4-dihydro-quinazolin-2-ylamines for treating 5-HT5A receptor related diseases  
 INVENTOR(S): Alanine, Alexander; Gobbi, Luca Claudio; Kolczewski, Sabine; Luebbbers, Thomas; Peters, Jens-Uwe; Steward, Lucinda  
 PATENT ASSIGNEE(S): Fr.  
 SOURCE: U.S. Pat. Appl. Publ., 13pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060293350	A1	20061228	US 2006-472084	20060621
AU 2006263925	A1	20070104	AU 2006-263925	20060616
CA 2612478	A1	20070104	CA 2006-2612478	20060616
WO 2007000393	A1	20070104	WO 2006-EP63269	20060616

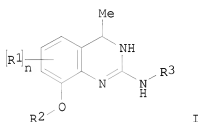
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,



GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM  
 EP 1899307 A1 20080319 EP 2006-777337 20060616  
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR  
 MX 200715777 A 20080222 MX 2007-15777 20071211  
 CN 101208308 A 20080625 CN 2006-80022929 20071225  
 KR 2008014082 A 20080213 KR 2007-730363 20071226  
 IN 2007CN06007 A 20080627 IN 2007-CN6007 20071227  
 PRIORITY APPLN. INFO.: EP 2005-105699 A 20050627  
 WO 2006-EP63269 W 20060616

OTHER SOURCE(S): MARPAT 146:100721  
 GI

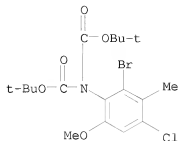


AB The title compds. I [R1 = H, halo, alkyl; R2 = alkyl or cycloalkyl; R3 = H, alkyl, haloalkyl, etc.; n = 0-2] which can be used for the treatment of 5-HT5A receptor antagonists related diseases, which include depression, anxiety disorders, schizophrenia, panic disorders, agoraphobia, social phobia, obsessive compulsive disorders, post-traumatic stress disorders, pain, memory disorders, disorders of eating behaviors, sexual dysfunction, sleep disorders, withdrawal from abuse of drugs, motor disorders such as Parkinson's disease, dementia in Parkinson's disease, neuroleptic-induced Parkinsonism and tardive dyskinesias, as well as other psychiatric disorders and gastrointestinal disorders such as irritable bowel syndrome, were prepared and formulated. E.g., a multi-step synthesis of I [R1 = H; R2 = Me; R3 = H], starting from 2'-amino-3'-hydroxyacetophenone, was given. Exemplified compds. I were tested to determine the affinity for the recombinant human 5-HT5A receptor (Ki data were given).

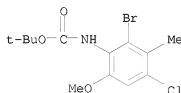
IT RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of 8-(cyclo)alkoxy-4-methyl-3,4-dihydro-quinazolin-2-ylamines for treating 5-HT5A receptor related diseases)

RN 918136-58-0 CAPLUS

CN Imidodicarbonic acid, N-(2-bromo-4-chloro-6-methoxy-3-methylphenyl)-, C,C'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)



RN 918136-59-1 CAPLUS

CN Carbamic acid, N-(2-bromo-4-chloro-6-methoxy-3-methylphenyl)-,  
1,1-dimethylethyl ester (CA INDEX NAME)

L3 ANSWER 33 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1338413 CAPLUS

DOCUMENT NUMBER: 146:81779

TITLE: Preparation of quinolinones and analogs for the  
treatment of multi-drug resistant bacterial infections  
INVENTOR(S): Breault, Gloria; Eyermann, Charles Joseph; Geng,  
Bolin; Morningstar, Marshall; Reck, FolkertPATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited  
SOURCE: PCT Int. Appl., 209pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

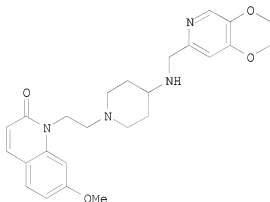
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006134378	A1	20061221	WO 2006-GB2207	20060616
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006258879	A1	20061221	AU 2006-258879	20060616
CA 2610900	A1	20061221	CA 2006-2610900	20060616

EP 1893599	A1	20080305	EP 2006-744233	20060616
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,				
BA, HR, MK, YU				
IN 2007DN09254	A	20080118	IN 2007-DN9254	20071130
MX 200715297	A	20080221	MX 2007-15297	20071204
KR 2008021031	A	20080306	KR 2007-729378	20071214
NO 2008000338	A	20080229	NO 2008-338	20080116
CN 101243068	A	20080813	CN 2006-80029394	20080213
PRIORITY APPLN. INFO.:			US 2005-691340P	P 20050616
			WO 2006-GB2207	W 20060616

OTHER SOURCE(S): MARPAT 146:81779  
GI



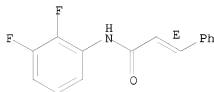
II

AB The invention is related to compds. L-U1-M-U2-R [I; L = (un)substituted 2-oxo-1,2-dihydroquinolin-1-yl, 2-oxo-1,4-dihydroquinolin-1-yl, 3-oxo-2,3-dihydro-4H-1,4-benzoxazin-4-yl, 2,4-dioxo-3,4-dihydroquinazolin-1(2H)-yl, 2-oxo-1,8-naphthyridin-1(2H)-yl, 2-oxoquinoxalin-1(2H)-yl, 3-oxopyrido[2,3-b]pyrazin-4(3H)-yl, etc.; U1 = CRaRb-CRCRd, CRaRb-CRCRd-CRErF; Ra-f = independently H, (un)substituted alkyl; M = (un)substituted 1,4-piperidinylen, 1,4-pyrazinylen, 2,5-piperidinylen, etc.; U2 = NR'-W; R' = H, alkyl, alkylcarbonyl, etc.; W = CH2, CO, CO2, CH2CH2, etc.; when W = CH2, CO or SO2, R = (un)substituted hetero/aryl, heterocyclyl, or ortho-fused bicyclic heteroaryl; when W = CH2CH2, CH2CH:CH, CH2C.tplbond.C, or CH2-cyclopropylene, R = (un)substituted hetero/aryl, heteroaryloxy, heteroarylthio, heteroarylsulfinyl, heteroarylsulfonyl, heteroarylamino; with proviso] their pharmaceutically acceptable salts, and N-oxides that demonstrate antibacterial activity, processes for their preparation, pharmaceutical compns. containing them as the active ingredient, to their use as medicaments and to their use in the manufacture of medicaments for use in the treatment of multi-drug resistant bacterial infections in warm blooded animals such as humans. Thus, alkylation of 7-methoxyquinolin-2(1H)-one with 2-[4-[(tert-butoxycarbonyl)amino]piperidin-1-yl]ethyl methanesulfonate, deprotection, and reduction amination of 2,3-dihydro-[1,4]dioxino[2,3-c]pyridine-7-carboxaldehyde with the amine intermediate gave oxoquinoline salt II•2HCl. Compds. I generally have IC50 <20 µg/mL for inhibition of Escherichia coli DNA supercoiling and GyrB ATPase activities and have MIC's ≤8 µg/mL vs. Gram-pos. species, including Staphylococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, and Enterococcus

faecium and vs. Gram-neg. species including Haemophilus influenzae, Escherichia coli and Moraxella catarrhalis.

IT 917341-41-4P, (2E)-N-(2,3-Difluorophenyl)-3-phenyl-2-propenamide  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of quinolinones and analogs for the treatment of multi-drug resistant bacterial infections)  
 RN 917341-41-4 CAPLUS  
 CN 2-Propenamide, N-(2,3-difluorophenyl)-3-phenyl-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 34 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1280896 CAPLUS  
 DOCUMENT NUMBER: 146:45534  
 TITLE: Preparation of quinazolines as aurora kinase inhibitors  
 INVENTOR(S): Foote, Kevin Michael  
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited  
 SOURCE: PCT Int. Appl., 47pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006129064	A1	20061207	WO 2006-GB1911	20060524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1888572	A1	20080220	EP 2006-727154	20060524
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
IN 2007DN08643	A	20071214	IN 2007-DN8643	20071108
US 20080194556	A1	20080814	US 2007-914474	20071115
CN 101184751	A	20080521	CN 2006-80018768	20071128
PRIORITY APPLN. INFO.:			GB 2005-10963	A 20050528

GB 2006-743

A 20060114

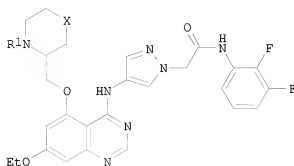
WO 2006-GB1911

W 20060524

OTHER SOURCE(S):

CASREACT 146:45534; MARPAT 146:45534

GI



I

AB Title compds. represented by the formula I [wherein R1 = H or Me; X = a bond or O; and pharmaceutically acceptable salts thereof] were prepared as aurora kinase inhibitors. For example, I [R1 = Me, X = a bond] was provided in a multi-step synthesis starting from the reaction of 5,7-difluoroquinazolin-4(3H)-one with 4-anilinoquinazoline. The prepared quinazoline derivs. showed biol. activity in in vitro aurora A & B kinase inhibition test, in vitro cell phenotype and substrate phosphorylation assay, and in vitro drug-resistant cell phenotype and substrate phosphorylation assay. Thus, I and their pharmaceutical compns. are useful as aurora kinase inhibitors for the treatment of disease, in particular proliferative diseases such as cancer.

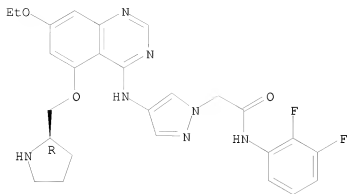
IT 916483-46-0P, N-(2,3-Difluorophenyl)-2-[4-[[7-ethoxy-5-((2R)-pyrrolidin-2-ylmethoxy)quinazolin-4-yl]amino]-1H-pyrazol-1-yl]acetamide

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of quinazoline derivs. as aurora kinase inhibitors for treatment of cancers)

RN 916483-46-0 CAPLUS

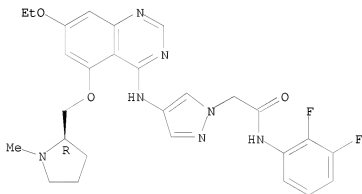
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(2R)-2-pyrrolidinylmethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



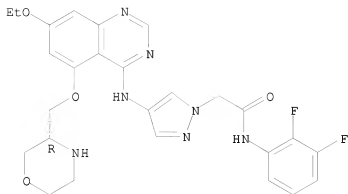
IT 916483-45-9P 916483-64-2P, N-(2,3-Difluorophenyl)-2-([4-  
[[7-ethoxy-5-((3R)-morpholin-3-ylmethoxy)quinazolin  
-4-yl]amino]-1H-pyrazol-1-yl]acetamide 916483-70-0P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(preparation of quinazoline derivs. as aurora kinase inhibitors  
for treatment of cancers)  
RN 916483-45-9 CAPLUS  
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(2R)-1-  
methyl-2-pyrrolidinyl]methoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 916483-64-2 CAPLUS  
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(3R)-3-  
morpholinylmethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

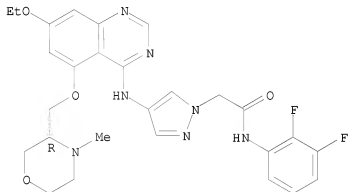
Absolute stereochemistry.



RN 916483-70-0 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(3R)-4-methyl-3-morpholinyl]methoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



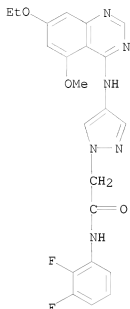
IT 916483-49-3, N-(2,3-Difluorophenyl)-2-[4-[(7-ethoxy-5-methoxyquinazolin-4-yl)amino]-1H-pyrazol-1-yl]acetamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of quinazoline derivs. as aurora kinase inhibitors for treatment of cancers)

RN 916483-49-3 CAPLUS

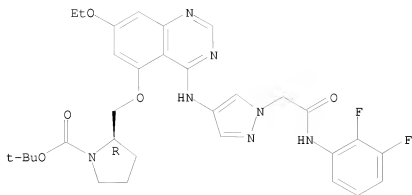
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)



IT 916483-47-1P, tert-Butyl (2R)-2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxyquinazolin-5-yl]oxy]methyl]pyrrolidine-1-carboxylate  
 916483-48-2P, N-(2,3-Difluorophenyl)-2-[4-[(7-ethoxy-5-methoxyquinazolin-4-yl)amino]-1H-pyrazol-1-yl]acetamide hydrochloride  
 916483-50-6P, N-(2,3-Difluorophenyl)-2-[4-[(7-ethoxy-5-hydroxyquinazolin-4-yl)amino]-1H-pyrazol-1-yl]acetamide  
 916483-51-7P, 2-Chloro-N-(2,3-difluorophenyl)acetamide  
 916483-52-8P, 2-(4-Bromo-1H-pyrazol-1-yl)-N-(2,3-difluorophenyl)acetamide 916483-53-9P, N-(2,3-Difluorophenyl)-2-[4-[(diphenylmethylene)amino]-1H-pyrazol-1-yl]acetamide  
 916483-54-0P, 2-(4-Amino-1H-pyrazol-1-yl)-N-(2,3-difluorophenyl)acetamide hydrochloride 916483-55-1P, tert-Butyl (2R)-2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxyquinazolin-5-yl]oxy]methyl]pyrrolidine-1-carboxylate hydrochloride 916483-65-3P 916483-66-4P,  
 (3R)-3-[[[4-[[1-[2-[(2,3-Difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxyquinazolin-5-yl]oxy]methyl]morpholine-4-carboxylic acid tert-butyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of quinazoline derivs. as aurora kinase inhibitors for treatment of cancers)  
 RN 916483-47-1 CAPLUS  
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxy-5-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

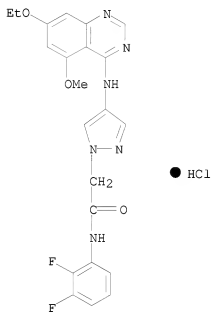
Absolute stereochemistry.





RN 916483-48-2 CAPLUS

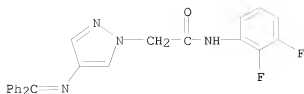
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-ethoxy-5-methoxy-4-quinazolinyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)



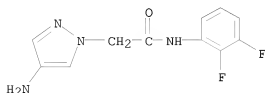
RN 916483-50-6 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-ethoxy-5-hydroxy-4-quinazolinyl)amino]- (CA INDEX NAME)





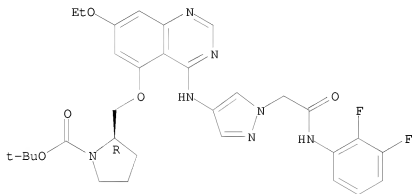
RN 916483-54-0 CAPLUS  
 CN 1H-Pyrazole-1-acetamide, 4-amino-N-(2,3-difluorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 916483-55-1 CAPLUS  
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxy-5-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.

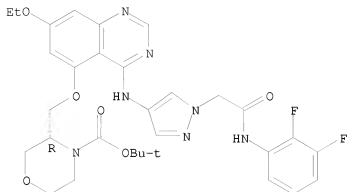


● HCl

RN 916483-65-3 CAPLUS  
 CN 4-Morpholinecarboxylic acid, 3-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxy-5-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, hydrochloride (1:1), (3R)- (CA INDEX NAME)

10/562,112

Absolute stereochemistry.

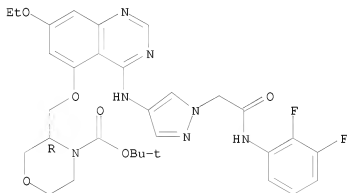


● HCl

RN 916483-66-4 CAPLUS

CN 4-Morpholinecarboxylic acid, 3-[[[4-[[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxy-5-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1252442 CAPLUS

DOCUMENT NUMBER: 146:27826

TITLE: Preparation of pyrazole compounds as hepatic glycogen phosphorylase inhibitors and therapeutic agents for diabetes

INVENTOR(S): Takagi, Masaki; Nakamura, Takeshi; Matsuda, Isamu; Fukuda, Kenji; Ozawa, Koichi; Ueda, Nobuhisa; Sakata, Kaoru; Nomura, Yukihiro

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

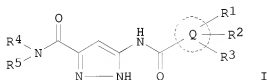
SOURCE: PCT Int. Appl., 490pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006126695	A1	20061130	WO 2006-JP310603	20060522
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006250354	A1	20061130	AU 2006-250354	20060522
CA 2609394	A1	20061130	CA 2006-2609394	20060522
JP 2007191461	A	20070802	JP 2006-141015	20060522
EP 1884513	A1	20080206	EP 2006-756652	20060522
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
US 20070032529	A1	20070208	US 2006-438489	20060523
KR 2008012304	A	20080211	KR 2007-727179	20071122
MX 200714866	A	20080214	MX 2007-14866	20071123
CN 101208306	A	20080625	CN 2006-80018194	20071123
IN 2007CN05312	A	20080627	IN 2007-CN5312	20071123
NO 2007006524	A	20080204	NO 2007-6524	20071218
PRIORITY APPLN. INFO.:			JP 2005-148847	A 20050523
			US 2005-685037P	P 20050526
			JP 2005-367286	A 20051220
			US 2006-755820P	P 20060103
			WO 2006-JP10603	W 20060522
			WO 2006-JP310603	W 20060522

OTHER SOURCE(S): MARPAT 146:27826  
 GI



AB The title compds. (I) or pharmacol. acceptable salts thereof [ring Q = aryl or aromatic heterocyclic group; R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2 = halo, C1-6 alkyl, C1-6 alkoxy, azido; R3 = halo, hydroxyl, C1-6 alkyl, halo-C1-6 alkyl, C1-6 alkoxy, azido, amino, acylamino, C1-6 alkylsulfonfylamino; R4, R5 independently = H, C2-6 alkenyl, C2-6 alkynyl, (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, 5- or 6-membered saturated monocyclic heterocyclic group, aryl, C7-14 aralkyl, or 5- or 6-membered aromatic monocyclic heterocyclic group]

optionally fused to a benzene ring, etc.] are prepared These compds. have a hepatic glycogen phosphorylase inhibitory activity and therefore is useful as a therapeutic or prophylactic agent for diabetes. Thus, 6.00 g 5-(2-chloro-4,5-difluoro-benzoylamino)-1H-pyrazole-3-carboxylic acid imidazolidine was suspended in 50 mL DMF, treated with 1.72 mL 3-picolyamine under ice-cooling, and stirred at room temperature overnight to give 4.49 g 5-(2-chloro-4,5-difluoro-benzoylamino)-1H-pyrazole-3-carboxylic acid N-(pyridin-3-ylmethyl)amide (II). II showed IC50 of <100 nm against human hepatic glycogen phosphorylase.

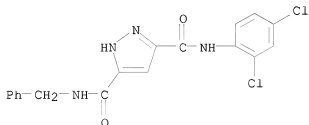
IT 915787-91-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole compds. as hepatic glycogen phosphorylase inhibitors and therapeutic agents for diabetes)

RN 915787-91-6 CAPLUS

CN 1H-Pyrazole-3,5-dicarboxamide, N3(2,4-dichlorophenyl)-N5-(phenylmethyl)- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1226404 CAPLUS

DOCUMENT NUMBER: 146:7978

TITLE: Preparation of 2-amino-4-phenylquinazolines as HSP90 modulators

INVENTOR(S): Eggenweiler, Hans-Michael; Wolf, Michael; Buchstaller, Hans-Peter

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCI Int. Appl., 113pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006122631	A1	20061123	WO 2006-EP3734	20060424
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				



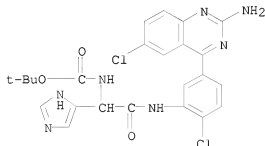
2,4-dichlorophenyl]carbamoyl]methoxy]acetic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-amino-4-phenylquinazolines as HSP90 modulators)

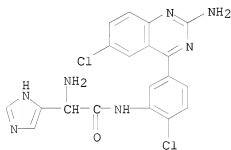
RN 915692-35-2 CAPLUS

CN Carbamic acid, N-[2-[[5-(2-amino-6-chloro-4-quinazoliny)]-2-chlorophenyl]amino]-1-(1H-imidazol-5-yl)-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



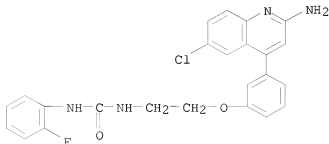
RN 915692-36-3 CAPLUS

CN 1H-Imidazole-5-acetamide,  $\alpha$ -amino-N-[5-(2-amino-6-chloro-4-quinazoliny)]-2-chlorophenyl]- (CA INDEX NAME)



RN 915692-57-8 CAPLUS

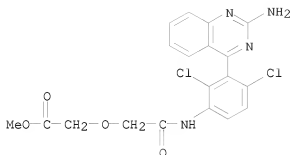
CN Urea, N-[2-[3-(2-amino-6-chloro-4-quinoliny)phenoxy]ethyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



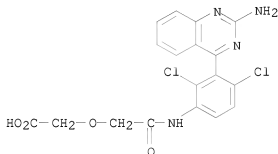
RN 915692-60-3 CAPLUS

CN Acetic acid, 2-[2-[3-(2-amino-4-quinazoliny)]-2,4-dichlorophenyl]amino]-2-oxoethoxy]-, methyl ester (CA INDEX NAME)





RN 915692-61-4 CAPLUS  
 CN Acetic acid, 2-[2-[[3-(2-amino-4-quinazolinyl)-2,4-dichlorophenyl]amino]-2-oxoethoxy]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1176345 CAPLUS  
 DOCUMENT NUMBER: 145:489566  
 TITLE: Preparation of quinoline and quinazoline amino acid derivatives as inhibitors of kinase enzymatic activity  
 INVENTOR(S): Davidson, Alan Hornsby; Davies, Stephen John; Moffat, David Festus Charles  
 PATENT ASSIGNEE(S): Chroma Therapeutics Ltd., UK  
 SOURCE: PCT Int. Appl., 205pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006117552	A1	20061109	WO 2006-GB1609	20060504
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,				

SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,  
VN, YU, ZA, ZM, ZW  
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM

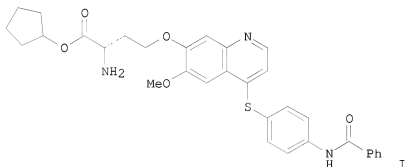
AU 2006243068	A1	20061109	AU 2006-243068	20060504
CA 2606338	A1	20061109	CA 2006-2606338	20060504
EP 1877383	A1	20080116	EP 2006-726986	20060504
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
MX 200713276	A	20080121	MX 2007-13276	20071024
IN 2007CN04846	A	20080125	IN 2007-CN4846	20071029
KR 2008010400	A	20080130	KR 2007-724927	20071029
CN 101166726	A	20080423	CN 2006-80014682	20071029
			GB 2005-9227	A 20050505
			WO 2006-GB1609	W 20060504

PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

MARPAT 145:489566

GI



AB The invention relates to quinoline and quinazoline linker-attached amino acid derivs. which are inhibitors of kinase enzymic activity. Thus, quinoline derivative I was prepared by a multistep sequence, including etherification of 4-chloro-6-methoxy-7-quinolinol with (S)-4-bromo-2-(tert-butoxycarbonylamino)butyric acid cyclopentyl ester, followed by reaction with N-(4-mercaptophenyl)benzamide. Compound I showed IC50 < 2,000 nM in the aurora-A inhibition assay and IC50 < 1,000 nM for inhibition of cancer cell lines U937, HCT 116 and HUT.

IT 914488-67-8P 914488-68-9P 914488-73-6P  
914488-74-7P 914489-49-9P 914489-52-4P

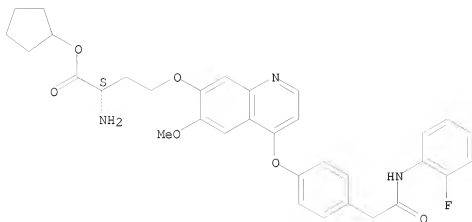
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinoline and quinazoline amino acid derivs. as inhibitors of kinase enzymic activity)

RN 914488-67-8 CAPLUS

CN L-Homoserine, O-[4-[4-[2-[(2-fluorophenyl)amino]-2-oxoethyl]phenoxy]-6-methoxy-7-quinolinyl]-, cyclopentyl ester (CA INDEX NAME)

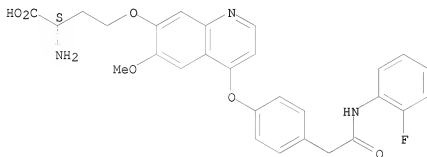
Absolute stereochemistry.



RN 914488-68-9 CAPLUS

CN L-Homoserine, O-[4-[4-[2-[(2-fluorophenyl)amino]-2-oxoethyl]phenoxy]-6-methoxy-7-quinoliny]- (CA INDEX NAME)

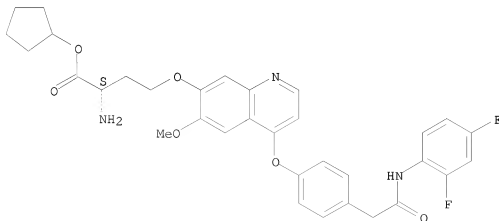
Absolute stereochemistry.



RN 914488-73-6 CAPLUS

CN L-Homoserine, O-[4-[4-[2-[(2,4-difluorophenyl)amino]-2-oxoethyl]phenoxy]-6-methoxy-7-quinoliny]-, cyclopentyl ester (CA INDEX NAME)

Absolute stereochemistry.

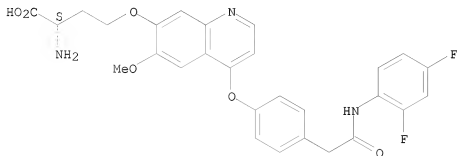


10/562,112

RN 914488-74-7 CAPLUS

CN L-Homoserine, O-[4-[4-[2-[(2,4-difluorophenyl)amino]-2-oxoethyl]phenoxy]-6-methoxy-7-quinolinyl]- (CA INDEX NAME)

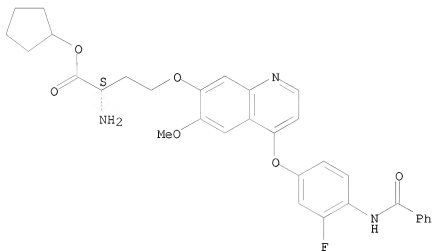
Absolute stereochemistry.



RN 914489-49-9 CAPLUS

CN L-Homoserine, O-[4-[4-(benzoylamino)-3-fluorophenoxy]-6-methoxy-7-quinolinyl]-, cyclopentyl ester (CA INDEX NAME)

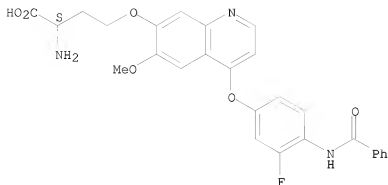
Absolute stereochemistry.



RN 914489-52-4 CAPLUS

CN L-Homoserine, O-[4-[4-(benzoylamino)-3-fluorophenoxy]-6-methoxy-7-quinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1176145 CAPLUS

DOCUMENT NUMBER: 145:489261

TITLE: Preparation of 2-aminoquinazoline derivatives as p38 mitogen-activated protein kinase inhibitors  
 INVENTOR(S): Kishikawa, Kuniyuki; Imase, Hidetomo; Kashima, Hajime; Mori, Kiyotoshi; Ikemura, Toshihide; Nakasato, Yoshisuke; Tomuro, Misato

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 265pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

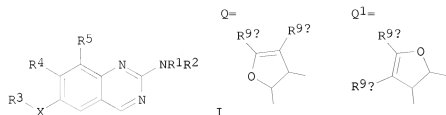
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

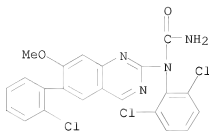
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006118256	A1	20061109	WO 2006-JP309000	20060428
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1878727	A1	20080116	EP 2006-745859	20060428
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			JP 2005-130704	A 20050428
			WO 2006-JP309000	W 20060428
OTHER SOURCE(S):	MARPAT 145:489261			

GI



AB 2-Aminoquinazoline and 2-aminofuro[2,3-h]quinazoline derivs. represented by the general formula (I) or pharmacol. acceptable salts thereof [wherein R<sup>1</sup>, R<sup>2</sup> = H, each (un)substituted lower alkyl, lower alkenyl, alkynyl, cycloalkyl, cycloalkenyl, lower alkanoyl, cycloalkylcarbonyl, aryl, heterocyclyl, CONH<sub>2</sub>; X = a bond, (un)substituted CH<sub>2</sub>; when X = a bond, R<sup>3</sup> = (un)substituted aryl or aromatic heterocyclyl; when X = (un)substituted CH<sub>2</sub>, R<sup>3</sup> = each (un)substituted lower alkoxy, aryl, aromatic heterocyclyl, or CONH<sub>2</sub>; R<sup>4</sup> = H, halo, HO, each (un)substituted lower alkyl, lower alkenyl, lower alkynyl, lower alkoxy, lower alkanoyloxy, aryl, aryloxy, or heterocyclyl; R<sup>5</sup> = H, halo, HO, each (un)substituted lower alkyl, lower alkenyl, lower alkoxy, aryl, heterocyclyl, or CONH<sub>2</sub>; or R<sup>4</sup> and R<sup>5</sup> together with the adjacent carbon atoms represent Q or Q<sub>1</sub>; R<sup>9a</sup>, R<sup>9b</sup> = H, halo, HO, each (un)substituted lower alkyl, lower alkenyl, lower alkoxy, lower alkoxy carbonyl, aryl, heterocyclyl, or CONH<sub>2</sub>] are prepared These compds. are useful as p38 mitogen-activated protein (P38MAP) kinase inhibitors for the prevention and/or treatment of diseases related to the function of P38MAP kinase, e.g. inflammations, chronic articular rheumatism, osteoarthritis, arthritis, osteoporosis, autoimmune diseases, blood poisoning, cachexia, cerebral infarction, Alzheimer's disease, asthma, a chronic pneumonia, chronic obstructive pulmonary disease (COPD), thrombosis, glomerulonephritis, diabetes, host vs. graft rejection, inflammatory bowel disease, Crohn's disease, ulcerative colitis, multiple sclerosis, tumor proliferation and metastasis, multiple myeloma. Thus, 1.20 g 6-bromo-2-isopropylamino-7-methoxyquinazoline was dissolved in 20 mL dioxane and 20 mL H<sub>2</sub>O, treated with 0.900 g 2-chlorophenylboric acid, 1.03 g Na<sub>2</sub>CO<sub>3</sub>, and 197 mg [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium, and the resulting mixture was heated under refluxing for 2 h to give, after workup and silica gel chromatog., 66% 6-(2-chlorophenyl)-2-isopropylamino-7-methoxyquinazoline (II). II at 1 μM inhibited ≥50% human P38MAP.

IT 914391-61-0P, 2-(N-Carbamoyl-2,6-dichloroanilino)-6-(2-chlorophenyl)-7-methoxyquinazoline  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 2-aminoquinazoline derivs. as p38 mitogen-activated protein kinase inhibitors)  
 RN 914391-61-0 CAPLUS  
 CN Urea, N-[6-(2-chlorophenyl)-7-methoxy-2-quinazolinyl]-N-(2,6-dichlorophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 39 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1173792 CAPLUS

DOCUMENT NUMBER: 145:471556

TITLE: (3,4-Dihydroquinazolin-2-yl)-(2-aryloxyethyl)-amines as 5-HT receptor modulators, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Alanine, Alexander; Gobbi, Luca Claudio; Kolczewski, Sabine; Luebbers, Thomas; Peters, Jens-Uwe; Steward, Lucinda

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 48pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

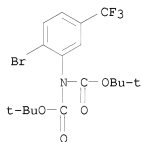
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006117305	A1	20061109	WO 2006-EP61779	20060424
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006243244	A1	20061109	AU 2006-243244	20060424
CA 2607227	A1	20061109	CA 2006-2607227	20060424
EP 1888538	A1	20080220	EP 2006-754806	20060424
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
US 20060252779	A1	20061109	US 2006-412432	20060427
MX 200713606	A	20071210	MX 2007-13606	20071030
KR 2007116965	A	20071211	KR 2007-725286	20071031
CN 101171238	A	20080430	CN 2006-80014923	20071101
IN 2007CN04982	A	20080627	IN 2007-CN4982	20071105
PRIORITY APPLN. INFO.:			EP 2005-103744	A 20050504
			WO 2006-EP61779	W 20060424
OTHER SOURCE(S):	MARPAT 145:471556			

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB The invention relates to aminoquinazolines of formula I, which are 5-HT5A receptor modulators. In compds. I, m is 1 or 2; R1 is H, halo, lower alkyl, lower alkoxy, or lower haloalkyl; R2 is selected from H, lower alkyl, optionally halo-substituted Ph, and heteroaryl, optionally substituted with lower alkyl; R3 is H or halo, or R1R3 is -CH=CH-CH=CH-; R4 is H or lower alkyl; and Ar is (un)substituted Ph or (un)substituted naphthyl. The invention also relates to the preparation of I, pharmaceutical compns. comprising one or more compds. of formula I and pharmaceutically acceptable excipients, as well as to the use of the compns. for the treatment of CNS disorders, such as anxiety, depression, sleep disorders, and schizophrenia. Coupling of tert-Bu N-(2-hydroxyethyl)carbamate with 2-methoxyphenol and deprotection resulted in the formation of amine II. Heterocyclization of 2-aminobenzylamine with thiophosgene followed by S-methylation gave quinazoline III, which was substituted with amine II to give aminoquinazoline IV. The compds. of the invention are modulators of 5-HT5A receptors, e.g., compound IV expressed a  $K_i$  value of 7.5 nM in a 5-HT5A affinity assay.
- IT 880384-45-2P, N,N-Bis(tert-butyloxycarbonyl)-2-bromo-5-trifluoromethylaniline  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (intermediate; preparation of aminoquinazolines as 5-HT receptor modulators)
- RN 880384-45-2 CAPLUS
- CN Imidodicarbonic acid, N-[2-bromo-5-(trifluoromethyl)phenyl]-, C,C'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

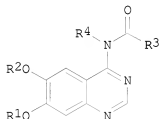
L3 ANSWER 40 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:1164522 CAPLUS  
 DOCUMENT NUMBER: 145:505467  
 TITLE: Preparation of quinazoline derivatives as antitumor agents  
 INVENTOR(S): Feng, Zhiqiang; Chen, Xiaoguang; Guo, Zongru; Jiang, Yi; Li, Jing; Zhu, Fengming; Guo, Yanshen; Li, Yan; Fu, Jianjiang  
 PATENT ASSIGNEE(S): Institute of Materia Medica, Chinese Academy of Medical Sciences, Peop. Rep. China  
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 19pp.



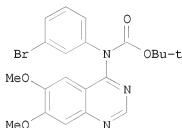
DOCUMENT TYPE: CODEN: CNXXEV  
 LANGUAGE: Patent  
 FAMILY ACC. NUM. COUNT: 1 Chinese  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1854130	A	20061101	CN 2006-10072180	20060414
PRIORITY APPLN. INFO.:			CN 2005-10064425	A 20050415
OTHER SOURCE(S):			CASREACT 145:505467; MARPAT 145:505467	

GI



I



II

AB The title quinazoline derivs. I [wherein R1 and R2 = independently H, Me, Et, 2-methoxyethyl, etc.; R3 = Me, Et, Pr, 3-hydroxypropyl, etc.; R4 = substituted Ph, benzyl, benzoyl, etc.], or pharmaceutical acceptable salts, hydrates, solvates, or crystals thereof were prepared as antitumor agents (no data). For example, 3-bromoaniline was reacted with bis(tert-Bu) dicarbonate, followed by the addition of 4-chloro-6,7-dimethoxyquinazoline to give II. II showed 97.14% inhibitory activity against human A2780 ovary cancer.

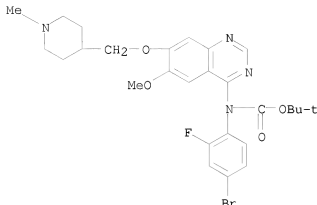
IT 915039-07-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of quinazoline derivs. as antitumor agents)

RN 915039-07-5 CAPLUS

CN Carbamic acid, (4-bromo-2-fluorophenyl)[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 41 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1147676 CAPLUS

DOCUMENT NUMBER: 145:455009

TITLE: Substituted cyclic amide derivatives as protein kinase inhibitors for treating hepatocyte growth factor (HGF)-related diseases

INVENTOR(S): Kim, Tae-Seong; Bauer, David; Bellon, Steven; Boezio, Alessandro; Booker, Shon; Choquette, Deborah; D'Amico, Derin C.; D'Angelo, Noel; Dominguez, Celia; Fellows, Ingrid M.; Germain, Julie; Graceffa, Russell; Harmange, Jean-Christophe; Hirai, Satoko; La, Daniel; Lee, Matthew; Liu, Longbin; Norman, Mark H.; Potashman, Michele; Roveto, Philip; Siegmund, Aaron C.; Xi, Ning; Yang, Kevin

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 281pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

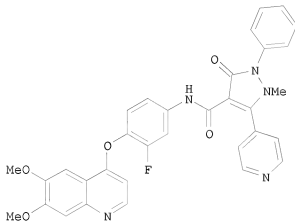
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006116713	A1	20061102	WO 2006-US16344	20060427
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006239216	A1	20061102	AU 2006-239216	20060427
CA 2605680	A1	20061102	CA 2006-2605680	20060427
EP 1881976	A1	20080130	EP 2006-751834	20060427
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				

	IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
MX 200713216	A	20071212	MX 2007-13216	20071023
KR 2008004617	A	20080109	KR 2007-727041	20071120
IN 2007DN09008	A	20080627	IN 2007-DN9008	20071122
NO 2007006093	A	20080125	NO 2007-6093	20071126
CN 101248059	A	20080820	CN 2006-80023169	20071227
PRIORITY APPLN. INFO.:			US 2005-675805P	P 20050427
			WO 2006-US16344	W 20060427

GI



I

AB Selected compds. of general formula R-X-W-Y-R1 (wherein R = an aryl or heterocyclic ring or ring system; W = (un)substituted Ph, benzomorpholinyl, C3-7 cycloalkyl, etc.; X = O, S, S(O), SO2, etc.; Y = carboxamido, aminoalkyl, etc.; R1 = a partially unsatd. or saturated ring) are effective for prophylaxis and treatment of diseases, such as HGF mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving cancer and the like. The invention also relates to processes for making such compds. as well as to intermediates useful in such processes. For example, I was prepared by reacting 4-(6,7-dimethoxyquinolin-4-yloxy)-3-fluorobenzenamine and 1-methyl-3-oxo-2-phenyl-5-(pyridin-4-yl)-2,3-dihydro-1H-pyrazole-4-carboxylic acid (preparation given). Biol. testing methods are detailed for measuring the compds. of the invention as antitumor agents, but no specific test results are given.

IT 913376-41-7P, N-[2-Chloro-4-[(6,7-dimethoxyquinolin-4-yl)oxy]phenyl]-1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazole-4-carboxamide 913378-36-6P, 1-Benzyl-5-bromo-N-[2-chloro-4-[(6,7-dimethoxyquinolin-4-yl)oxy]phenyl]-2-oxo-1,2-dihydropyridine-3-carboxamide 913378-44-6P, 5-Bromo-N-[2-chloro-4-[(6,7-dimethoxyquinolin-4-yl)oxy]phenyl]-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxamide 913378-75-3P, N-[2-Chloro-4-[(6,7-dimethoxyquinolin-4-yl)oxy]phenyl]-6-methyl-3-oxo-2-phenyl-2,3-dihydropyridazine-4-carboxamide

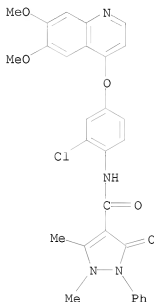
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted cyclic amide derivs. as protein kinase inhibitors for treating hepatocyte growth factor (HGF)-related diseases)

10/562,112

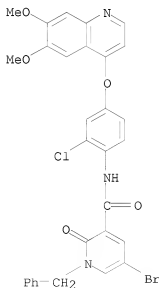
RN 913376-41-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl- (CA INDEX NAME)



RN 913378-36-6 CAPLUS

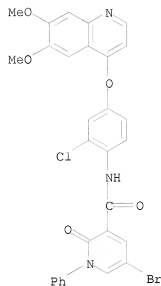
CN 3-Pyridinecarboxamide, 5-bromo-N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-1,2-dihydro-2-oxo-1-(phenylmethyl)- (CA INDEX NAME)



RN 913378-44-6 CAPLUS

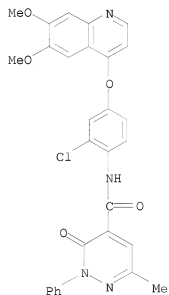
CN 3-Pyridinecarboxamide, 5-bromo-N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-1,2-dihydro-2-oxo-1-phenyl- (CA INDEX NAME)

10/562,112



RN 913378-75-3 CAPLUS

CN 4-Pyridazinecarboxamide, N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-2,3-dihydro-6-methyl-3-oxo-2-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 42 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1123440 CAPLUS

DOCUMENT NUMBER: 145:438652

TITLE: Preparation of compounds that modulate mitotic kinesin

KSP and are useful against proliferative diseases and disorders

## INVENTOR(S):

Adams, Nicholas D.; Darcy, Michael Gerard; Dhanak, Dashyant; Duffy, Kevin J.; Fitch, Duke M.; Knight, Steven David; Newlander, Kenneth Allen; Shaw, Antony N.

## PATENT ASSIGNEE(S):

SmithKline Beecham Corporation, USA

## SOURCE:

PCT Int. Appl., 124pp.

CODEN: PIXXD2

## DOCUMENT TYPE:

Patent

## LANGUAGE:

English

## FAMILY ACC. NUM. COUNT:

1

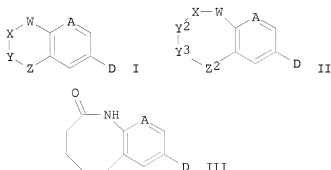
## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006113432	A2	20061026	WO 2006-US14062	20060413
WO 2006113432	A3	20070712		
<p>W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW</p> <p>RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA</p>				
EP 1874753	A2	20080109	EP 2006-750171	20060413
<p>R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU</p>				
US 20080176830	A1	20080724	US 2007-910731	20071004
PRIORITY APPLN. INFO.:			US 2005-671299P	P 20050414
			WO 2006-US14062	W 20060413

## OTHER SOURCE(S):

MARPAT 145:438652

## GI

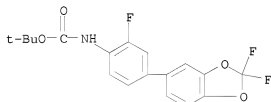


AB Compds. (shown as I, II and III; variables defined below; e.g. 6-[4-(trifluoromethyl)phenyl]-3,4-dihydro-1H-2,1,3-benzothiadiazine

2,2-dioxide (1)) useful for treating cellular proliferative diseases and disorders by modulating the activity of KSP are disclosed. Although the methods of preparation are not claimed, preps. and/or characterization data for .apprx.130 examples of I are included. For example, 1 was prepared in 3 steps starting with coupling of (4-trifluoromethylphenyl)boronic acid with 2-amino-5-bromobenzonitrile to give 60% 4-amino-4'-

(trifluoromethyl)biphenyl-3-carbonitrile, which was reduced to 70% [3-(aminomethyl)-4'-(trifluoromethyl)biphenyl-4-yl]amine, which was cyclized with sulfamide (24%). For I-III: W is NR1, O, CH2, or CH(OH); R1 is H, C1-4alkyl, C1-4alkylaryl, CO2But, CO1-4alkyl, CH2CONMe2, or CO2CH2Ph; X is C:O, C:S, C:NOH, SO2, CH2, or CH(OH); Y-Z is V-CHR2; where V is O, NR3, or CHR4; R2 is H or C1-4alkyl; R3 is H, C1-2alkylOH, or C1-2alkyl; and R4 is H, C1-4alkyl, COSEt, NH2, OH, NHCHO, NHCOC1-4alkyl, NHSO2C1-4alkyl, CO2H, CH2OH, or CONH2; or Y-Z is V2:CR5, where V2 is N or OH; and R5 is H, Me or NH2; or Y-Z is V3-U, where V3 is CMe2, CO or CHR4. U is NR7, O, S, or SO2; R7 is H, CHO, or CH2R8, and R8 is H, CN, CO2Me, CONH2, CO2H, or CH2OH; or Y-Z is CH:N; A is N or CR10; R10 is H, F, CO2H, NH2, or NO2; D = 5-R12-6-R13pyridin-3-yl, 3-R11-4-R12-5-R13phenyl, or 4-R14cyclohex-1-enyl; R11 is H or F; R12 is H, halogen, Me, NH2, NHAc, NO2, CF3, 1-pyreryl, or CH2CN; R13 is H, CF3, CN, SO2CF3, SO2NMe2, SO2C1-3alkyl, SC1-3alkyl, halogen, 1-indolyl, Pri, But, NMe2 or NO2; or R12 and R13 taken together are OCF2O; and R14 is CF3 or C2-5alkyl; addnl. details including provisos are given in the claims. For II, in addition to the above definitions, Y2 is O, NR3, CHR4, or CMe2; Y3 is CH2, O, S, or NH; Z2 is CHR2, NR7, O, S, or SO2; or Y3-Z2 taken together is N:CH when Y2 is CHR4; addnl. details including provisos are given in the claims.

IT 912954-82-6P, 1,1-Dimethylethyl [4-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-fluorophenyl]carbamate  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of compds. that modulate mitotic kinesin KSP and are useful against proliferative diseases and disorders)  
 RN 912954-82-6 CAPLUS  
 CN Carbamic acid, [4-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-fluorophenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 43 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

2006:1070147 CAPLUS

DOCUMENT NUMBER:

145:419134

TITLE:

Constrained indazoloazepinones and related compounds as CGRP-receptor antagonists and their preparation, pharmaceutical compositions, and use for treatment of migraine

INVENTOR(S):

Chaturvedula, Prasad V.; Mercer, Stephen E.; Fang, Haiquan

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

U.S. Pat. Appl. Publ., 140 pp., Cont.-in-part of U.S. Ser. No. 247,697.

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060229447	A1	20061012	US 2006-417326	20060503
US 7384931	B2	20080610		
US 20060094707	A1	20060504	US 2005-247697	20051011
US 7384930	B2	20080610		
IN 2007DN03133	A	20070831	IN 2007-DN3133	20070426
WO 2007130860	A2	20071115	WO 2007-US67617	20070427
WO 2007130860	A3	20080221		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
PRIORITY APPLN. INFO.:				
			US 2004-624655P	P 20041103
			US 2005-678099P	P 20050505
			US 2005-247697	A2 20051011
			WO 2005-US36859	W 20051012
			US 2006-417326	A 20060503
OTHER SOURCE(S): MARPAT 145:419134				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention encompasses constrained bicyclic and tricyclic CGRP-receptor antagonists of formula I, methods for identifying them, pharmaceutical compns. comprising them, and methods for their use in therapy for treatment of migraine and other headaches, neurogenic vasodilation, neurogenic inflammation, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD), and other conditions the treatment of which can be effected by the antagonism of CGRP-receptors. Compds. I [R1 = (halo)alkyl, alkenyl, cycloalkyl, etc.; R2 = H, halo, OH, alkyl, etc.; R3 = H, OH, halo, alkyl, or alkenyl; or R2R3 together are CHNMR5; R4 = H, halo, alkyl, or alkenyl; R5 = H or alkyl; R6 = H, alkyl, or spiro[imidazolidinedione-cycloalkaphenyl]; or NR5R6 taken together = (un)substituted 6-membered aza-cycle, or spiro-substituted piperidine; X-Y = aminocarbonyl, oxycarbonyl, methylenecarbonyl, ethylene, or amino(cyano)iminomethyl; n = 0-1; and their pharmaceutically acceptable salts or solvates thereof] were prepared. Thus, compound II was prepared by substitution of (9-benzyl-4-chloro-8-oxo-3,6,7,8,9,10-hexahydro-2,3,9-triaza-(R)-cyclohepta[e]inden-7-yl)carbamic acid benzyl ester with 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)piperidine. Compds. I were evaluated for their CGRP receptor binding activity. It was determined



that most of the invention compds. exhibited CGRP receptor activity. For example, II was found to have an IC50 value between 0.1-10 nM against CGRP receptors and for cAMP functions. Compds. I are claimed to be useful for treatment migraine.

IT 885609-84-7P 885609-96-1P 885609-97-2P

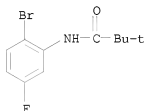
885609-98-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of constrained indazoloazepinones and related compds. as CGRP-receptor antagonists for treatment of migraine)

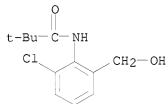
RN 885609-84-7 CAPLUS

CN Propanamide, N-(2-bromo-5-fluorophenyl)-2,2-dimethyl- (CA INDEX NAME)



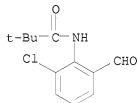
RN 885609-96-1 CAPLUS

CN Propanamide, N-[2-chloro-6-(hydroxymethyl)phenyl]-2,2-dimethyl- (CA INDEX NAME)



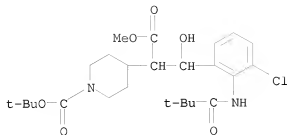
RN 885609-97-2 CAPLUS

CN Propanamide, N-(2-chloro-6-formylphenyl)-2,2-dimethyl- (CA INDEX NAME)



RN 885609-98-3 CAPLUS

CN 4-Piperidineacetic acid,  $\alpha$ -[[3-chloro-2-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]hydroxymethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 113 THERE ARE 113 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 44 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1031556 CAPLUS

DOCUMENT NUMBER: 145:397534

TITLE: Preparation of 2,4-diaminoquinazolines as insecticides.

INVENTOR(S): Dixon, John A.; Rowley, Elizabeth G.; Sehgel, Saroj; Cullen, Thomas G.; Wyle, Michael J.; Zawacki, Frank J.; LaFrance, Louis V., III

PATENT ASSIGNEE(S): FMC Corporation, USA

SOURCE: PCT Int. Appl., 56pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

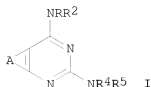
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006105056	A2	20061005	WO 2006-US11218	20060328
WO 2006105056	A3	20070503		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: US 2005-665701P P 20050328

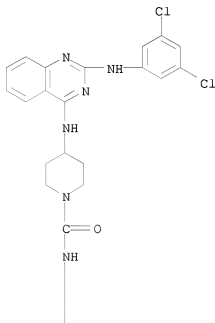
OTHER SOURCE(S): MARPAT 145:397534

GI



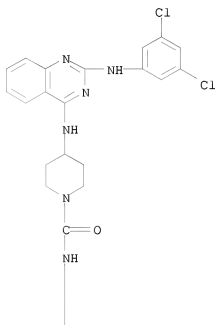
- AB Title compds. [I; R = H, haloalkyl, alkoxyalkyl, alkylphenylalkyl, (substituted) cycloalkyl, heterocyclyl, aryl, etc.; A = atoms to form fused (substituted) cycloalkyl, thienyl, Ph rings; R<sub>2</sub>, R<sub>4</sub> = H, alkylcarbonyl; R<sub>5</sub> = (substituted) aryloxy, cycloalkyl, Ph], were prepared Thus, 2,4-dichloroquinazoline and cyclohexylamine were stirred in THF at 0° to room temperature over 18 h to give 2-chloro-4-cyclohexylaminoquinazoline. This was heated with 3,5-dichloroaniline at 100° for 3 h to give 4-cyclohexylamino-2-(3,5-dichlorophenylamino)quinazoline. The latter at 0.25 mM on a wheat germ based artificial diet gave 100% kill of *Heliothis virescens*.
- IT 911680-07-4 911680-09-6 911680-11-0  
 911680-16-5 911680-18-7 911680-20-1  
 911680-22-3 911680-34-7 911680-43-8  
 911680-45-0 911680-46-1 911680-47-2  
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)  
 (preparation of diaminoquinazolines as insecticides)
- RN 911680-07-4 CAPLUS
- CN 1-Piperidinecarboxamide, 4-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]-N-(2,5-difluorophenyl)- (CA INDEX NAME)

PAGE 1-A

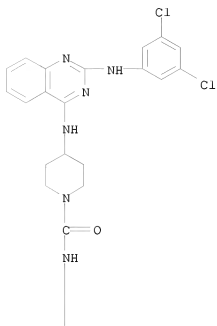




RN 911680-09-6 CAPLUS  
 CN 1-Piperidinecarboxamide, 4-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]-N-(2,6-difluorophenyl)- (CA INDEX NAME)

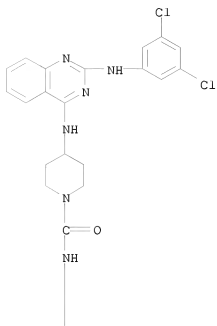


RN 911680-11-0 CAPLUS  
 CN 1-Piperidinecarboxamide, N-(2-chlorophenyl)-4-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 911680-16-5 CAPLUS  
 CN 1-Piperidinecarboxamide, N-(2,3-dichlorophenyl)-4-[(2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl)amino]- (CA INDEX NAME)

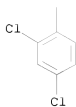
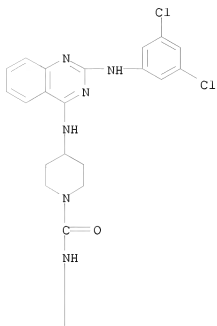
PAGE 1-A



PAGE 2-A

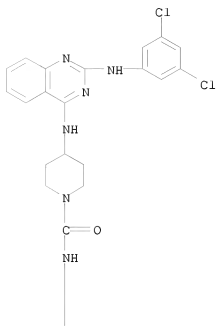


RN 911680-18-7 CAPLUS  
CN 1-Piperidinecarboxamide, N-(2,4-dichlorophenyl)-4-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]- (CA INDEX NAME)

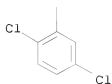


RN 911680-20-1 CAPLUS  
 CN 1-Piperidinecarboxamide, N-(2,5-dichlorophenyl)-4-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]- (CA INDEX NAME)

PAGE 1-A

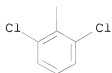
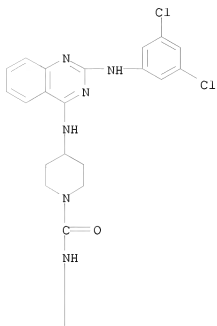


PAGE 2-A

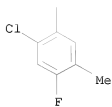
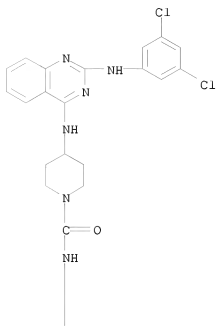


RN 911680-22-3 CAPLUS  
CN 1-Piperidinecarboxamide, N-(2,6-dichlorophenyl)-4-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]- (CA INDEX NAME)

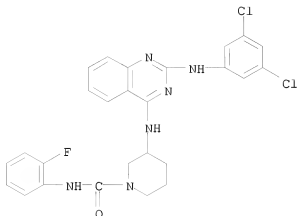




RN 911680-34-7 CAPLUS  
 CN 1-Piperidinecarboxamide, N-(2-chloro-4-fluoro-5-methylphenyl)-4-[[2-[(3,5-dichlorophenyl)amino]-4-quinazoliny]amino]- (CA INDEX NAME)

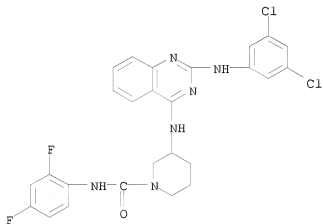


RN 911680-43-8 CAPLUS  
 CN 1-Piperidinecarboxamide, 3-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]-N-(2-fluorophenyl)- (CA INDEX NAME)



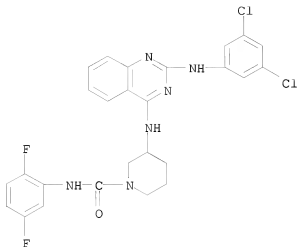
RN 911680-45-0 CAPLUS

CN 1-Piperidinecarboxamide, 3-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]-N-(2,4-difluorophenyl)- (CA INDEX NAME)

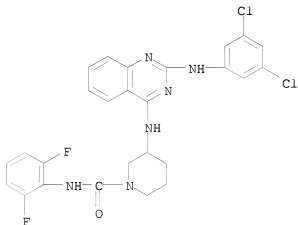


RN 911680-46-1 CAPLUS

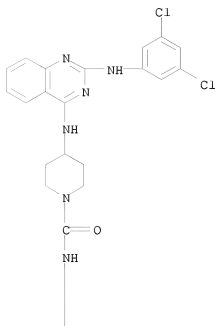
CN 1-Piperidinecarboxamide, 3-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]-N-(2,5-difluorophenyl)- (CA INDEX NAME)



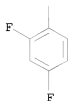
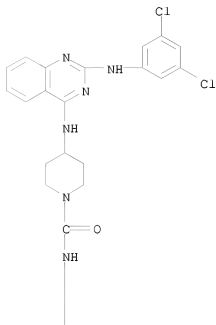
RN 911680-47-2 CAPLUS  
 CN 1-Piperidinecarboxamide, 3-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]-N-(2,6-difluorophenyl)- (CA INDEX NAME)



IT 911679-67-9P 911680-05-2P  
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of diaminoquinazolines as insecticides)  
 RN 911679-67-9 CAPLUS  
 CN 1-Piperidinecarboxamide, 4-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]-N-(2-fluorophenyl)- (CA INDEX NAME)



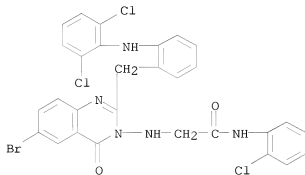
RN 911680-05-2 CAPLUS  
 CN 1-Piperidinecarboxamide, 4-[[2-[(3,5-dichlorophenyl)amino]-4-quinazolinyl]amino]-N-(2,4-difluorophenyl)- (CA INDEX NAME)



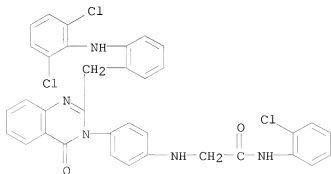
L3 ANSWER 45 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:992108 CAPLUS  
 DOCUMENT NUMBER: 147:235107  
 TITLE: Quinazolin-4(3H)-ones of 2-[(2',6'-dichlorophenyl)amino]phenyl acetic acid with substituted aryl acetamide and their microbial studies  
 AUTHOR(S): Patel, N. B.; Chaudhari, R. C.  
 CORPORATE SOURCE: Department of Chemistry, Veer Narmad South Gujarat University, Surat, 395 007, India  
 SOURCE: Journal of the Indian Chemical Society (2006), 83(8), 838-841  
 CODEN: JICSAH; ISSN: 0019-4522  
 PUBLISHER: Indian Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 147:235107  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB Synthesis and antimicrobial activity of quinazolinones I (X = 1,4-C<sub>6</sub>H<sub>4</sub>, bond; R = H, 2-NO<sub>2</sub>, 3-NO<sub>2</sub>, 4-NO<sub>2</sub>, 2-Me, 3-Me, 4-Me, 2-MeO, 4-MeO, 2-Cl, 3-Cl, 4-Cl; R<sub>1</sub> = H, Br) were reported from [(2,6-dichlorophenyl)amino]phenylacetic acid and appropriate N-arylacetamides via benzoxazine II (R = H, Br). All the compds. were established on the basis of spectral data (IR, <sup>1</sup>H NMR) and elemental anal.
- IT 945487-18-3P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation and antimicrobial activity of quinazolinones from benzoxazine)
- RN 945487-18-3 CAPLUS
- CN Acetamide, 2-[[6-bromo-2-[[2-[(2,6-dichlorophenyl)amino]phenyl]methyl]-4-oxo-3(4H)-quinazolinyl]amino]-N-(2-chlorophenyl)- (CA INDEX NAME)

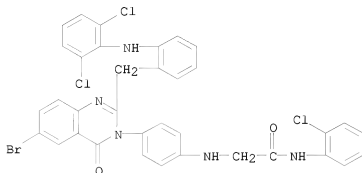


- IT 945486-82-8P 945486-94-2P 945487-06-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antimicrobial activity of quinazolinones from benzoxazine)
- RN 945486-82-8 CAPLUS
- CN Acetamide, N-(2-chlorophenyl)-2-[[4-[2-[[2-[(2,6-dichlorophenyl)amino]phenyl]methyl]-4-oxo-3(4H)-quinazolinyl]phenyl]amino]- (CA INDEX NAME)



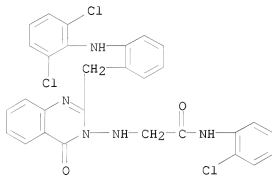
- RN 945486-94-2 CAPLUS
- CN Acetamide, 2-[[4-[6-bromo-2-[[2-[(2,6-dichlorophenyl)amino]phenyl]methyl]-

4-oxo-3(4H)-quinazolinyl]phenyl]amino]-N-(2-chlorophenyl)- (CA INDEX NAME)



RN 945487-06-9 CAPLUS

CN Acetamide, N-(2-chlorophenyl)-2-[[2-[[2-[(2,6-dichlorophenyl)amino]phenyl]methyl]-4-oxo-3(4H)-quinazolinyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 46 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:932784 CAPLUS

DOCUMENT NUMBER: 147:301069

TITLE: Synthesis and behavior of 2-carboxyvinyl-6,8-dibromo-4H-3,1-benzoxazin-4-one towards nitrogen, carbon, and sulfur nucleophiles

AUTHOR(S): Abdel-Rahman, T. M.; El-Hashash, M. A.; El-Badry, Y. A.

CORPORATE SOURCE: Faculty of Specific Education, Ain Shams University, Cairo, Egypt

SOURCE: Egyptian Journal of Chemistry (2005), 48(6), 679-693  
CODEN: EGJCA3; ISSN: 0449-2285

PUBLISHER: National Information and Documentation Centre

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:301069

AB 3-(6,8-Dibromo-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)acrylic acid (I) was synthesized and allowed to react with some nitrogen nucleophiles to afford 3-substituted quinazolinones and benzamide derivs.



3-(6,8-Dibromo-3-hydroxy-4-oxo-3,4-dihydroquinazolin-2-yl)acrylic acid was subjected to acylation and alkylation. Also, 3-(6,8-dibromo-3-(2-hydroxyethyl)-4-oxo-3,4-dihydroquinazolin-2-yl)acrylic acid was used to alkylate some aromatic systems. Treatment of I with o-phenylenediamine in different solvents under different conditions furnished a substituted benzamide and 3-substituted quinazolinone. I was converted to 4(3H)-quinazolinone by treatment with formamide and/or ammonium acetate which was alkylated with Et chloroacetate and treated with hydrazine hydrate to produce the hydrazide. Interaction of I with hydrazine hydrate gave an unexpected fused quinazolinone, which was confirmed by its interaction with acid chlorides. Oxazinone ring cleavage occurred by the use of active methylene containing compds. under different conditions.

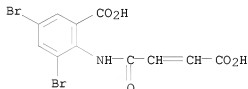
IT 934242-55-4P 934242-80-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and behavior of 2-carboxyvinyl-6,8-dibromo-4H-3,1-benzoxazin-4-one towards nitrogen, carbon, and sulfur nucleophiles)

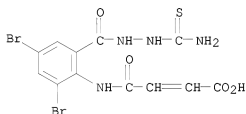
RN 934242-55-4 CAPLUS

CN Benzoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]- (CA INDEX NAME)



RN 934242-80-5 CAPLUS

CN Benzoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]-, 1-[2-(aminothioxomethyl)hydrazide] (CA INDEX NAME)



IT 934242-60-1P 934242-67-8P 934242-75-8P

934242-76-9P 934242-77-0P 934242-78-1P

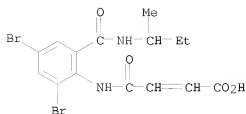
934242-81-6P 947185-08-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

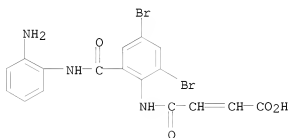
(preparation and behavior of 2-carboxyvinyl-6,8-dibromo-4H-3,1-benzoxazin-4-one towards nitrogen, carbon, and sulfur nucleophiles)

RN 934242-60-1 CAPLUS

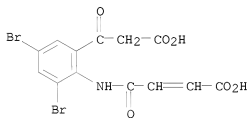
CN 2-Butenoic acid, 4-[[[2,4-dibromo-6-[(1-methylpropyl)amino]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



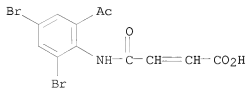
RN 934242-67-8 CAPLUS  
 CN 2-Butenoic acid, 4-[[2-[[2-(2-aminophenyl)amino]carbonyl]-4,6-dibromophenyl]amino]-4-oxo- (CA INDEX NAME)



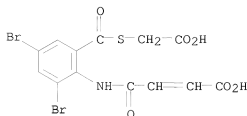
RN 934242-75-8 CAPLUS  
 CN Benzenepropanoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]-β-oxo- (CA INDEX NAME)



RN 934242-76-9 CAPLUS  
 CN 2-Butenoic acid, 4-[(2-acetyl-4,6-dibromophenyl)amino]-4-oxo- (CA INDEX NAME)

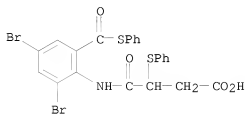


RN 934242-77-0 CAPLUS  
 CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[(carboxymethyl)thio]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



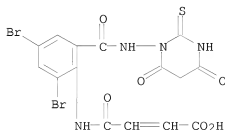
RN 934242-78-1 CAPLUS

CN Butanoic acid, 4-[[2,4-dibromo-6-[(phenylthio)carbonyl]phenyl]amino]-4-oxo-3-(phenylthio)- (CA INDEX NAME)



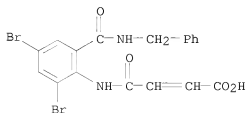
RN 934242-81-6 CAPLUS

CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[(tetrahydro-4,6-dioxo-2-thioxo-1(2H)-pyrimidinyl)amino]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



RN 947185-08-2 CAPLUS

CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[(phenylmethyl)amino]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



REFERENCE COUNT:

23

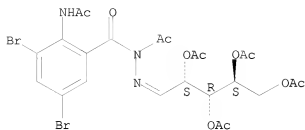
THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 47 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:704403 CAPLUS  
 DOCUMENT NUMBER: 146:401924  
 TITLE: The synthesis of some new quinazoline derivatives of potential biological activity  
 AUTHOR(S): El-Barbary, A. A.; Abou El-Ezz, A. Z.; Sharaf, A. M.; Nielsen, C.  
 CORPORATE SOURCE: Chemistry Department, Tanta University, Tanta, Egypt  
 SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (2006), 181(8), 1895-1912  
 CODEN: PSSLEC; ISSN: 1042-6507  
 PUBLISHER: Taylor & Francis, Inc.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 146:401924  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

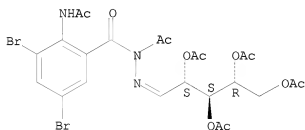
- AB The refluxing of 3-amino-6,8-dibromo-2-thioxo-2,3-dihydro-1H-quinazolin-4-one (I) with Et chloroformate and/or Et chloroacetate afforded compds. (II; R = CO<sub>2</sub>Et, CH<sub>2</sub>CO<sub>2</sub>Et). The reaction of I with Et bromobutyrate, chloroacetyl chloride, phenacyl chloride, and Ph isocyanate yielded compds. II [R = CH(Et)CO<sub>2</sub>Et, COCH<sub>2</sub>Cl, CH<sub>2</sub>COPh] and (III), resp. The coupling of I with 2,3,4,6-tetra-O-acetyl- $\alpha$ -D-glucopyranosyl bromide (ABG) in DMF at room temperature gave
- 3-amino-6,8-dibromo-2-(2',3',4',6'-tetra-O-acetyl- $\beta$ -D-glucopyranosyl)thioxo-2,3-dihydro-1H-quinazolin-4-one (IV; R = Ac). The deblocking of IV (R = Ac) in sodium methoxide gave I instead of the expected IV (R = H). 3-Amino-6,8-dibromo-2-methylthio-3H-quinazolin-4-one II (R = Me) was prepared by stirring I with Me iodide in methanol. The treatment of II (R = Me) with hydrazine hydrate afforded (V). The condensation of V with aldehydes furnished 3,5-dibromo-2-arylaminobenzoic acid hydrazide (VI; Ar = Ph, 4-methoxyphenyl, 2-nitrophenyl). The refluxing of VI (Ar = Ph) with acetic anhydride gave 3-(benzylideneamino)-6,8-dibromo-2-methyl-3H-quinazolin-4-one (VII). Hydrazones (VIII; R = L-arabino-Q, D-ribo-Q1, D-xylo-Q2, D-gluco-Q3, D-galacto-Q4, D-manno-Q5; Z = H) were prepared by the condensation of V with pentoses and/or hexoses. The acetylation of VIII (R = Q, Q1, Q2, Q3, Q4, Q5; Z = H) with acetic anhydride gave the acetyl derivs. VIII (R = Q, Q1, Q2, Q3, Q4, Q5; Z = Ac).
- IT 933453-25-9P 933453-26-0P 933453-27-1P  
 933453-28-2P 933453-29-3P 933453-30-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (synthesis of new quinazoline derivs. of potential biol. activity)
- RN 933453-25-9 CAPLUS  
 CN L-Arabinose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone, 2,3,4,5-tetraacetate (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



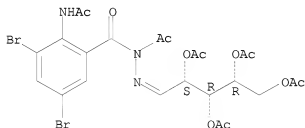
RN 933453-26-0 CAPLUS  
 CN D-Ribose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,  
 2,3,4,5-tetraacetate (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



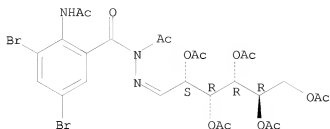
RN 933453-27-1 CAPLUS  
 CN D-Xylose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,  
 2,3,4,5-tetraacetate (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



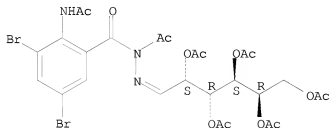
RN 933453-28-2 CAPLUS  
 CN D-Glucose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,  
 2,3,4,5,6-pentaacetate (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



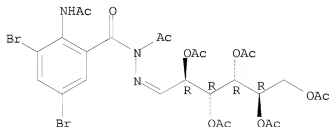
RN 933453-29-3 CAPLUS  
 CN D-Galactose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,  
 2,3,4,5,6-pentaacetate (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



RN 933453-30-6 CAPLUS  
 CN D-Mannose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,  
 2,3,4,5,6-pentaacetate (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 48 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:693873 CAPLUS  
 DOCUMENT NUMBER: 145:249169

TITLE: p38 MAP kinase inhibitors. Part 3: SAR on  
 3,4-dihydropyrimido[4,5-d]pyrimidin-2-ones and  
 3,4-dihydropyrido[4,3-d]pyrimidin-2-ones  
 Natarajan, Swaminathan R.; Wisnoski, David D.;  
 Thompson, James E.; O'Neill, Edward A.; O'Keefe,  
 Stephen J.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA  
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(16), 4400-4404  
 CODEN: BMCLE8; ISSN: 0960-894X  
 PUBLISHER: Elsevier B.V.  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 145:249169  
 GI

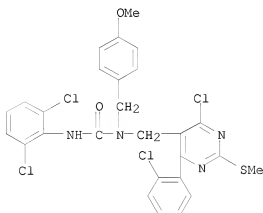
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB P38 inhibitors based on 3,4-dihydropyrimido[4,5-d]pyrimidin-2-one and 3,4-dihydropyrido[4,3-d]pyrimidin-2-one platforms were synthesized and preliminary SAR explored. Among the pyrimido-pyrimidones, the emergence of two sub-types of analogs, C7-amino-pyrimidines such as I and C7-amino-piperidines such as II characterized with good p38 inhibition and better off-target profiles in terms of ion channel activities, was significant. Representative compound III in the pyrido-pyrimidone class was found to be equipotent with corresponding analog in the quinazolinone series.

IT 906462-80-4P 906463-09-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and biol. activities of 3,4-dihydropyrimido[4,5-d]pyrimidin-2-ones and 3,4-dihydropyrido[4,3-d]pyrimidin-2-one as p38 MAP kinase inhibitors)

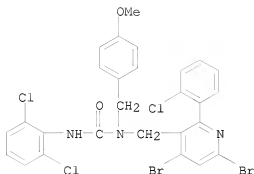
RN 906462-80-4 CAPLUS

CN Urea, N-[[4-chloro-6-(2-chlorophenyl)-2-(methylthio)-5-pyrimidinyl]methyl]-N'-(2,6-dichlorophenyl)-N-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)



RN 906463-09-0 CAPLUS

CN Urea, N-[[4,6-dibromo-2-(2-chlorophenyl)-3-pyridinyl]methyl]-N'-(2,6-dichlorophenyl)-N-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 49 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:689576 CAPLUS

DOCUMENT NUMBER: 146:421942

TITLE: Synthesis and behavior of 2-carboxyvinyl-6,8-dibromo-4H-3,1-benzoxazin-4-one towards nitrogen, carbon and sulphur nucleophiles

AUTHOR(S): El-Hashash, M. A.; Abdel-Rahman, T. M.; El-Badry, Y. A.

CORPORATE SOURCE: Faculty of Science, Ain Shams University, Cairo, Egypt

SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2006), 45B(6), 1470-1477

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication and Information Resources

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:421942

AB 3-(6,8-Dibromo-4-oxo-4H-3,1-benzoxazin-2-yl)-2-propenoic acid (I) is synthesized and allowed to react with some nitrogen nucleophiles namely, p-toluidine, hydroxylamine hydrochloride, ethanalamine, and glycine and affords 3-substituted quinazolinones, while with isobutylamine and benzylamine results benzamide derivs. Treatment of benzoxazinone I with o-phenylenediamine in different solvents under different conditions affords substituted benzamide and 3-substituted quinazolinone derivative

IT 934242-55-4P 934242-80-5P

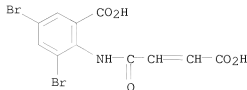
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [dibromo(oxo)benzoxazinyl]propenoic acid and study if its reaction with carbon, nitrogen and sulfur nucleophiles)

RN 934242-55-4 CAPLUS

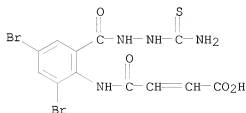
CN Benzoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]- (CA INDEX NAME)





RN 934242-80-5 CAPLUS

CN Benzoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]-, 1-[2-(aminothioxomethyl)hydrazide] (CA INDEX NAME)



IT 934242-60-1P 934242-61-2P 934242-67-8P

934242-75-8P 934242-76-9P 934242-77-0P

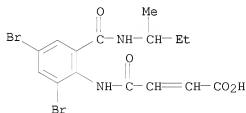
934242-78-1P 934242-81-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of [dibromo(oxo)benzoxazinyl]propenoic acid and study if its reaction with carbon, nitrogen and sulfur nucleophiles)

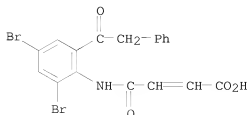
RN 934242-60-1 CAPLUS

CN 2-Butenoic acid, 4-[[[2,4-dibromo-6-[(1-methylpropyl)amino]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



RN 934242-61-2 CAPLUS

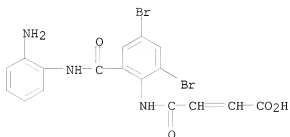
CN 2-Butenoic acid, 4-[[[2,4-dibromo-6-(2-phenylacetyl)phenyl]amino]-4-oxo- (CA INDEX NAME)



10/562,112

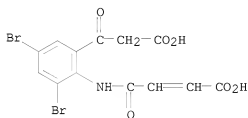
RN 934242-67-8 CAPLUS

CN 2-Butenoic acid, 4-[[2-[[2-(aminophenyl)amino]carbonyl]-4,6-dibromophenyl]amino]-4-oxo- (CA INDEX NAME)



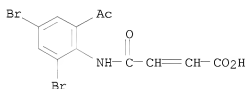
RN 934242-75-8 CAPLUS

CN Benzenepropanoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]-β-oxo- (CA INDEX NAME)



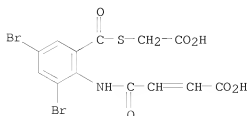
RN 934242-76-9 CAPLUS

CN 2-Butenoic acid, 4-[(2-acetyl-4,6-dibromophenyl)amino]-4-oxo- (CA INDEX NAME)



RN 934242-77-0 CAPLUS

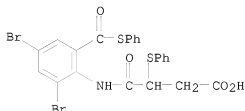
CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[(carboxymethylthio)carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



10/562,112

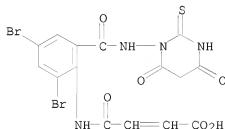
RN 934242-78-1 CAPLUS

CN Butanoic acid, 4-[[2,4-dibromo-6-[(phenylthio)carbonyl]phenyl]amino]-4-oxo-3-(phenylthio)- (CA INDEX NAME)



RN 934242-81-6 CAPLUS

CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[(tetrahydro-4,6-dioxo-2-thioxo-1(2H)-pyrimidinyl)amino]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 50 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:655575 CAPLUS

DOCUMENT NUMBER: 145:124558

TITLE: Preparation of pyrazolyl phenyl ureas as enzyme modulators

INVENTOR(S): Flynn, Daniel L.; Petillo, Peter A.

PATENT ASSIGNEE(S): Deciphera Pharmaceuticals, LLC, USA

SOURCE: PCT Int. Appl., 974 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

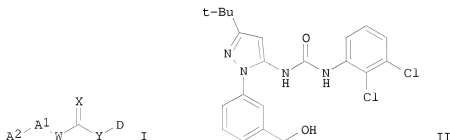
FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006071940	A2	20060706	WO 2005-US47270	20051223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,				

	CF, CO, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,			
	KG, KB, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,			
	GM, KZ, MD, RU, TJ, TH			
AU 2005321946	A1	20060706	AU 2005-321946	20051223
CA 2592118	A1	20060706	CA 2005-2592118	20051223
US 20070078121	A1	20070405	US 2005-318399	20051223
EP 1835934	A2	20070926	EP 2005-855777	20051223
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,				
BA, HR, MK, YU				
JP 2008525498	T	20080717	JP 2007-548595	20051223
US 20080113967	A1	20080515	US 2007-963740	20071221
PRIORITY APPLN. INFO.:			US 2004-638968P	P 20041223
			US 2004-638986P	P 20041223
			US 2004-638987P	P 20041223
			US 2004-639087P	P 20041223
			US 2005-318399	B1 20051223
			WO 2005-0547270	W 20051222

OTHER SOURCE(S) : MARPAT 145:124558  
GI



AB The invention relates to title compds. I [A2 = bicyclic fused aryl, bicyclic fused heteroaryl, and bicyclic fused heterocyclyl, etc.; A1 = pyrazolyl, Ph, pyridyl, pyrimidinyl, etc.; W, Y = CHR4, NR3 or O (wherein W and Y are not simultaneously O); X = O, S or NR3; D = Ph, heteroaryl, heterocyclyl, etc.; R3 = H, alkyl, cycloalkyl, Ph; R4 = H, alkyl, hydroxyalkyl, etc.] which are useful for the treatment of inflammatory conditions, hyperproliferative diseases, cancer, and diseases characterized by hypervascularization. Over 500 compds. I were prepared E.g., a multi-step synthesis of II, starting from m-aminobenzoic acid, was given. Compds. I were tested against various kinases (IC50 values were given for representative compds. I). In a preferred embodiment, modulation of the activation state of p38 kinase protein c-Abl kinase protein, Bcr-Abl kinase protein, B-raf kinase protein, VEGFR kinase protein, or PDGFR kinase protein comprises the step of contacting said kinase protein with the novel compds. I.

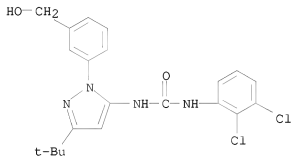
IT	897367-25-8P	897367-33-8P	897367-41-8P
	897367-45-8P	897367-56-5P	897367-61-2P
	897367-65-6P	897367-69-0P	897367-71-4P
	897367-79-2P	897367-81-6P	897367-90-7P
	897367-91-8P	897367-92-9P	897367-97-4P
	897367-98-5P	897368-30-8P	897368-32-0P
	897368-34-2P	897368-40-0P	897368-50-2P
	897368-51-3P	897368-59-1P	897368-63-7P
	897368-66-0P	897368-72-8P	897368-73-9P
	897368-95-5P	897369-34-5P	897369-39-0P

897369-47-0P 897369-50-5P 897369-51-6P  
 897369-75-4P 897369-76-5P 897369-81-2P  
 897369-93-6P 897369-95-8P 897369-96-9P  
 897370-29-5P 897370-46-6P 897370-83-1P  
 897370-85-3P 897370-90-0P 897370-91-1P  
 897370-92-2P 897370-93-3P 897370-94-4P  
 897370-95-5P 897370-97-7P 897370-98-8P  
 897371-01-6P 897371-04-9P 897371-05-0P  
 897371-06-1P 897371-07-2P 897371-08-3P  
 897371-12-9P 897371-14-1P 897371-15-2P  
 897371-16-3P 897371-17-4P 897371-18-5P  
 897371-19-6P 897371-20-9P 897371-21-0P  
 897371-22-1P 897371-25-4P 897371-29-8P  
 897371-83-4P 897372-39-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of pyrazolyl Ph ureas as enzyme modulators for treating cancer and hyperproliferative diseases)

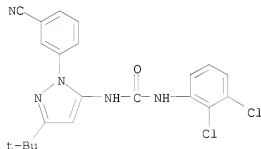
RN 897367-25-8 CAPLUS

CN Urea, N-[1-(3-dichlorophenyl)-N'-(3-(1,1-dimethylethyl)-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl)]- (CA INDEX NAME)



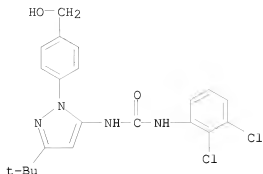
RN 897367-33-8 CAPLUS

CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



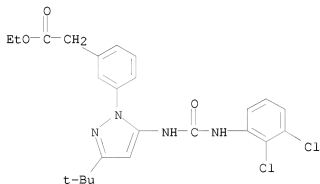
RN 897367-41-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-(3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl)]- (CA INDEX NAME)



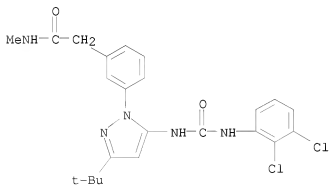
RN 897367-45-2 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897367-56-5 CAPLUS

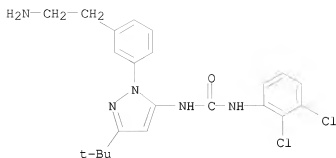
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-methyl- (CA INDEX NAME)



RN 897367-61-2 CAPLUS

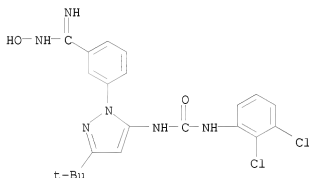
CN Urea, N-[1-[3-(2-aminoethyl)phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



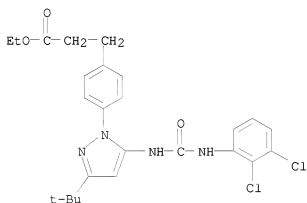
RN 897367-65-6 CAPLUS

CN Benzenecarboximidamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-hydroxy- (CA INDEX NAME)



RN 897367-69-0 CAPLUS

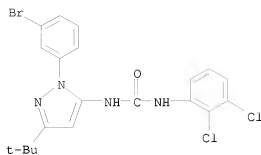
CN Benzenepropanoic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897367-71-4 CAPLUS

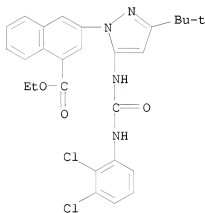
CN Urea, N-[1-(3-bromophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



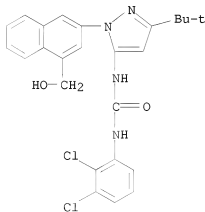
RN 897367-79-2 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897367-81-6 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

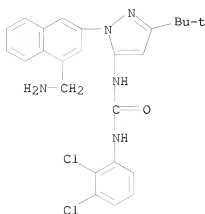


RN 897367-90-7 CAPLUS



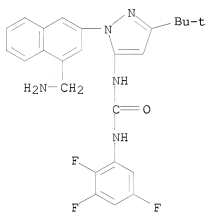
10/562,112

CN Urea, N-[1-[4-(aminomethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897367-91-8 CAPLUS

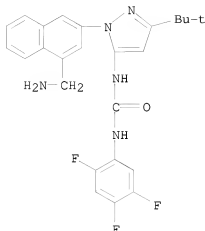
CN Urea, N-[1-[4-(aminomethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)



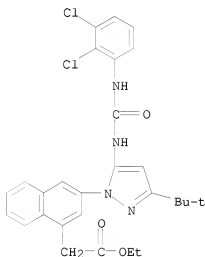
● HCl

RN 897367-92-9 CAPLUS

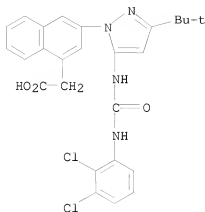
CN Urea, N-[1-[4-(aminomethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)



RN 897367-97-4 CAPLUS  
 CN 1-Naphthaleneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)

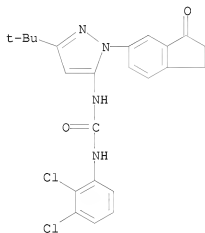


RN 897367-98-5 CAPLUS  
 CN 1-Naphthaleneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897368-30-8 CAPLUS

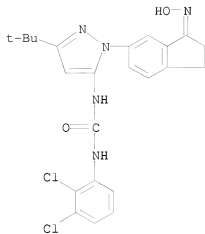
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-3-oxo-1H-inden-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-32-0 CAPLUS

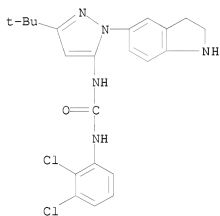
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[2,3-dihydro-3-(hydroxyimino)-1H-inden-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-34-2 CAPLUS

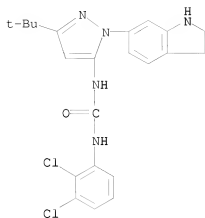
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-1H-indol-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-40-0 CAPLUS

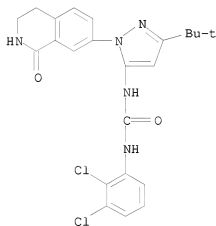
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-1H-indol-6-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



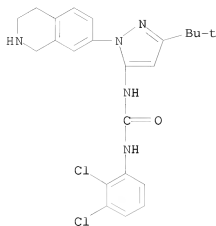
RN 897368-50-2 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-oxo-7-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



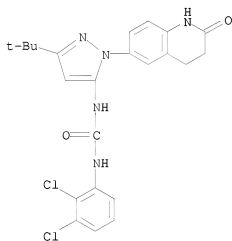
RN 897368-51-3 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-7-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



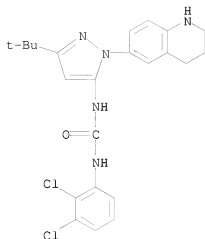
RN 897368-59-1 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-63-7 CAPLUS

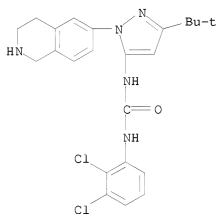
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-6-quinolinyl)-1H-pyrazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

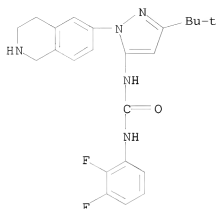
RN 897368-66-0 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-1,2,3,4-tetrahydro-6-isoquinoliny-1H-pyrazol-5-yl]- (CA INDEX NAME)



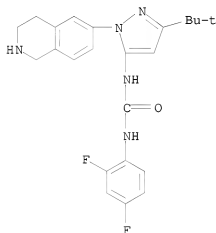
RN 897368-72-8 CAPLUS

CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-1,2,3,4-tetrahydro-6-isoquinoliny-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-73-9 CAPLUS

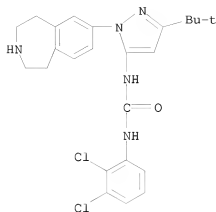
CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-6-isoquinoliny)-1H-pyrazol-5-yl]- (CA INDEX NAME)



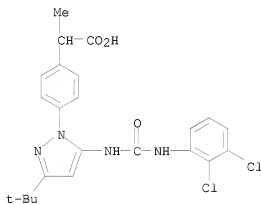
RN 897368-95-5 CAPLUS

CN Urea, N-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-N'-[3-(1,1-dimethylethyl)-1-(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



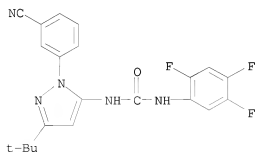


RN 897369-34-5 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- $\alpha$ -methyl- (CA INDEX NAME)

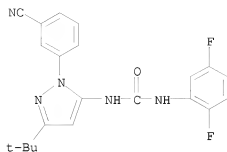
RN 897369-39-0 CAPLUS

CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)



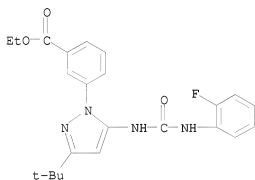
RN 897369-47-0 CAPLUS

CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,5-difluorophenyl)- (CA INDEX NAME)



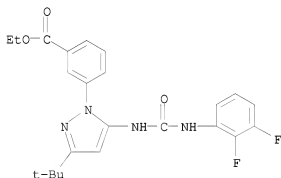
RN 897369-50-5 CAPLUS

CN Benzoic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



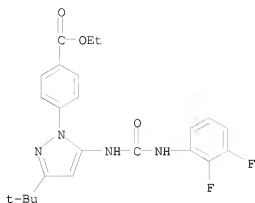
RN 897369-51-6 CAPLUS

CN Benzoic acid, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



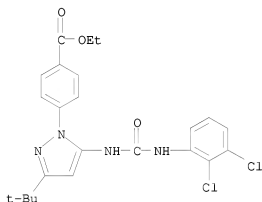
RN 897369-75-4 CAPLUS

CN Benzoic acid, 4-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



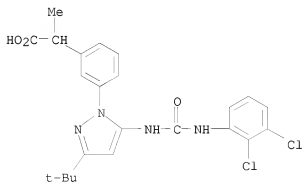
RN 897369-76-5 CAPLUS

CN Benzoic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897369-81-2 CAPLUS

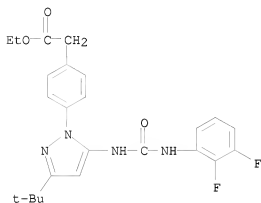
CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)



RN 897369-93-6 CAPLUS

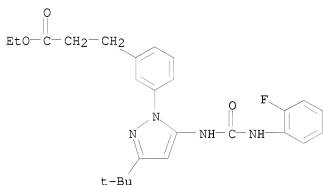
CN Benzeneacetic acid, 4-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-

(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



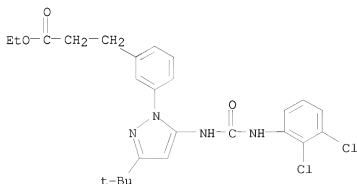
RN 897369-95-8 CAPLUS

CN Benzenepropanoic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897369-96-9 CAPLUS

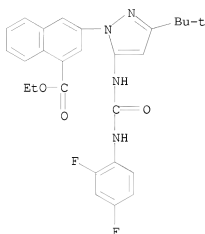
CN Benzenepropanoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



10/562,112

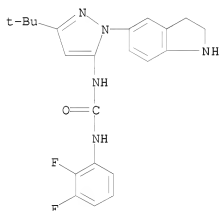
RN 897370-29-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897370-46-6 CAPLUS

CN Urea, N-(2,3-difluorophenyl)-N'-[1-(2,3-dihydro-1H-indol-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

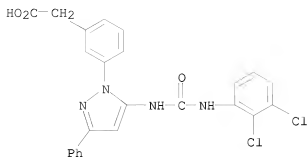


● HCl

RN 897370-83-1 CAPLUS

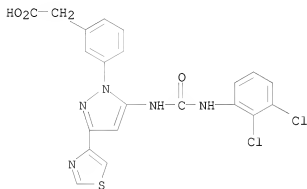
CN 4-Piperidinecarboxylic acid, 1-[2-[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, ethyl ester (CA INDEX NAME)





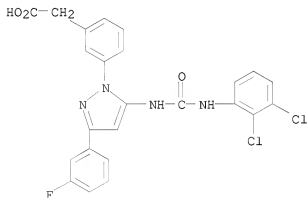
RN 897370-91-1 CAPLUS

CN Benzeacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-phenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897370-92-2 CAPLUS

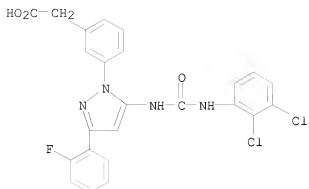
CN Benzeacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897370-93-3 CAPLUS

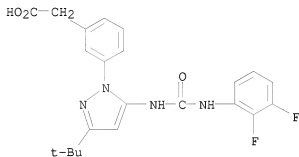
CN Benzeacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



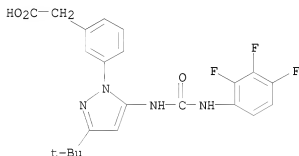
RN 897370-94-4 CAPLUS

CN Benzenecarboxylic acid, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897370-95-5 CAPLUS

CN Benzenecarboxylic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)

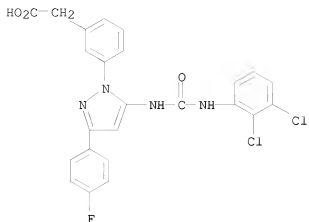


RN 897370-97-7 CAPLUS

CN Benzenecarboxylic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

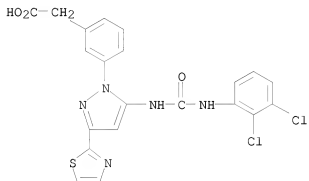


10/562,112



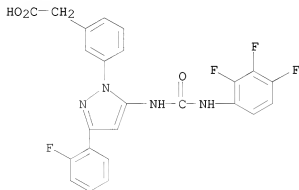
RN 897370-98-8 CAPLUS

CN Benzenecetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thiazolyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-01-6 CAPLUS

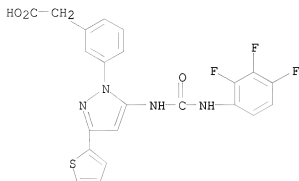
CN Benzenecetic acid, 3-[3-(2-fluorophenyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-04-9 CAPLUS

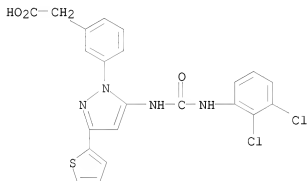
CN Benzenecetic acid, 3-[3-(2-thienyl)-5-[[[(2,3,4-

trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



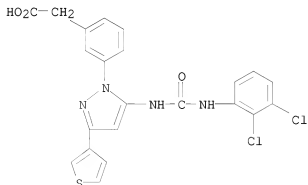
RN 897371-05-0 CAPLUS

CN Benzenecetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-06-1 CAPLUS

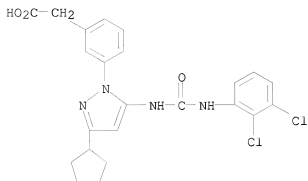
CN Benzenecetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-07-2 CAPLUS

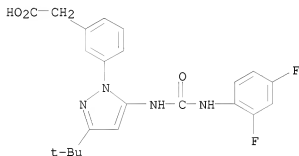
CN Benzenecetic acid, 3-[3-cyclopentyl-5-[[[(2,3-

dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



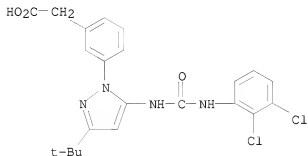
RN 897371-08-3 CAPLUS

CN Benzenecetic acid, 3-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



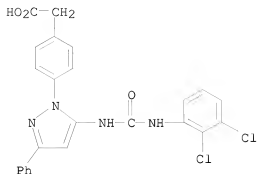
RN 897371-12-9 CAPLUS

CN Benzenecetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



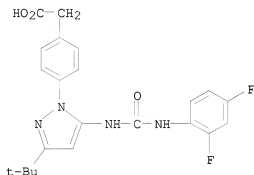
RN 897371-14-1 CAPLUS

CN Benzenecetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



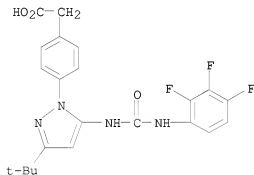
RN 897371-15-2 CAPLUS

CN Benzenecetic acid, 4-[5-[[[(2,4-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-16-3 CAPLUS

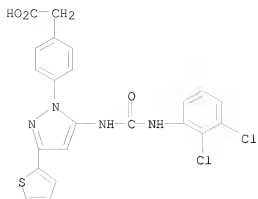
CN Benzenecetic acid, 4-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-17-4 CAPLUS

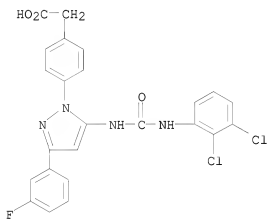
CN Benzenecetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



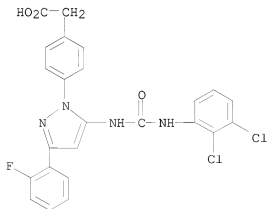
RN 897371-18-5 CAPLUS

CN Benzenecetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



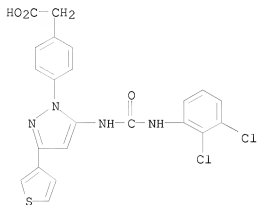
RN 897371-19-6 CAPLUS

CN Benzenecetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



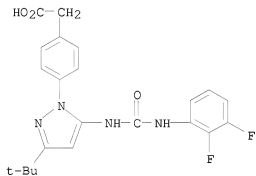
RN 897371-20-9 CAPLUS

CN Benzenecetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



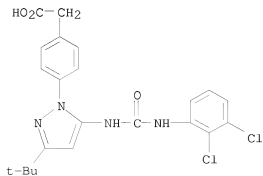
RN 897371-21-0 CAPLUS

CN Benzenecetic acid, 4-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-22-1 CAPLUS

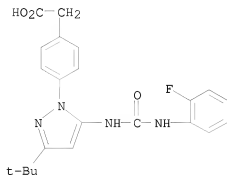
CN Benzenecetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



10/562,112

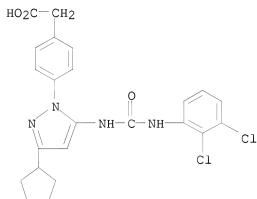
RN 897371-25-4 CAPLUS

CN Benzeneacetic acid, 4-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



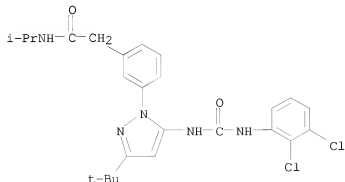
RN 897371-29-8 CAPLUS

CN Benzeneacetic acid, 4-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



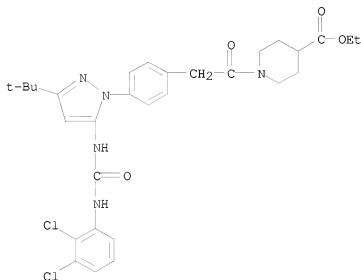
RN 897371-83-4 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-(1-methylethyl)- (CA INDEX NAME)



RN 897372-39-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, ethyl ester (CA INDEX NAME)



IT 897367-26-9P 897367-28-1P 897367-37-2P  
 897367-42-9P 897367-46-3P 897367-47-4P  
 897367-57-6P 897367-58-7P 897367-59-8P  
 897367-62-3P 897367-64-5P 897367-66-7P  
 897367-67-8P 897367-68-9P 897367-70-3P  
 897367-72-5P 897367-74-7P 897367-75-8P  
 897367-76-9P 897367-83-8P 897367-84-9P  
 897367-85-0P 897367-86-1P 897367-96-3P  
 897367-99-6P 897368-01-3P 897368-02-4P  
 897368-03-5P 897368-06-8P 897368-08-0P  
 897368-14-8P 897368-17-1P 897368-22-8P  
 897368-23-9P 897368-24-0P 897368-27-3P  
 897368-28-4P 897368-29-5P 897368-31-9P  
 897368-33-1P 897368-35-3P 897368-36-4P  
 897368-37-5P 897368-38-6P 897368-41-1P  
 897368-43-3P 897368-45-5P 897368-48-8P  
 897368-49-9P 897368-54-6P 897368-55-7P  
 897368-57-9P 897368-58-0P 897368-64-8P  
 897368-65-9P 897368-74-0P 897368-75-1P  
 897368-82-0P 897368-83-1P 897368-93-3P  
 897368-99-9P 897369-08-3P 897369-09-4P  
 897369-18-5P 897369-19-6P 897369-20-9P  
 897369-25-4P 897369-26-5P 897369-27-6P  
 897369-32-3P 897369-33-4P 897369-35-6P  
 897369-36-7P 897369-37-8P 897369-41-4P  
 897369-42-5P 897369-45-8P 897369-49-2P  
 897369-53-8P 897369-54-9P 897369-59-4P  
 897369-61-8P 897369-62-9P 897369-66-3P  
 897369-68-5P 897369-69-6P 897369-70-9P  
 897369-74-3P 897369-77-6P 897369-78-7P  
 897369-79-8P 897369-82-3P 897369-90-3P  
 897369-91-4P 897369-92-5P 897369-94-7P



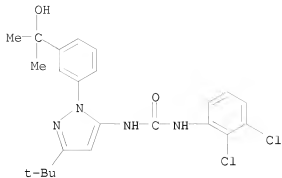
897369-97-0P 897369-98-1P 897369-99-2P  
 897370-00-2P 897370-05-7P 897370-07-9P  
 897370-08-0P 897370-10-4P 897370-13-7P  
 897370-23-9P 897370-24-0P 897370-25-1P  
 897370-27-3P 897370-31-9P 897370-34-2P  
 897370-36-4P 897370-37-5P 897370-43-3P  
 897370-44-4P 897370-47-7P 897370-50-2P  
 897370-51-3P 897370-52-4P 897370-59-1P  
 897370-60-4P 897370-61-5P 897370-62-6P  
 897370-67-1P 897370-68-2P 897370-69-3P  
 897370-70-6P 897370-71-7P 897370-72-8P  
 897370-73-9P 897370-75-1P 897370-79-5P  
 897370-84-2P 897370-86-4P 897371-09-4P  
 897371-10-7P 897371-23-2P 897371-27-6P  
 897371-32-3P 897371-33-4P 897371-35-6P  
 897371-36-7P 897371-37-8P 897371-38-9P  
 897371-39-0P 897371-40-3P 897371-41-4P  
 897371-43-6P 897371-44-7P 897371-45-8P  
 897371-46-9P 897371-47-0P 897371-48-1P  
 897371-49-2P 897371-53-8P 897371-57-2P  
 897371-58-3P 897371-59-4P 897371-60-7P  
 897371-61-8P 897371-63-0P 897371-73-2P  
 897371-74-3P 897371-76-5P 897371-77-6P  
 897371-82-3P 897371-84-5P 897371-85-6P  
 897371-86-7P 897371-87-8P 897371-89-0P  
 897371-90-3P 897371-93-6P 897371-94-7P  
 897371-95-8P 897371-96-9P 897371-97-0P  
 897371-98-1P 897371-99-2P 897372-00-8P  
 897372-01-9P 897372-02-0P 897372-03-1P  
 897372-04-2P 897372-06-4P 897372-07-5P  
 897372-08-6P 897372-09-7P 897372-10-0P  
 897372-11-1P 897372-12-2P 897372-13-3P  
 897372-14-4P 897372-15-5P 897372-16-6P  
 897372-17-7P 897372-18-8P 897372-19-9P  
 897372-20-2P 897372-21-3P 897372-22-4P  
 897372-23-5P 897372-25-7P 897372-26-8P  
 897372-27-9P 897372-28-0P 897372-29-1P  
 897372-30-4P 897372-33-7P 897372-34-8P  
 897372-35-9P 897372-36-0P 897372-37-1P  
 897372-38-2P 897372-40-6P 897372-59-7P  
 897372-61-1P 897372-62-2P 897372-63-3P  
 897372-65-5P 897372-66-6P 897372-67-7P  
 897372-68-8P 897372-69-9P 897372-76-8P  
 897372-77-9P 897372-78-0P 897372-79-1P  
 897372-80-4P 897372-83-7P 897372-84-8P  
 897372-86-0P 897372-87-1P 897372-88-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of pyrazolyl Ph ureas as enzyme modulators for treating cancer  
 and hyperproliferative diseases)

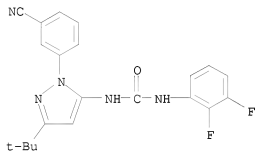
RN 897367-26-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(1-hydroxy-1-  
 methylethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



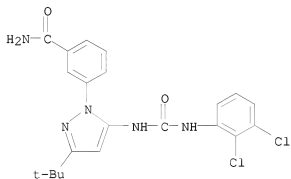
RN 897367-28-1 CAPLUS

CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-difluorophenyl)- (CA INDEX NAME)



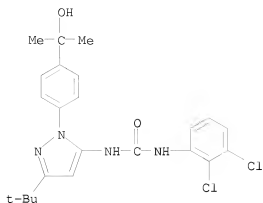
RN 897367-37-2 CAPLUS

CN Benzamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



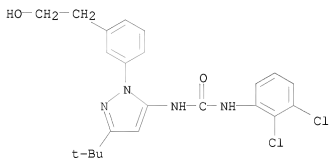
RN 897367-42-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(1-hydroxy-1-methylethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



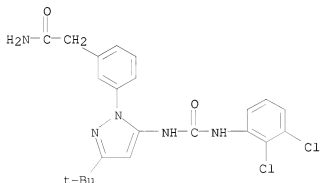
RN 897367-46-3 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(2-hydroxyethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897367-47-4 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

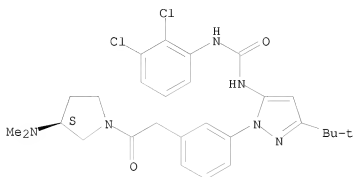


RN 897367-57-6 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-[2-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112

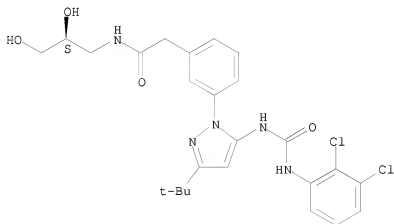
Absolute stereochemistry.



RN 897367-58-7 CAPLUS

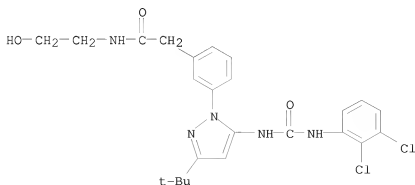
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-[(2S)-2,3-dihydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.



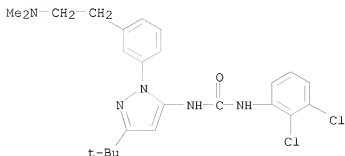
RN 897367-59-8 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



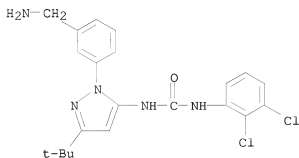
RN 897367-62-3 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-[2-(dimethylamino)ethyl]phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



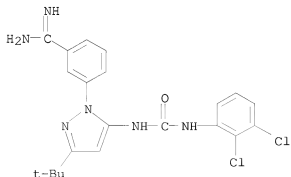
RN 897367-64-5 CAPLUS

CN Urea, N-[1-[3-(aminomethyl)phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897367-66-7 CAPLUS

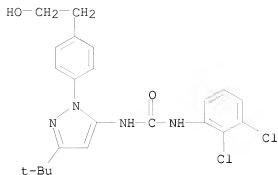
CN Benzenecarboximidamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897367-67-8 CAPLUS

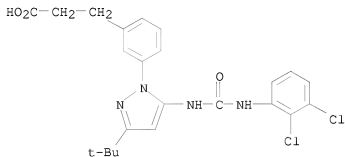
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(2-hydroxyethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



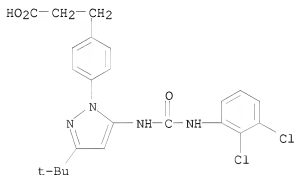
RN 897367-68-9 CAPLUS

CN Benzenepropanoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897367-70-3 CAPLUS

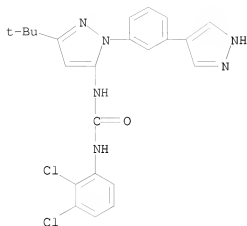
CN Benzenepropanoic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897367-72-5 CAPLUS

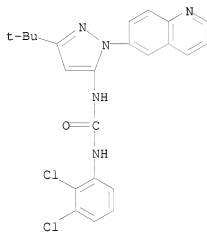
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(1H-pyrazol-4-yl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



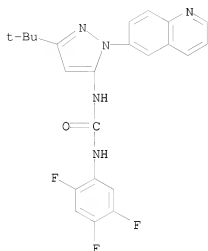
RN 897367-74-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



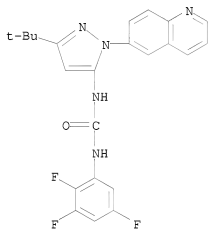
RN 897367-75-8 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)



RN 897367-76-9 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)

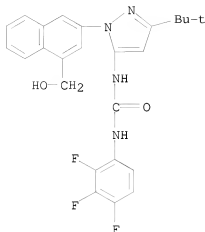


RN 897367-83-8 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

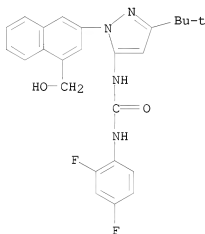


10/562,112



RN 897367-84-9 CAPLUS

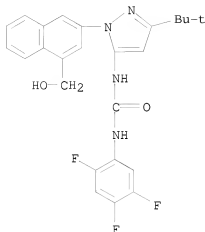
CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897367-85-0 CAPLUS

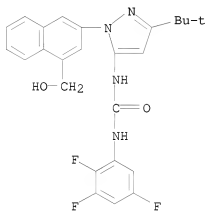
CN Urea, N-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



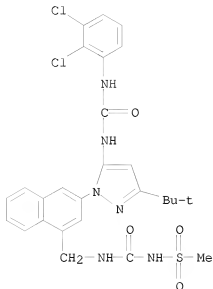
RN 897367-86-1 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



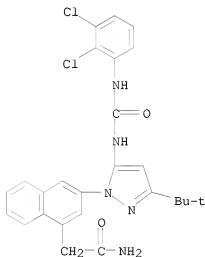
RN 897367-96-3 CAPLUS

CN Methanesulfonamide, N-[[[[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1-naphthalenyl]methyl]amino]carbonyl]- (CA INDEX NAME)



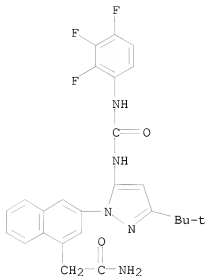
RN 897367-99-6 CAPLUS

CN 1-Naphthaleneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



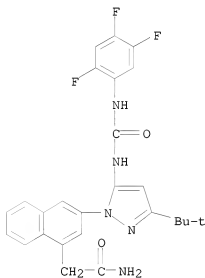
RN 897368-01-3 CAPLUS

CN 1-Naphthaleneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



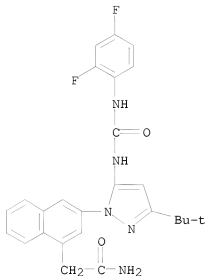
RN 897368-02-4 CAPLUS

CN 1-Naphthaleneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



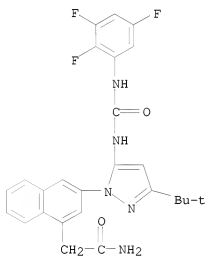
RN 897368-03-5 CAPLUS

CN 1-Naphthaleneacetamide, 3-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



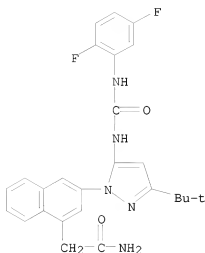
RN 897368-06-8 CAPLUS

CN 1-Naphthaleneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



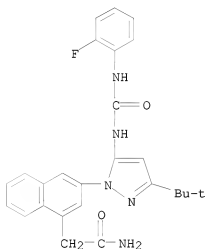
RN 897368-08-0 CAPLUS

CN 1-Naphthaleneacetamide, 3-[5-[[[(2,5-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



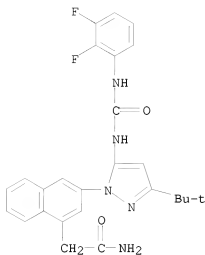
RN 897368-14-8 CAPLUS

CN 1-Naphthaleneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



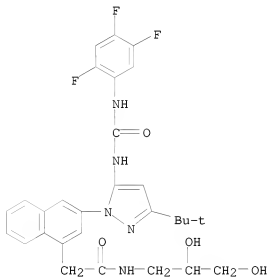
RN 897368-17-1 CAPLUS

CN 1-Naphthaleneacetamide, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



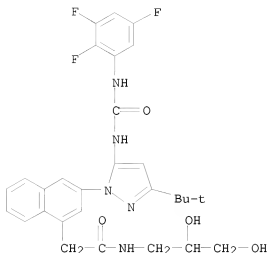
RN 897368-22-8 CAPLUS

CN 1-Naphthaleneacetamide, N-(2,3-dihydroxypropyl)-3-[3-((1,1-dimethylethyl)-5-  
 [[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl)]- (CA  
 INDEX NAME)



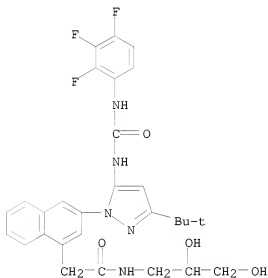
RN 897368-23-9 CAPLUS

CN 1-Naphthaleneacetamide, N-(2,3-dihydroxypropyl)-3-[3-((1,1-dimethylethyl)-5-  
 [[[(2,3,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl)]- (CA  
 INDEX NAME)



RN 897368-24-0 CAPLUS

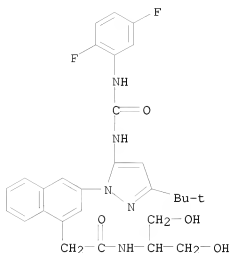
CN 1-Naphthaleneacetamide, N-(2,3-dihydroxypropyl)-3-[3-[(1,1-dimethylethyl)-5-  
 {{{(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]}- (CA  
 INDEX NAME)



RN 897368-27-3 CAPLUS

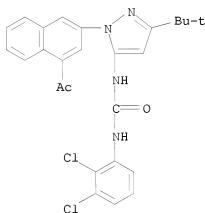
CN 1-Naphthaleneacetamide, 3-[5-[[[(2,5-difluorophenyl)amino]carbonyl]amino]-  
 3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-  
 (hydroxymethyl)ethyl]- (CA INDEX NAME)





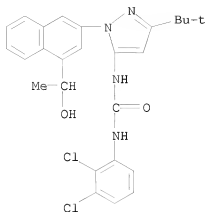
RN 897368-28-4 CAPLUS

CN Urea, N-[1-(4-acetyl-2-naphthalenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



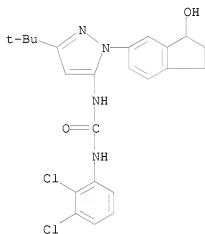
RN 897368-29-5 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(1-hydroxyethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-31-9 CAPLUS

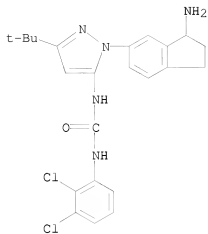
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-3-hydroxy-1H-inden-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-33-1 CAPLUS

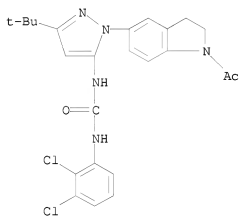
CN Urea, N-[1-(3-amino-2,3-dihydro-1H-inden-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



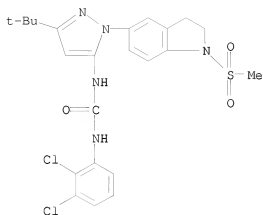
RN 897368-35-3 CAPLUS

CN Urea, N-[1-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



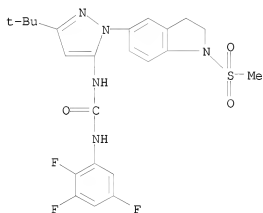
RN 897368-36-4 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



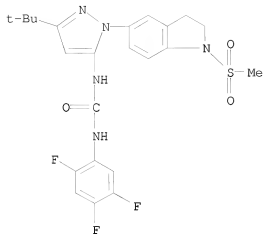
RN 897368-37-5 CAPLUS

CN Urea, N-[1-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



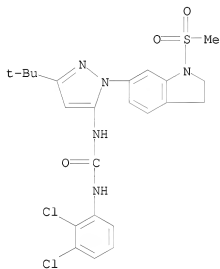
RN 897368-38-6 CAPLUS

CN Urea, N-[1-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)



RN 897368-41-1 CAPLUS

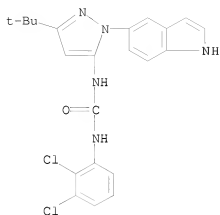
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-1-(methylsulfonyl)-1H-indol-6-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-43-3 CAPLUS

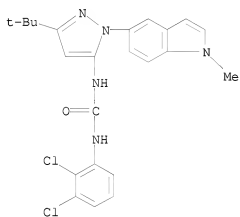
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1H-indol-5-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-45-5 CAPLUS

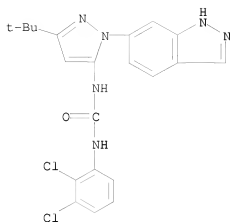
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1-methyl-1H-indol-5-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-48-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1H-indazol-6-yl)-1H-pyrazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

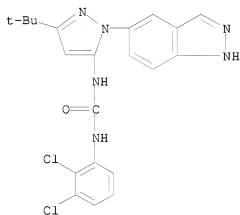
10/562,112



● HCl

RN 897368-49-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1H-indazol-5-yl)-1H-pyrazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

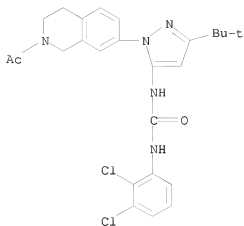


● HCl

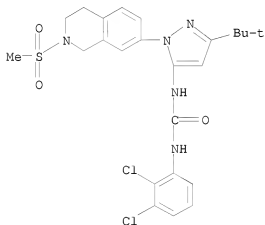
RN 897368-54-6 CAPLUS

CN Urea, N-[1-(2-acetyl-1,2,3,4-tetrahydro-7-isoquinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112

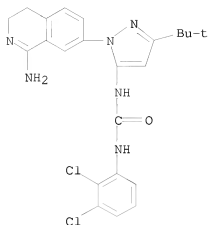


RN 897368-55-7 CAPLUS  
 CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-7-isoquinolinyl-1H-pyrazol-5-yl- (CA INDEX NAME)



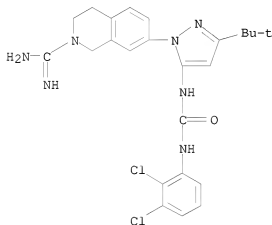
RN 897368-57-9 CAPLUS  
 CN Urea, N-[1-(1-amino-3,4-dihydro-7-isoquinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)





RN 897368-58-0 CAPLUS

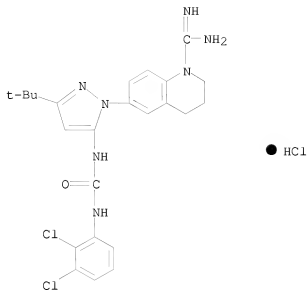
CN 2(1H)-Isoquinolinecarboximidamide, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro- (CA INDEX NAME)



RN 897368-64-8 CAPLUS

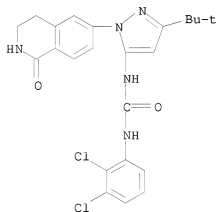
CN 1(2H)-Quinolinecarboximidamide, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

10/562,112



RN 897368-65-9 CAPLUS

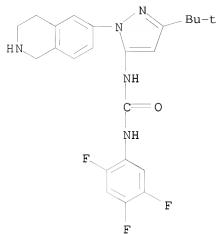
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-oxo-6-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-74-0 CAPLUS

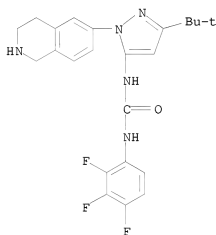
CN Urea, N-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-6-isoquinolinyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



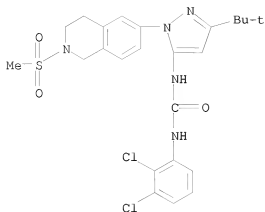
RN 897368-75-1 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-6-isoquinolinyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



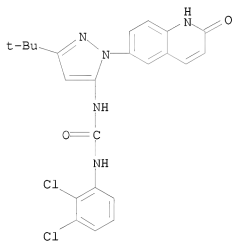
RN 897368-82-0 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-(methylsulfonyl)-6-isoquinolinyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-83-1 CAPLUS

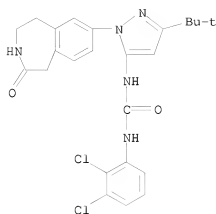
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-93-3 CAPLUS

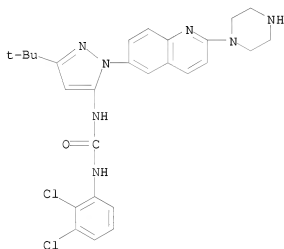
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(2,3,4,5-tetrahydro-2-oxo-1H-3-benzazepin-7-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-99-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[2-(1-piperazinyl)-6-quinolinyl]-1H-pyrazol-5-yl]-, hydrochloride (1:?) (CA INDEX NAME)

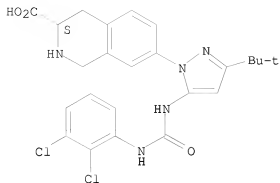


●x HCl

RN 897369-08-3 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

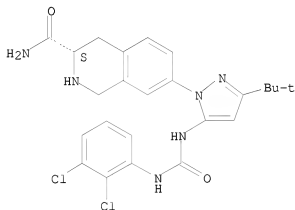
Absolute stereochemistry.



RN 897369-09-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

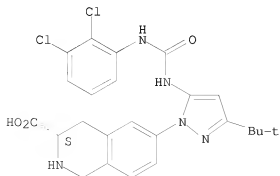
Absolute stereochemistry.



RN 897369-18-5 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

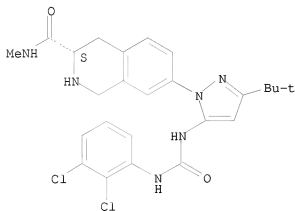
Absolute stereochemistry.



RN 897369-19-6 CAPLUS

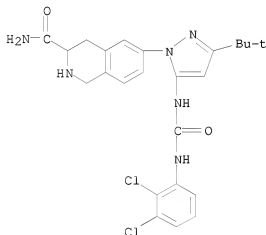
CN 3-Isoquinolinecarboxamide, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-N-methyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 897369-20-9 CAPLUS

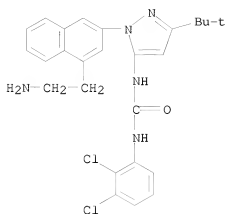
CN 3-Isoquinolinecarboxamide, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro- (CA INDEX NAME)



RN 897369-25-4 CAPLUS

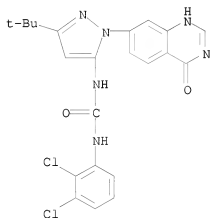
CN Urea, N-[1-[4-(2-aminoethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



RN 897369-26-5 CAPLUS

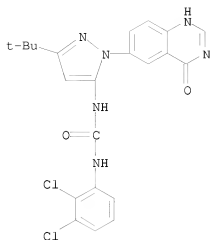
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(3,4-dihydro-4-oxo-7-quinazolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897369-27-6 CAPLUS

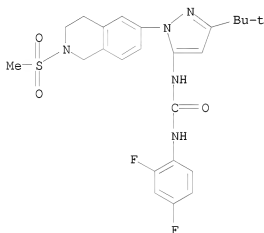
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(3,4-dihydro-4-oxo-6-quinazolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)





RN 897369-32-3 CAPLUS

CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[1,2,3,4-tetrahydro-2-(methylsulfonyl)-6-isoquinolinyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

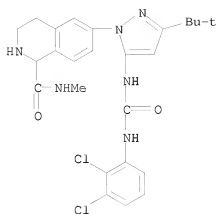


RN 897369-33-4 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[2,3-dihydro-1-[(trifluoromethyl)sulfonyl]-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

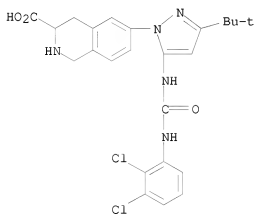


10/562,112



RN 897369-37-8 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)

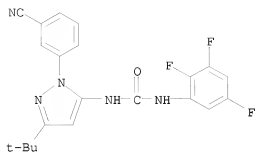


● HCl

RN 897369-41-4 CAPLUS

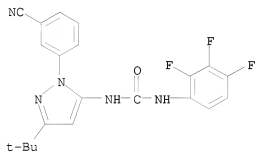
CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



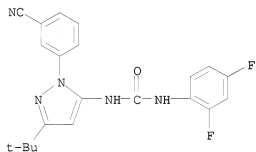
RN 897369-42-5 CAPLUS

CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



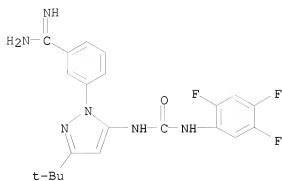
RN 897369-45-8 CAPLUS

CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4-difluorophenyl)- (CA INDEX NAME)



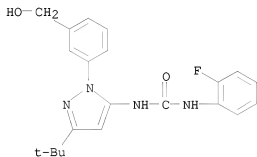
RN 897369-49-2 CAPLUS

CN Benzenecarboximidamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



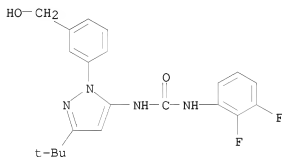
RN 897369-53-8 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



RN 897369-54-9 CAPLUS

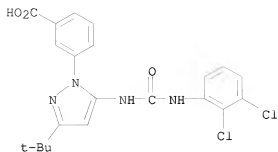
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897369-59-4 CAPLUS

CN Benzoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

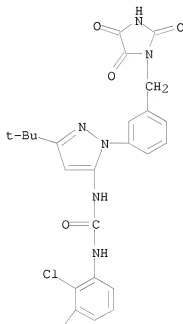
10/562,112



RN 897369-61-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[(2,4,5-trioxo-1-imidazolidinyl)methyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

PAGE 1-A

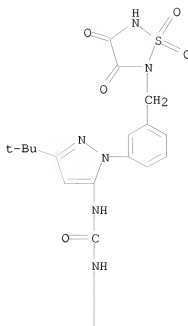


PAGE 2-A



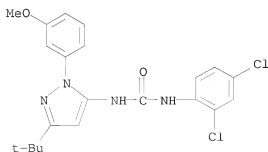
RN 897369-62-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[(1,1-dioxo-3,4-dioxo-1,2,5-thiadiazolidin-2-yl)methyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897369-66-3 CAPLUS

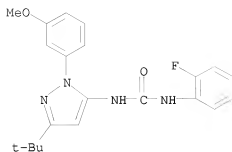
CN Urea, N-[3-(1,1-dimethylethyl)-1-(3-methoxyphenyl)-1H-pyrazol-5-yl]-N'-(2,4-dichlorophenyl)- (CA INDEX NAME)



RN 897369-68-5 CAPLUS

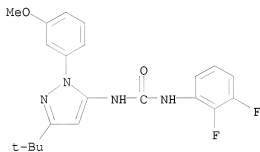
CN Urea, N-[3-(1,1-dimethylethyl)-1-(3-methoxyphenyl)-1H-pyrazol-5-yl]-N'-(2-fluorophenyl)- (CA INDEX NAME)

10/562,112



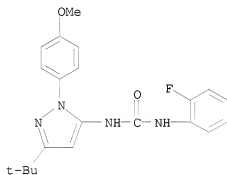
RN 897369-69-6 CAPLUS

CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(3-methoxyphenyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897369-70-9 CAPLUS

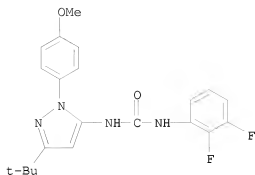
CN Urea, N-[3-(1,1-dimethylethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



RN 897369-74-3 CAPLUS

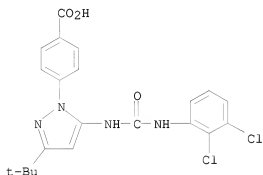
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)





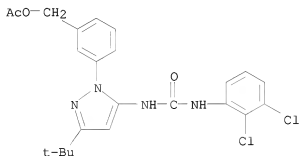
RN 897369-77-6 CAPLUS

CN Benzoic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



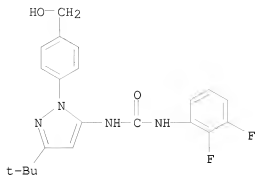
RN 897369-78-7 CAPLUS

CN Urea, N-[1-[3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)]- (CA INDEX NAME)



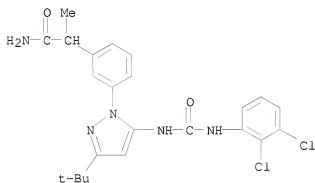
RN 897369-79-8 CAPLUS

CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



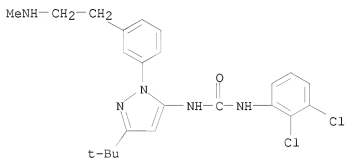
RN 897369-82-3 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)



RN 897369-90-3 CAPLUS

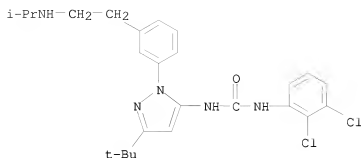
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-(methylamino)ethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897369-91-4 CAPLUS

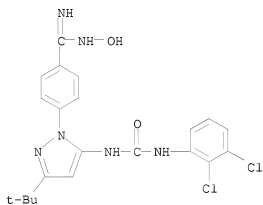
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-(1-methylethyl)amino]ethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



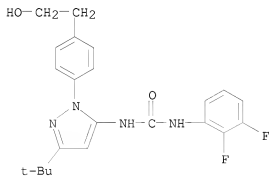
RN 897369-92-5 CAPLUS

CN Benzenecarboximidamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-hydroxy- (CA INDEX NAME)



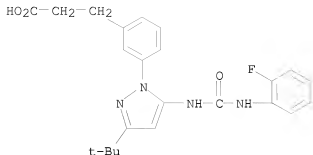
RN 897369-94-7 CAPLUS

CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(2-hydroxyethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



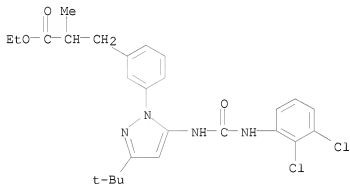
RN 897369-97-0 CAPLUS

CN Benzenepropanoic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



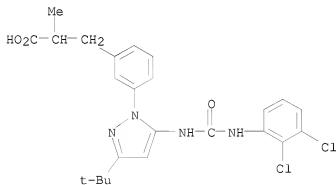
RN 897369-98-1 CAPLUS

CN Benzenepropanoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-α-methyl-, ethyl ester (CA INDEX NAME)



RN 897369-99-2 CAPLUS

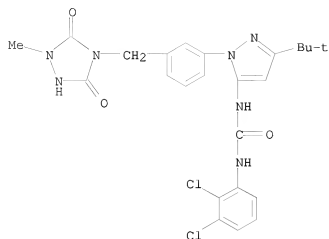
CN Benzenepropanoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)



RN 897370-00-2 CAPLUS

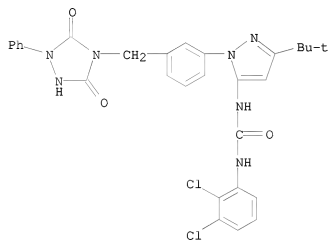
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[(1-methyl-3,5-dioxo-1,2,4-triazolidin-4-yl)methyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-05-7 CAPLUS

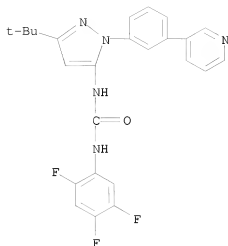
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[(3,5-dioxo-1-phenyl-1,2,4-triazolidin-4-yl)methyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-07-9 CAPLUS

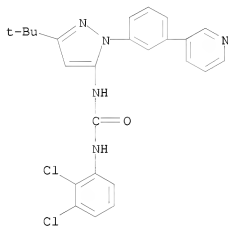
CN Urea, N-[3-(1,1-dimethylethyl)-1-[3-(3-pyridinyl)phenyl]-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897370-08-0 CAPLUS

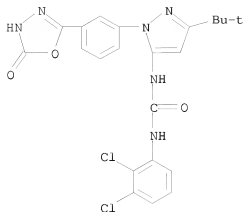
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(3-pyridinyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-10-4 CAPLUS

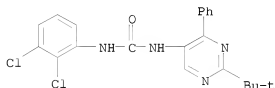
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-(4,5-dihydro-5-oxo-1,3,4-oxadiazol-2-yl)phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



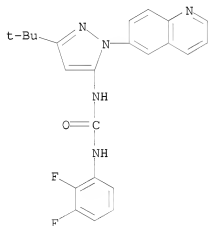
RN 897370-13-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[2-(1,1-dimethylethyl)-4-phenyl-5-pyrimidinyl]- (CA INDEX NAME)



RN 897370-23-9 CAPLUS

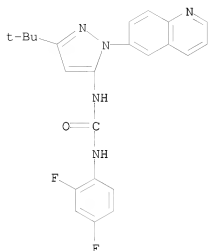
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(6-quinoliny)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-24-0 CAPLUS

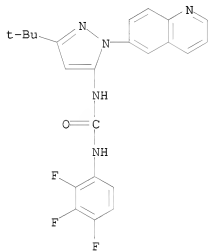
CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(6-quinoliny)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-25-1 CAPLUS

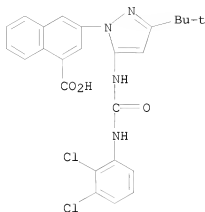
CN Urea, N-[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



RN 897370-27-3 CAPLUS

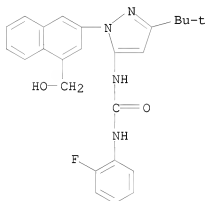
CN 1-Naphthalenecarboxylic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)





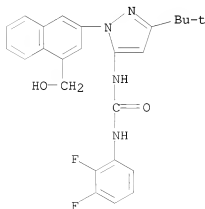
RN 897370-31-9 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



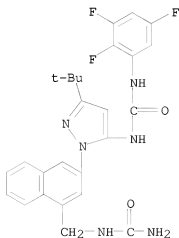
RN 897370-34-2 CAPLUS

CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



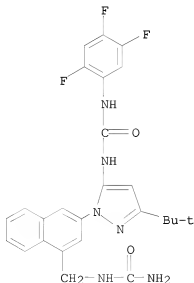
RN 897370-36-4 CAPLUS

CN Urea, N-[1-[4-[[ (aminocarbonyl)amino]methyl]-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



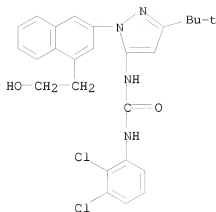
RN 897370-37-5 CAPLUS

CN Urea, N-[1-[4-[[ (aminocarbonyl)amino]methyl]-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)



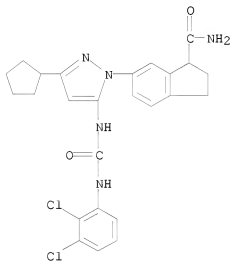
RN 897370-43-3 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(2-hydroxyethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-44-4 CAPLUS

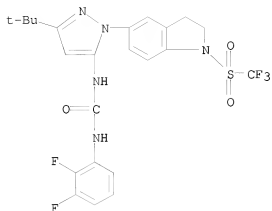
CN 1H-Indene-1-carboxamide, 6-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-2,3-dihydro- (CA INDEX NAME)



RN 897370-47-7 CAPLUS

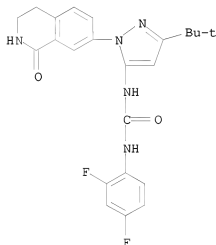
CN Urea, N-(2,3-difluorophenyl)-N'-[1-[2,3-dihydro-1-[(trifluoromethyl)sulfonyl]-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-50-2 CAPLUS

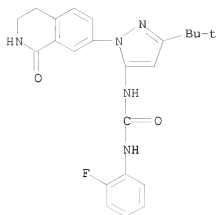
CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-oxo-7-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-51-3 CAPLUS

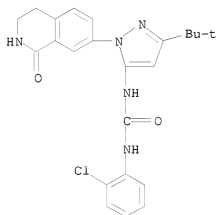
CN Urea, N-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-oxo-7-isoquinolinyl)-1H-pyrazol-5-yl]-N'-(2-fluorophenyl)- (CA INDEX NAME)

10/562,112



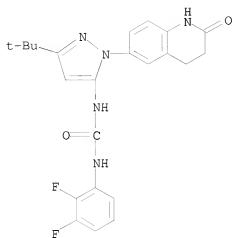
RN 897370-52-4 CAPLUS

CN Urea, N-(2-chlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-oxo-7-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

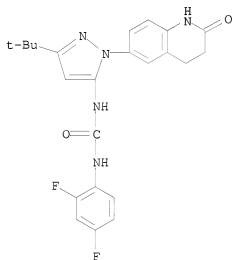


RN 897370-59-1 CAPLUS

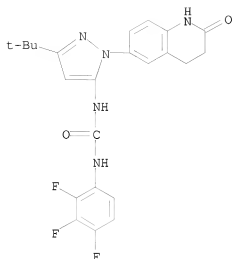
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-60-4 CAPLUS  
 CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

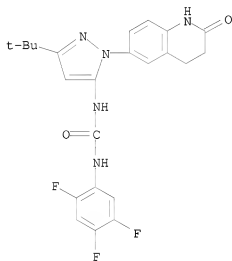


RN 897370-61-5 CAPLUS  
 CN Urea, N-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



RN 897370-62-6 CAPLUS

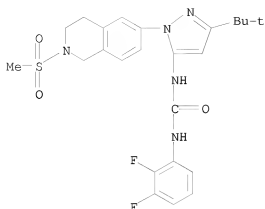
CN Urea, N-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)



RN 897370-67-1 CAPLUS

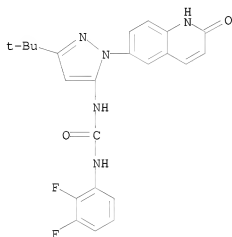
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[1,2,3,4-tetrahydro-2-(methylsulfonyl)-6-isoquinolinyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-68-2 CAPLUS

CN Urea, N-(2,3-difluorophenyl)-N'-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

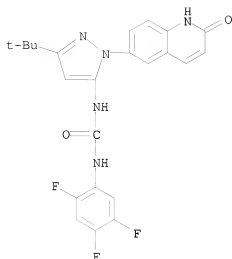


RN 897370-69-3 CAPLUS

CN Urea, N-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

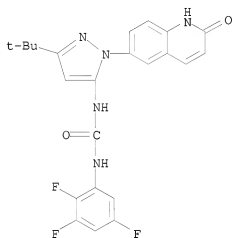


10/562,112



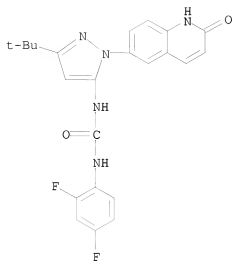
RN 897370-70-6 CAPLUS

CN Urea, N-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



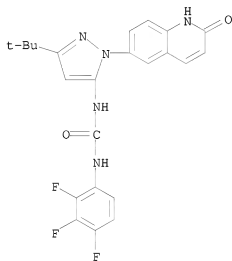
RN 897370-71-7 CAPLUS

CN Urea, N-(2,4-difluorophenyl)-N'-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



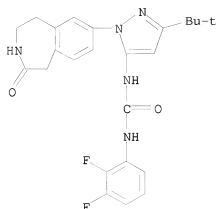
RN 897370-72-8 CAPLUS

CN Urea, N-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



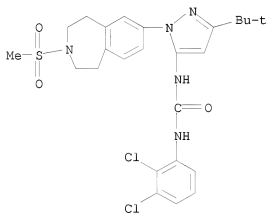
RN 897370-73-9 CAPLUS

CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(2,3,4,5-tetrahydro-2-oxo-1H-3-benzazepin-7-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



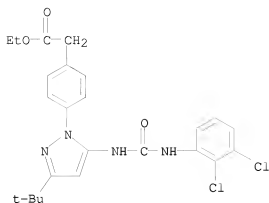
RN 897370-75-1 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[2,3,4,5-tetrahydro-3-(methylsulfonyl)-1H-3-benzazepin-7-yl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



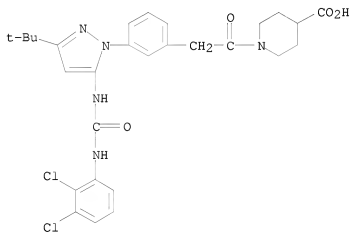
RN 897370-79-5 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897370-84-2 CAPLUS

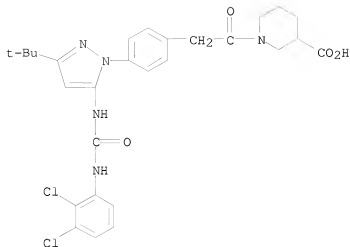
CN 4-Piperidinecarboxylic acid, 1-[2-[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (CA INDEX NAME)



RN 897370-86-4 CAPLUS

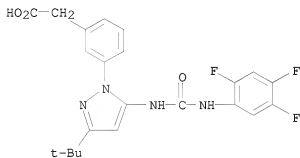
CN 3-Piperidinecarboxylic acid, 1-[2-[4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (CA INDEX NAME)

10/562,112



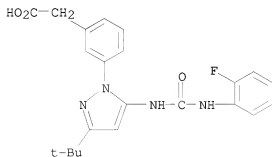
RN 897371-09-4 CAPLUS

CN Benzeneacetic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-10-7 CAPLUS

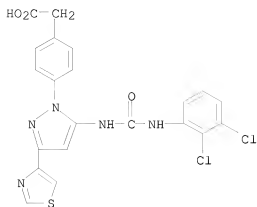
CN Benzeneacetic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-23-2 CAPLUS

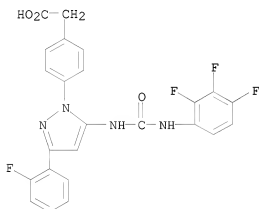
CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-thiazolyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



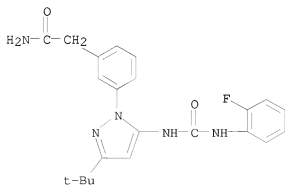
RN 897371-27-6 CAPLUS

CN Benzeneacetic acid, 4-[3-(2-chlorophenyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-32-3 CAPLUS

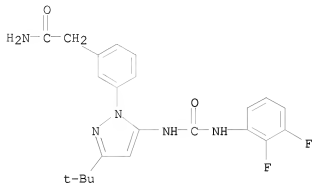
CN Benzeneacetic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-33-4 CAPLUS

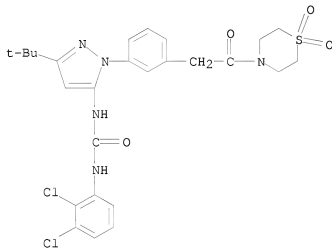
10/562,112

CN Benzeneacetamide, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



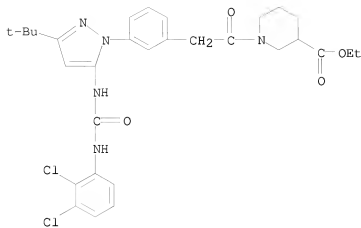
RN 897371-35-6 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



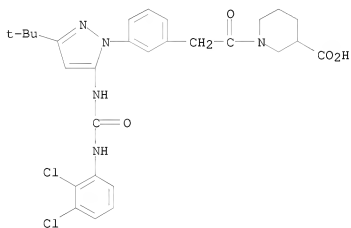
RN 897371-36-7 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, ethyl ester (CA INDEX NAME)



RN 897371-37-8 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (CA INDEX NAME)

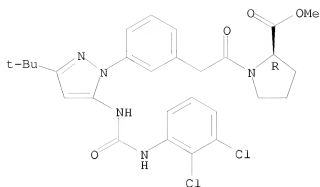


RN 897371-38-9 CAPLUS

CN D-Proline, 1-[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

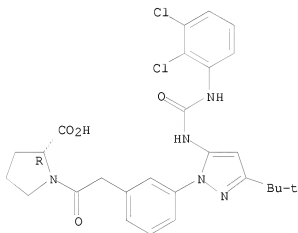




RN 897371-39-0 CAPLUS

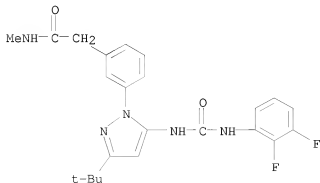
CN D-Proline, 1-[[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 897371-40-3 CAPLUS

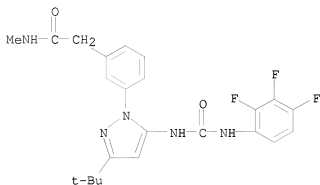
CN Benzeneacetamide, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-methyl- (CA INDEX NAME)



10/562,112

RN 897371-41-4 CAPLUS

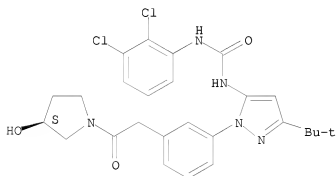
CN Benzeneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-N-methyl- (CA INDEX NAME)



RN 897371-43-6 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

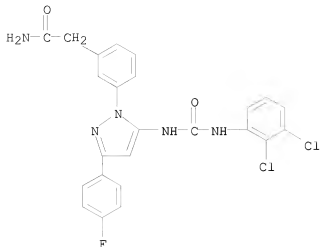
Absolute stereochemistry.



RN 897371-44-7 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

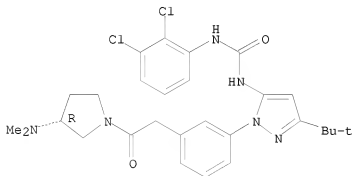
10/562,112



RN 897371-45-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-[2-[(3R)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

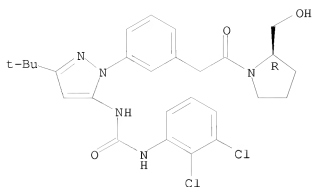
Absolute stereochemistry.



RN 897371-46-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

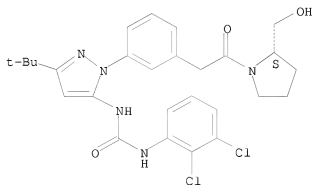
Absolute stereochemistry.



RN 897371-47-0 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

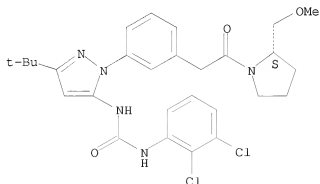
Absolute stereochemistry.



RN 897371-48-1 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

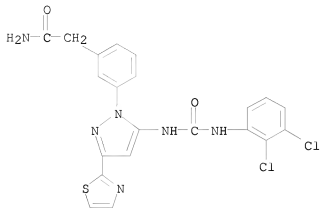
Absolute stereochemistry.



10/562,112

RN 897371-49-2 CAPLUS

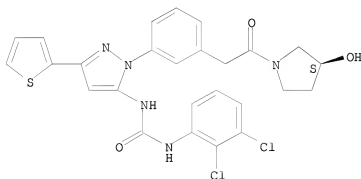
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thiazolyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-53-8 CAPLUS

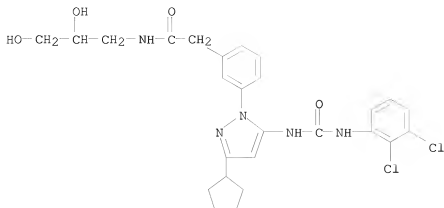
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-[2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(2-thienyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 897371-57-2 CAPLUS

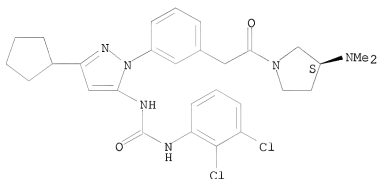
CN Benzeneacetamide, 3-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)



RN 897371-58-3 CAPLUS

CN Urea, N-[3-cyclopentyl-1-[3-[2-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

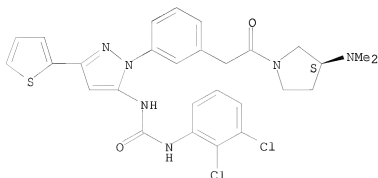
Absolute stereochemistry.



RN 897371-59-4 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-[2-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(2-thienyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

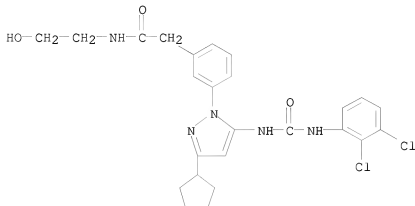
Absolute stereochemistry.



10/562,112

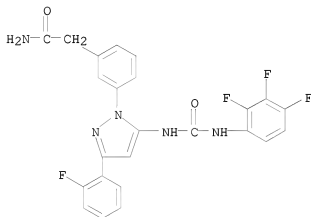
RN 897371-60-7 CAPLUS

CN Benzeneacetamide, 3-[3-(cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



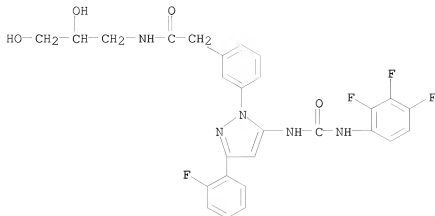
RN 897371-61-8 CAPLUS

CN Benzeneacetamide, 3-[3-(2-fluorophenyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



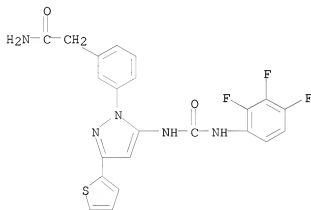
RN 897371-63-0 CAPLUS

CN Benzeneacetamide, N-(2,3-dihydroxypropyl)-3-[3-(2-fluorophenyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



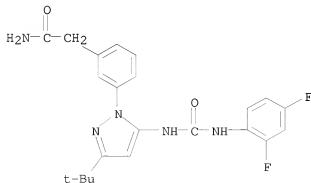
RN 897371-73-2 CAPLUS

CN Benzeneacetamide, 3-[3-(2-thienyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-74-3 CAPLUS

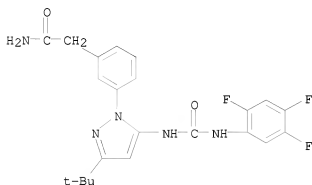
CN Benzeneacetamide, 3-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)





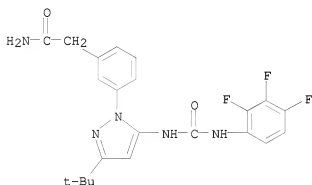
RN 897371-76-5 CAPLUS

CN Benzeneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



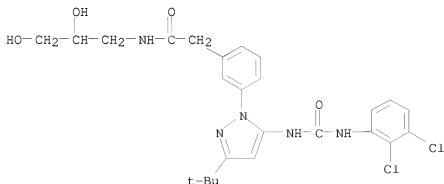
RN 897371-77-6 CAPLUS

CN Benzeneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-82-3 CAPLUS

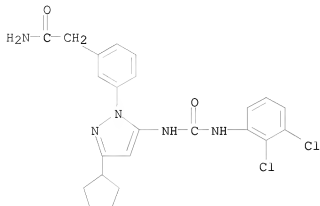
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)



10/562,112

RN 897371-84-5 CAPLUS

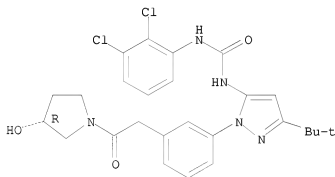
CN Benzeneacetamide, 3-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl  
amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-85-6 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

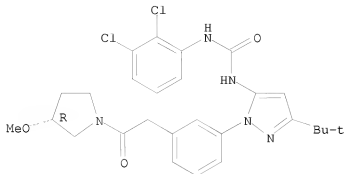
Absolute stereochemistry.



RN 897371-86-7 CAPLUS

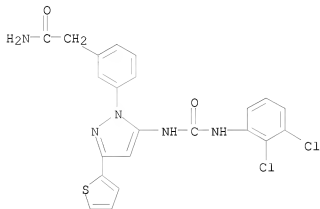
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(3R)-3-methoxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



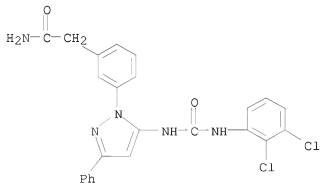
RN 897371-87-8 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



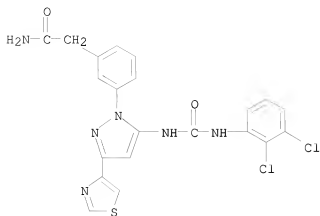
RN 897371-89-0 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-phenyl-1H-pyrazol-1-yl]- (CA INDEX NAME)

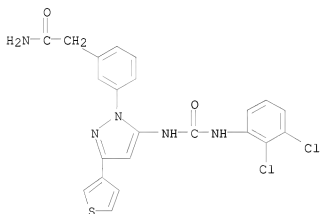


RN 897371-90-3 CAPLUS

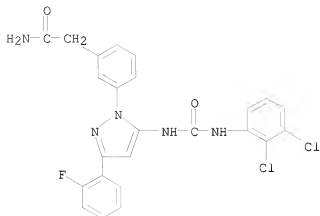
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-thiazolyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-93-6 CAPLUS  
 CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

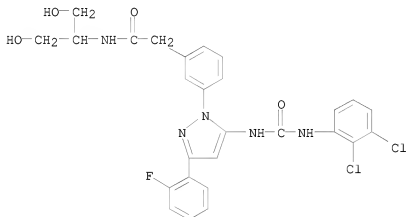


RN 897371-94-7 CAPLUS  
 CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-95-8 CAPLUS

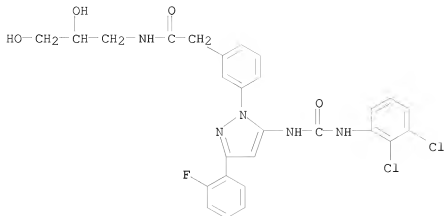
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (CA INDEX NAME)



RN 897371-96-9 CAPLUS

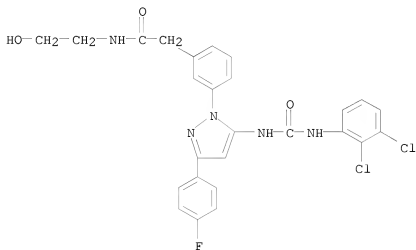
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)

10/562,112



RN 897371-97-0 CAPLUS

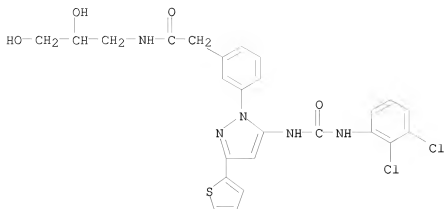
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897371-98-1 CAPLUS

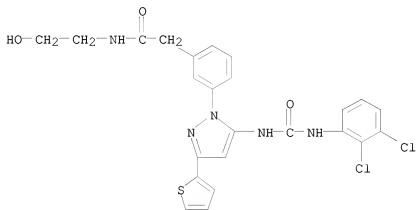
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)

10/562,112



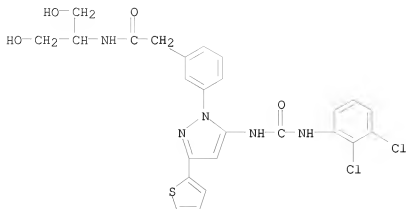
RN 897371-99-2 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



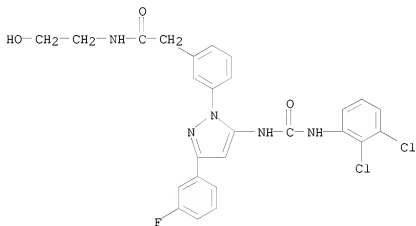
RN 897372-00-8 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (CA INDEX NAME)



RN 897372-01-9 CAPLUS

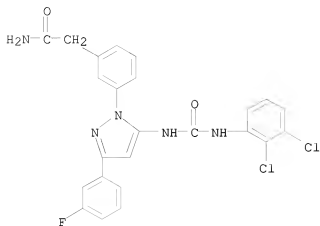
CN Benzeacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897372-02-0 CAPLUS

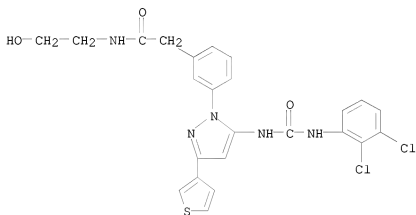
CN Benzeacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)





RN 897372-03-1 CAPLUS

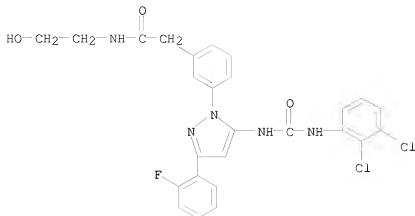
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897372-04-2 CAPLUS

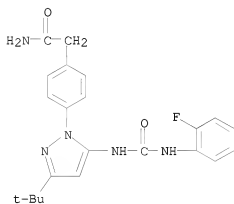
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)

10/562,112



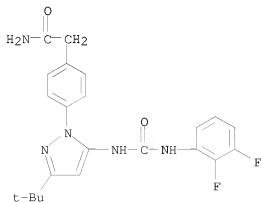
RN 897372-06-4 CAPLUS

CN Benzeneacetamide, 4-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-07-5 CAPLUS

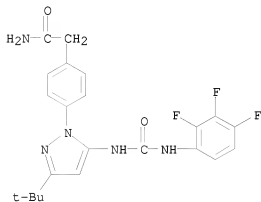
CN Benzeneacetamide, 4-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



10/562,112

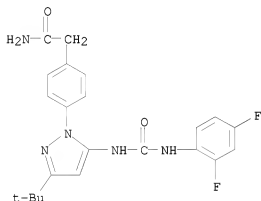
RN 897372-08-6 CAPLUS

CN Benzeneacetamide, 4-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-09-7 CAPLUS

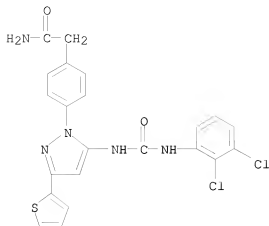
CN Benzeneacetamide, 4-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-10-0 CAPLUS

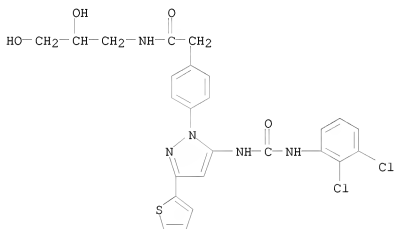
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



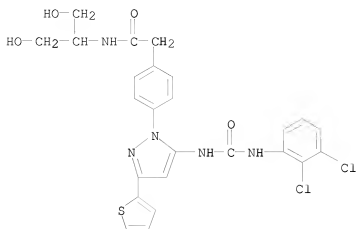
RN 897372-11-1 CAPLUS

CN Benzeneacetamide, 4-[[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)



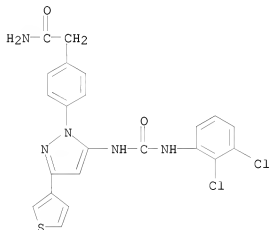
RN 897372-12-2 CAPLUS

CN Benzeneacetamide, 4-[[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (CA INDEX NAME)



RN 897372-13-3 CAPLUS

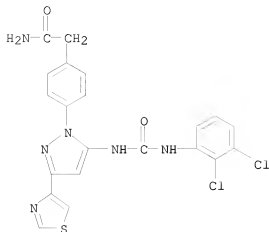
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-14-4 CAPLUS

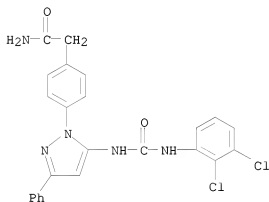
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-thiazolyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897372-15-5 CAPLUS

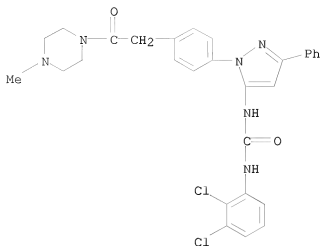
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-phenyl-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-16-6 CAPLUS

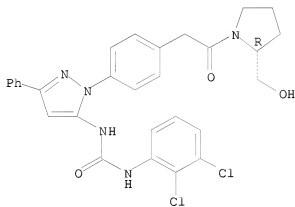
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[4-[2-(4-methyl-1-piperazinyl)-2-oxoethyl]phenyl]-3-phenyl-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112

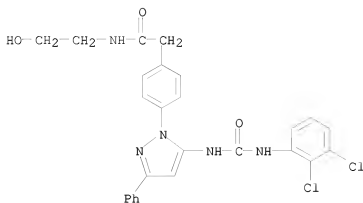


RN 897372-17-7 CAPLUS  
 CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[4-[2-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-phenyl-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

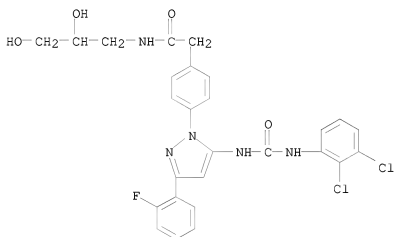


RN 897372-18-8 CAPLUS  
 CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-phenyl-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897372-19-9 CAPLUS

CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)

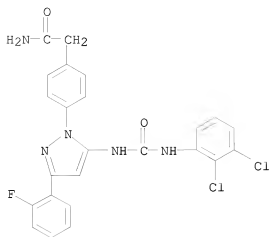


RN 897372-20-2 CAPLUS

CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)

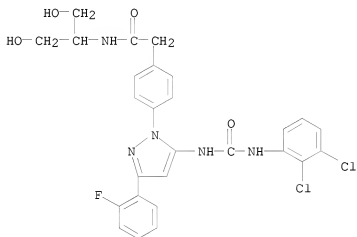


10/562,112



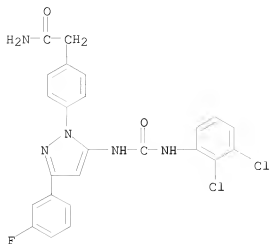
RN 897372-21-3 CAPLUS

CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (CA INDEX NAME)



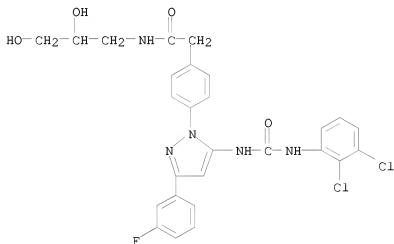
RN 897372-22-4 CAPLUS

CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (CA INDEX NAME)



RN 897372-23-5 CAPLUS

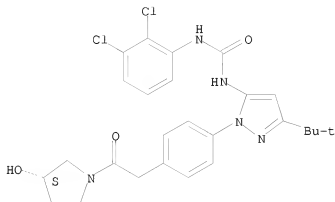
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)



RN 897372-25-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

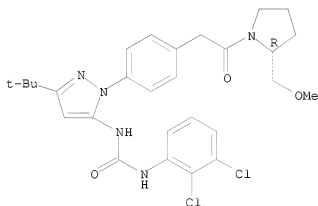
Absolute stereochemistry.



RN 897372-26-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

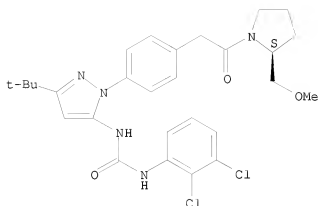
Absolute stereochemistry.



RN 897372-27-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

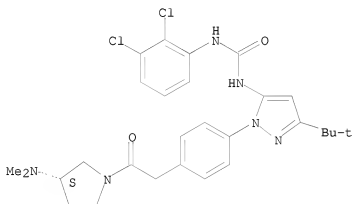
Absolute stereochemistry.



RN 897372-28-0 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[4-[2-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-  
(CA INDEX NAME)

Absolute stereochemistry.

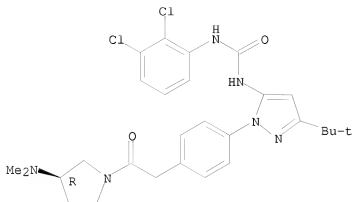


RN 897372-29-1 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[4-[2-[(3R)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-  
(CA INDEX NAME)

Absolute stereochemistry.

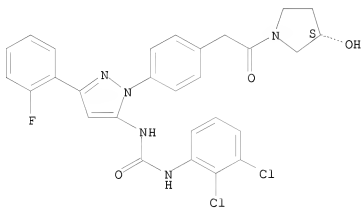
10/562,112



RN 897372-30-4 CAPLUS

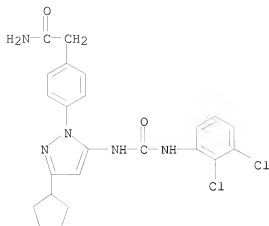
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(2-fluorophenyl)-1-[4-[2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.



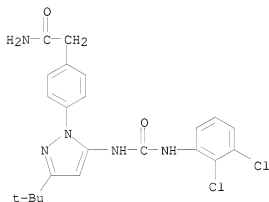
RN 897372-33-7 CAPLUS

CN Benzeneacetamide, 4-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



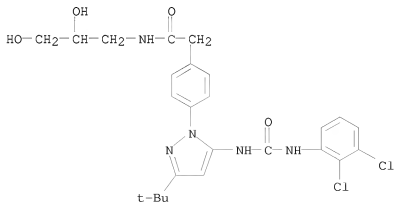
RN 897372-34-8 CAPLUS

CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-35-9 CAPLUS

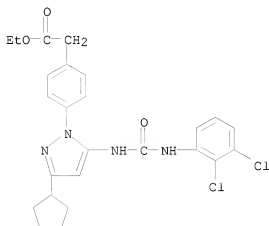
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)



10/562,112

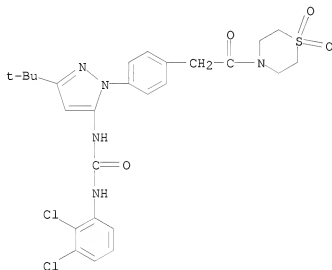
RN 897372-36-0 CAPLUS

CN Benzeneacetic acid, 4-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



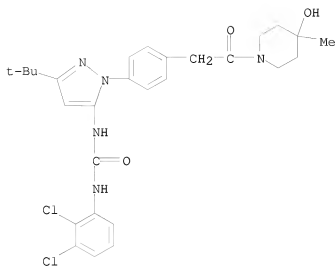
RN 897372-37-1 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



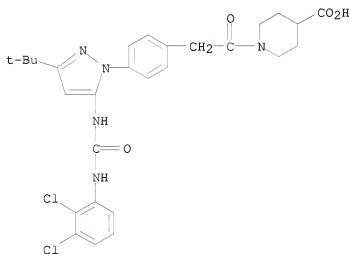
RN 897372-38-2 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-(4-hydroxy-4-methyl-1-piperidinyl)-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897372-40-6 CAPLUS

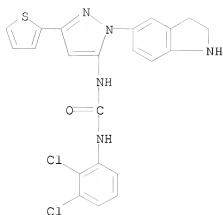
CN 4-Piperidinecarboxylic acid, 1-[2-[4-[5-[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (CA INDEX NAME)



RN 897372-59-7 CAPLUS

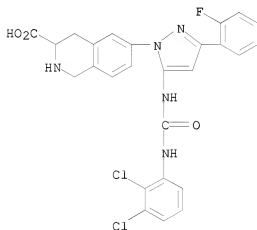
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-1H-indol-5-yl)-3-(2-thienyl)-1H-pyrazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)





● HCl

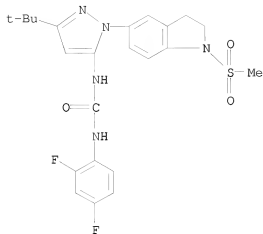
RN 897372-61-1 CAPLUS  
 CN 3-Isoquinolinecarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

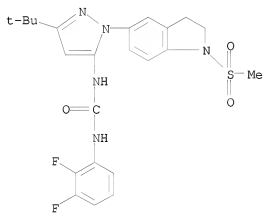
RN 897372-62-2 CAPLUS  
 CN Urea, N-(2,4-difluorophenyl)-N'-[1-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897372-63-3 CAPLUS

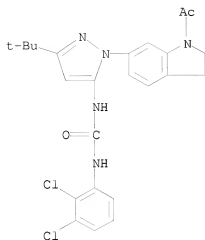
CN Urea, N-(2,3-difluorophenyl)-N'-[1-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897372-65-5 CAPLUS

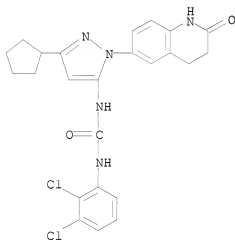
CN Urea, N-[1-(1-acetyl-2,3-dihydro-1H-indol-6-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



RN 897372-66-6 CAPLUS

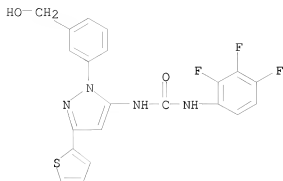
CN Urea, N-[3-cyclopentyl-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897372-67-7 CAPLUS

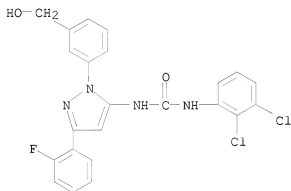
CN Urea, N-[1-[3-(hydroxymethyl)phenyl]-3-(2-thienyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

10/562,112



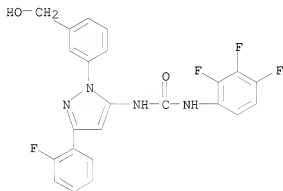
RN 897372-68-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(2-fluorophenyl)-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



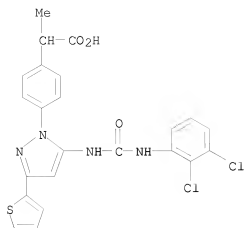
RN 897372-69-9 CAPLUS

CN Urea, N-[3-(2-fluorophenyl)-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



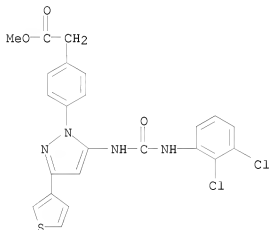
RN 897372-76-8 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-alpha-methyl- (CA INDEX NAME)



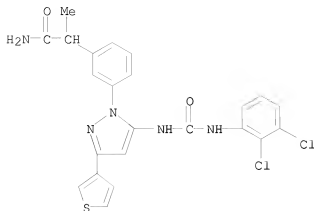
RN 897372-77-9 CAPLUS

CN Benzenecarboxylic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]-, methyl ester (CA INDEX NAME)



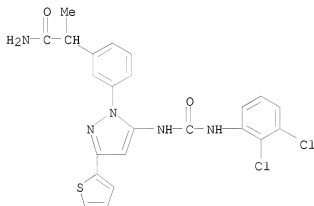
RN 897372-78-0 CAPLUS

CN Benzenacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)



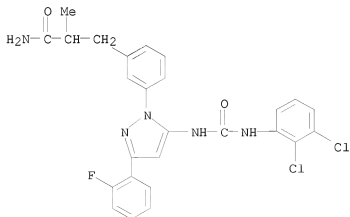
RN 897372-79-1 CAPLUS

CN Benzenesacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)



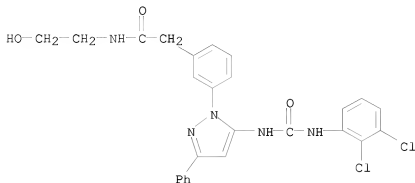
RN 897372-80-4 CAPLUS

CN Benzenepropanamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)



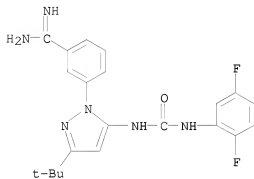
RN 897372-83-7 CAPLUS

CN Benzenacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-phenyl-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897372-84-8 CAPLUS

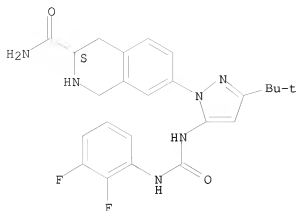
CN Benzenecarboximidamide, 3-[5-[[[(2,5-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-86-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 7-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

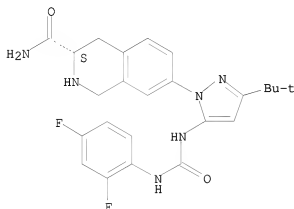
Absolute stereochemistry.



RN 897372-87-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 7-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

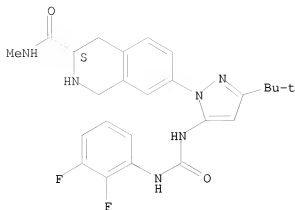


RN 897372-88-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 7-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-N-methyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.





IT 897372-89-3P 897372-90-6P 897372-91-7P  
 897372-99-5P 897373-02-3P 897373-04-5P  
 897373-16-9P 897373-17-0P 897375-76-7P  
 897375-77-8P 897375-78-9P 897375-99-4P  
 897376-00-0P 897376-07-7P 897376-20-4P  
 897376-21-5P

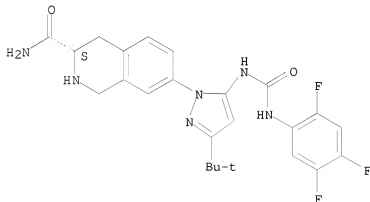
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of pyrazolyl Ph ureas as enzyme modulators for treating cancer  
 and hyperproliferative diseases)

RN 897372-89-3 CAPLUS

CN 3-Isoquinolinecarboxamide, 7-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-  
 trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-  
 , (3S)- (CA INDEX NAME)

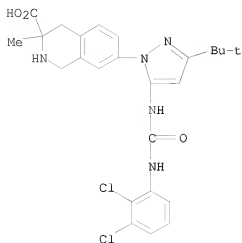
Absolute stereochemistry.



RN 897372-90-6 CAPLUS

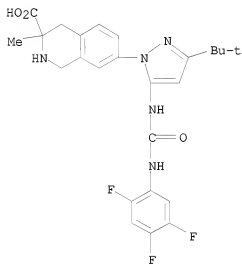
CN 3-Isoquinolinecarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]  
 amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-3-methyl-  
 (CA INDEX NAME)

10/562,112



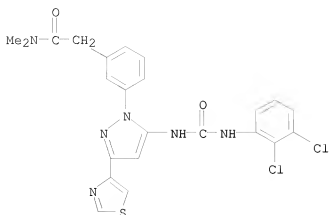
RN 897372-91-7 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 7-[(3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-3-methyl- (CA INDEX NAME)



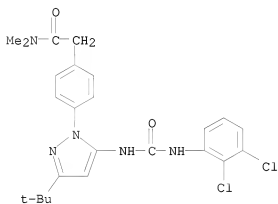
RN 897372-99-5 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-thiazolyl)-1H-pyrazol-1-yl]-N,N-dimethyl- (CA INDEX NAME)



RN 897373-02-3 CAPLUS

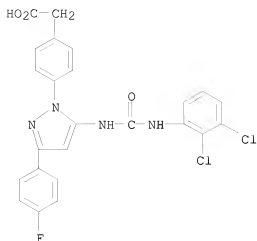
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N,N-dimethyl- (CA INDEX NAME)



RN 897373-04-5 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

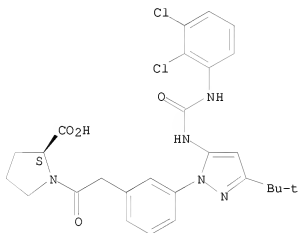
10/562,112



RN 897373-16-9 CAPLUS

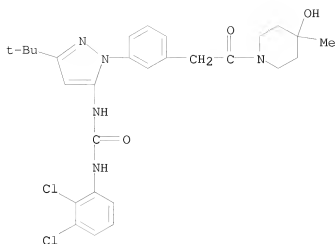
CN L-Proline, 1-[[[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

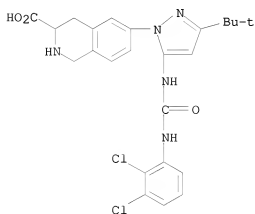


RN 897373-17-0 CAPLUS

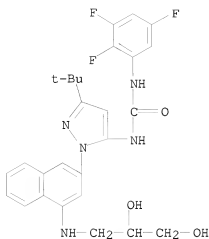
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-(4-hydroxy-4-methyl-1-piperidinyl)-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897375-76-7 CAPLUS  
 CN 3-Isoquinolinecarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro- (CA INDEX NAME)

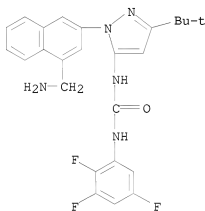


RN 897375-77-8 CAPLUS  
 CN Urea, N-[1-[4-[(2,3-dihydroxypropyl)amino]-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



RN 897375-78-9 CAPLUS

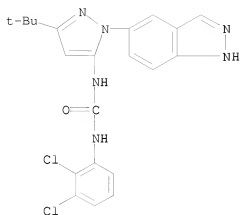
CN Urea, N-[1-[4-(aminomethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



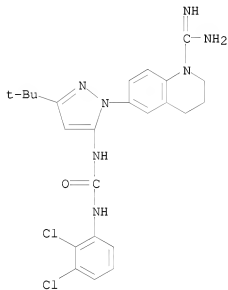
RN 897375-99-4 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1H-indazol-5-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112

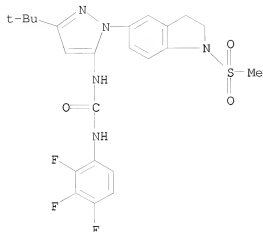


RN 897376-00-0 CAPLUS  
CN 1-(2H)-Quinolincarboximidamide, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro- (CA INDEX NAME)



RN 897376-07-7 CAPLUS  
CN Urea, N-[1-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

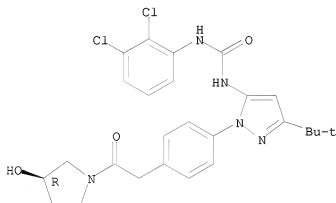
10/562,112



RN 897376-20-4 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

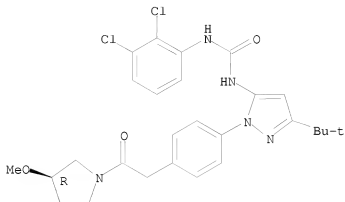


RN 897376-21-5 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-[(3R)-3-methoxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.





IT 897375-67-6 897375-71-2

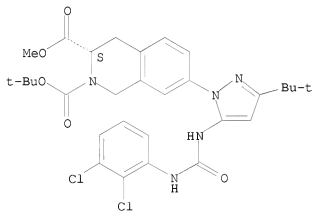
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrazolyl Ph ureas as enzyme modulators for treating cancer and hyperproliferative diseases)

RN 897375-67-6 CAPLUS

CN 2,3(1H)-Isoquinolinedicarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 2-(1,1-dimethylethyl) 3-methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



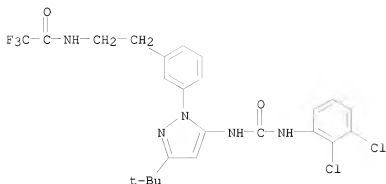
RN 897375-71-2 CAPLUS

CN 1H-Indene-1-carboxylic acid, 6-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-2,3-dihydro-, ethyl ester (CA INDEX NAME)



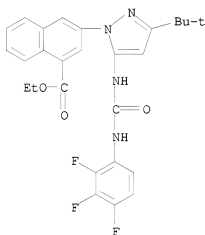
10/562,112

NAME)



RN 897373-69-2 CAPLUS

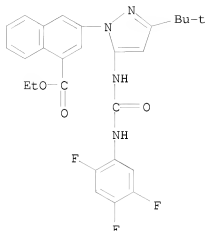
CN 1-Naphthalenecarboxylic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897373-70-5 CAPLUS

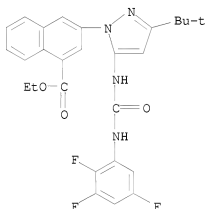
CN 1-Naphthalenecarboxylic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)

10/562,112



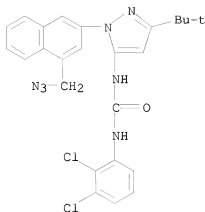
RN 897373-71-6 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



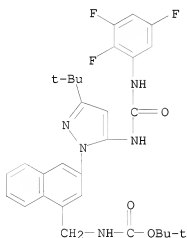
RN 897373-80-7 CAPLUS

CN Urea, N-[1-[4-(azidomethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897373-86-3 CAPLUS

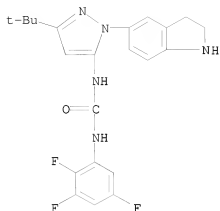
CN Carbamic acid, [[3-[3-(1,1-dimethylethyl)-5-[[[(2,3,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-1-naphthalenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 897374-08-2 CAPLUS

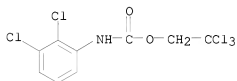
CN Urea, N-[1-(2,3-dihydro-1H-indol-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



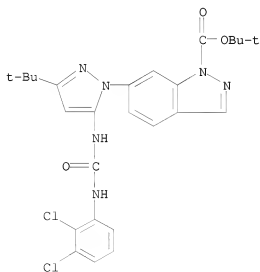
RN 897374-11-7 CAPLUS

CN Carbamic acid, (2,3-dichlorophenyl)-, 2,2,2-trichloroethyl ester (9CI)  
(CA INDEX NAME)



RN 897374-21-9 CAPLUS

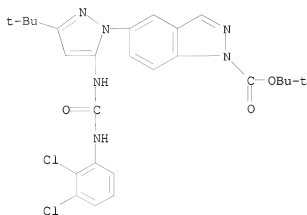
CN 1H-Indazole-1-carboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, 1,1-dimethylethyl ester  
(CA INDEX NAME)



RN 897374-24-2 CAPLUS

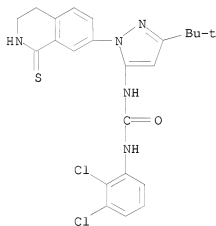
CN 1H-Indazole-1-carboxylic acid, 5-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]

amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, 1,1-dimethylethyl ester  
(CA INDEX NAME)



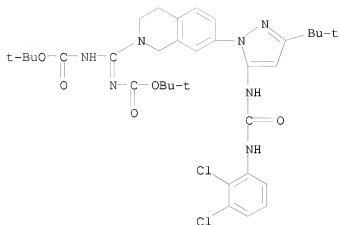
RN 897374-30-0 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-thioxo-7-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



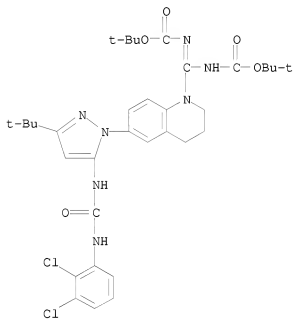
RN 897374-31-1 CAPLUS

CN Carbamic acid, [[7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-2(1H)-isoquinolinyl][[(1,1-dimethylethoxy)carbonyl]amino]methylene]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)



RN 897374-36-6 CAPLUS

CN Carbamic acid, [[6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-1(2H)-quinolinyl][[(1,1-dimethylethoxy)carbonyl]amino]methylene]-, 1,1-dimethylethyl ester (9CI)  
(CA INDEX NAME)

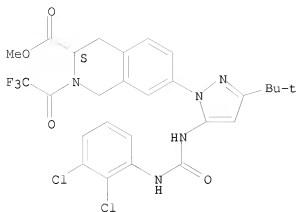


RN 897374-46-8 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-2-(2,2,2-trifluoroacetyl)-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

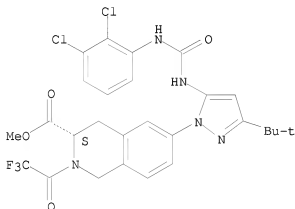




RN 897374-47-9 CAPLUS

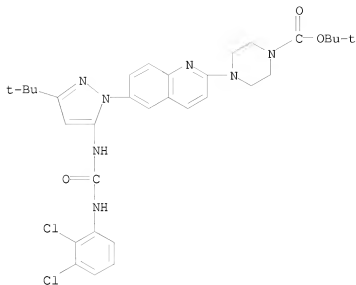
CN 3-Isoquinolinecarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-2-(2,2,2-trifluoroacetyl)-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 897374-78-6 CAPLUS

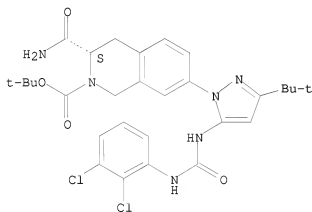
CN 1-Piperazinecarboxylic acid, 4-[6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-2-quinoliny]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 897374-81-1 CAPLUS

CN 2(1H)-Isoquinolinecarboxylic acid, 3-(aminocarbonyl)-7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

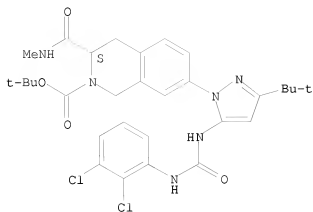
Absolute stereochemistry.



RN 897374-92-4 CAPLUS

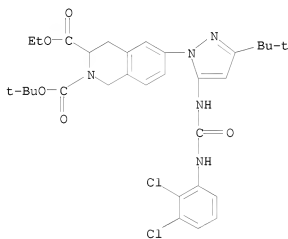
CN 2(1H)-Isoquinolinecarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-3-[(methylamino)carbonyl]-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



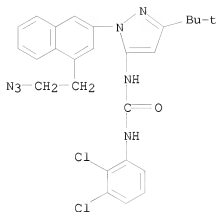
RN 897374-93-5 CAPLUS

CN 2,3(1H)-Isoquinolinedicarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 2-(1,1-dimethylethyl) 3-ethyl ester (CA INDEX NAME)



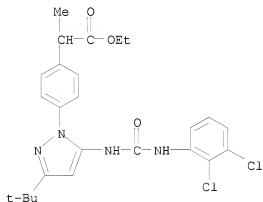
RN 897374-98-0 CAPLUS

CN Urea, N-[1-[4-(2-azidoethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



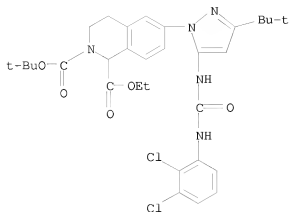
RN 897375-03-0 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-α-methyl-, ethyl ester (CA INDEX NAME)



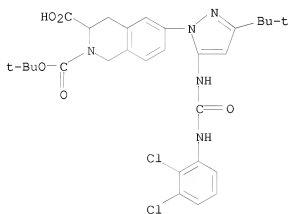
RN 897375-07-4 CAPLUS

CN 1,2(1H)-Isoquinolinedicarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 2-(1,1-dimethylethyl) 1-ethyl ester (CA INDEX NAME)



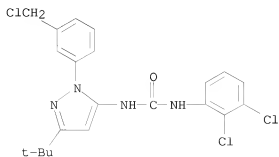
RN 897375-08-5 CAPLUS

CN 2,3-(1H)-Isoquinolinedicarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 2-(1,1-dimethylethyl) ester (CA INDEX NAME)



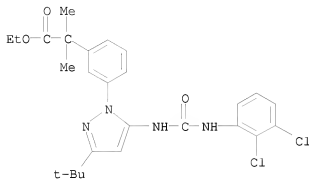
RN 897375-12-1 CAPLUS

CN Urea, N-[1-[3-(chloromethyl)phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



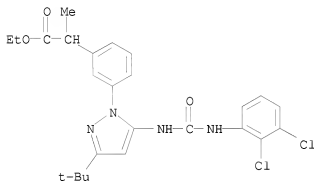
RN 897375-15-4 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- $\alpha,\alpha$ -dimethyl-, ethyl ester (CA INDEX NAME)



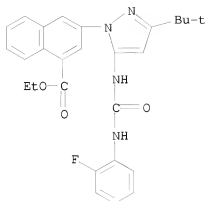
RN 897375-16-5 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- $\alpha$ -methyl-, ethyl ester (CA INDEX NAME)



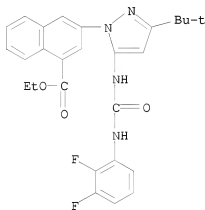
RN 897375-27-8 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897375-29-0 CAPLUS

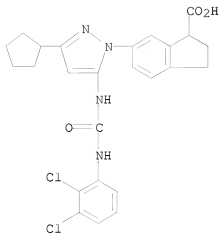
CN 1-Naphthalenecarboxylic acid, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



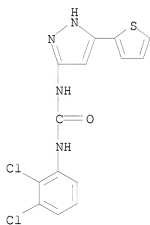
RN 897375-31-4 CAPLUS

CN 1H-Indene-1-carboxylic acid, 6-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-2,3-dihydro-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)

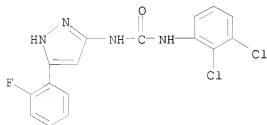
10/562,112



RN 897375-34-7 CAPLUS  
CN Urea, N-(2,3-dichlorophenyl)-N'-[5-(2-thienyl)-1H-pyrazol-3-yl]- (CA INDEX NAME)



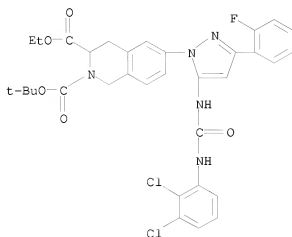
RN 897375-35-8 CAPLUS  
CN Urea, N-(2,3-dichlorophenyl)-N'-[5-(2-fluorophenyl)-1H-pyrazol-3-yl]- (CA INDEX NAME)



RN 897375-36-9 CAPLUS  
CN 2,3(1H)-Isoquinolinedicarboxylic acid, 6-[5-[[[(2,3-

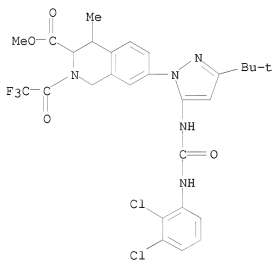


dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 2-(1,1-dimethylethyl) 3-ethyl ester (CA INDEX NAME)



RN 897375-49-4 CAPLUS

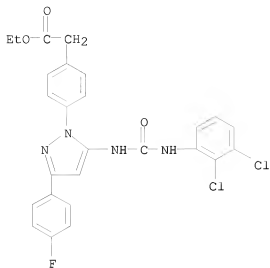
CN 3-Isoquinolinecarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-4-methyl-2-(2,2,2-trifluoroacetyl)-, methyl ester (CA INDEX NAME)



RN 897375-60-9 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)

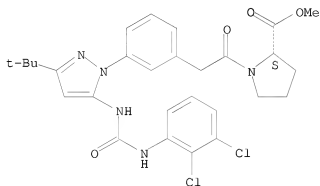
10/562,112



RN 897375-64-3 CAPLUS

CN L-Proline, 1-[[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 51 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:634691 CAPLUS

DOCUMENT NUMBER: 145:124588

TITLE: Preparation of pyrazolopyrimidines as inhibitors of kinase activity

INVENTOR(S): Coulter, Thomas Stephen; Taylor, Steven; Murfin, Stephen; Thammalaksa, Valery; Aicher, Babette; Jaekel, Stefan; Reuter, Tanja

PATENT ASSIGNEE(S): Develogen Aktiengesellschaft, Germany; Evotec A.-G.

SOURCE: PCT Int. Appl., 122 pp.

CODEN: PIXXD2

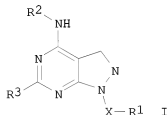
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006066937	A2	20060629	WO 2005-EP13907	20051222
WO 2006066937	A3	20061019		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CD, CE, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1746099	A1	20070124	EP 2004-30674	20041223
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU			
EP 1827444	A2	20070905	EP 2005-822979	20051222
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
PRIORITY APPLN. INFO.:			EP 2004-30674	A 20041223
			WO 2005-EP13907	W 20051222
OTHER SOURCE(S):		CASREACT 145:124588; MARPAT 145:124588		
GI				



AB The present invention relates to the use of pyrazolopyrimidine compds. [I; R1 = substituted C6-10 aryl or optionally substituted C5-10 heteroaryl, wherein the substituents are one or more of R4; R4 = halogen, cyano, CO2R5, OR5, C(O)N(R5R5a), S(O)2N(R5R5a), S(O)N(R5R5a), S(O)2R5, N(R5)S(O)2N(R5R5a), SR5, N(R5R5a), OC(O)R5, N(R5)C(O)R5a, N(R5)S(O)2R5a, etc.; R5, R5a = H, C3-10 cycloalkyl, C4-10 bicycloalkyl, C4-10 heterocyclyl, (un)substituted C1-6 alkyl, etc.; R2 = H, C1-4 alkyl, acetyl, urea; R3 = H, hydroxy, C1-4 alkyl, amino; X = a bond or metabolites, prodrugs or pharmaceutically acceptable salts thereof, and optionally a pharmaceutically acceptable carrier for the preparation of pharmaceutical compns. for inhibiting the activity of the kinase activity of Mnk1 or Mnk2 (Mnk2a, Mnk2b) or variants thereof or for the prophylaxis and/or treatment of diseases which can be influenced by the inhibition of the kinase activity of Mnk1 and/or Mnk2 (Mnk2a or Mnk2b) and/or variants thereof. The above diseases include diseases of the carbohydrate and/or lipid metabolism and their consecutive complications and diseases, e.g. impaired glucose tolerance, diabetes mellitus type II, latent autoimmune diabetes in adults (LADA), diabetes mellitus type I, obesity, metabolic syndrome, eating disorders, cachexia, osteoarthritis, biliary stones, and

diabetic complications (carbohydrate metabolic diseases) and hypercholesterolemia, dislipidemia familial hypercholesterolemia, Fredrickson's hyperlipoproteinemia, and cardiovascular diseases (lipid metabolic diseases). Thus, [4-(pyrrol-1-yl)phenyl]hydrazine hydrochloride was treated with NaOEt in ethanol at room temperature and cyclocondensed with (ethoxymethylene)malononitrile under refluxing for 2 h to give 88% 5-amino-1-[4-(pyrrol-1-yl)phenyl]-1H-pyrazole-4-carbonitrile which was cyclocondensed with formamide at 180° for 3 h to give 11% [1-[4-(pyrrol-1-yl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amine (II).

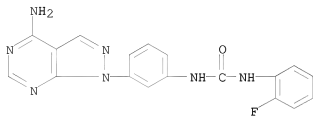
IT 896134-58-0P, N-[3-(4-Aminopyrazolo[3,4-d]pyrimidin-1-yl)phenyl]-N'-(2-fluorophenyl)urea 896134-77-3P, N-[4-(4-Aminopyrazolo[3,4-d]pyrimidin-1-yl)phenyl]-N'-(2-fluorophenyl)urea

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as inhibitors of Mnk1 or Mnk2 (Mnk2a or Mnk2b) kinase activity)

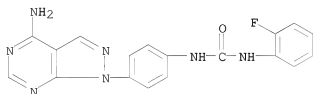
RN 896134-58-0 CAPLUS

CN Urea, N-[3-(4-amino-1H-pyrazolo[3,4-d]pyrimidin-1-yl)phenyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



RN 896134-77-3 CAPLUS

CN Urea, N-[4-(4-amino-1H-pyrazolo[3,4-d]pyrimidin-1-yl)phenyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



L3 ANSWER 52 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:627461 CAPLUS

DOCUMENT NUMBER: 145:103700

TITLE: Preparation of substituted quinazolinylaminopyrazolylacetamides as anticancer agents

INVENTOR(S): Foote, Kevin Michael

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

## PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006067391	A1	20060629	WO 2005-GB4872	20051216
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1836191	A1	20070926	EP 2005-818392	20051216
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
JP 2008524315	T	20080710	JP 2007-547616	20051216
IN 2007DN04654	A	20070817	IN 2007-DN4654	20070618
CN 101115738	A	20080130	CN 2005-80047834	20070807
PRIORITY APPLN. INFO.:			GB 2004-27917	A 20041221
			WO 2005-GB4872	W 20051216
OTHER SOURCE(S):		CASREACT 145:103700; MARPAT 145:103700		
GI				

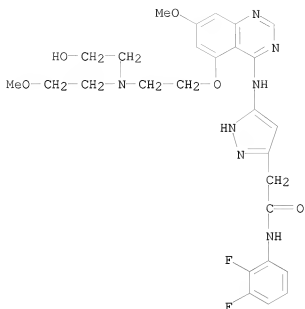
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. I (R1 = H, optionally substituted alkoxy; R2 = Q1; R3 = H, alkyl optionally substituted with alkoxy; R2R3 = Q2, Q3; R4 = Ph optionally substituted by halo; R5 = H, alkyl optionally substituted by alkoxy; n = 0,1; X = CH2, NH, aminoalkyl, O, S), or a salt, ester, or prodrugs, were prepared for use in treatment of proliferative diseases, such as cancer. For example, title compound II was prepared from (methylamino)ethanol and 2-(3-{[5-(2-chloroethoxy)-7-methoxyquinazolin-4-yl]amino}-1H-pyrazol-5-yl)-N-(2,3-difluorophenyl)acetamide in 59% yield. In drug-resistant human breast tumor cell assays, the title compds. generally had EC50 = 0.5 nM to 1 µM for inhibition of phosphohistone H3 levels, and in particular, II had EC50 = 0.4 µM.

IT 895146-45-9P 895146-49-3P 895146-53-9P 895146-55-1P 895146-57-3P 895146-59-5P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of substituted (quinazolinylamino)pyrazolylacetamides as anticancer agents)

RN 895146-45-9 CAPLUS

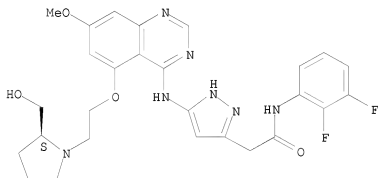
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2-hydroxyethyl)(2-methoxyethyl)amino]ethoxy]-7-methoxy-4-quinazolinyl]amino]-(CA INDEX NAME)



RN 895146-49-3 CAPLUS

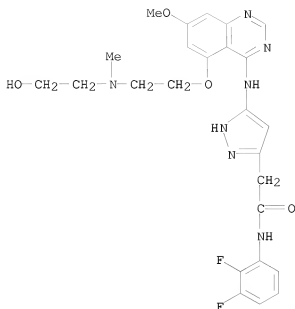
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]ethoxy]-7-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



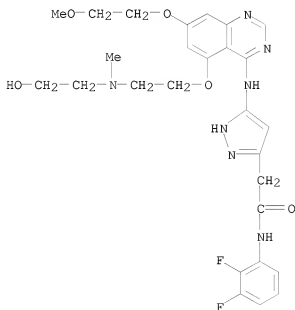
RN 895146-53-9 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2S)-2-(hydroxyethyl)methylamino]ethoxy]-7-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)



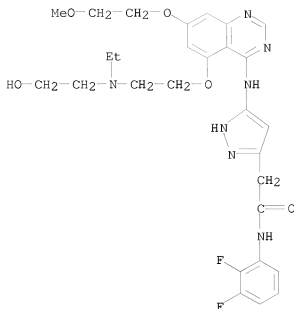
RN 895146-55-1 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2-hydroxyethyl)methylamino]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 895146-57-3 CAPLUS

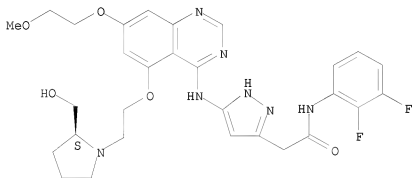
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[ethyl(2-hydroxyethyl)amino]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 895146-59-5 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 895146-47-1P 895146-51-7P 895146-61-9P  
 895146-63-1P 895146-65-3P 895146-67-5P  
 895146-69-7P 895146-71-1P 895146-73-3P  
 895146-75-5P 895146-76-6P 895146-82-4P  
 895146-84-6P 895146-86-8P 895146-88-0P  
 895146-92-6P 895146-97-1P 895146-99-3P  
 895147-01-0P 895147-03-2P

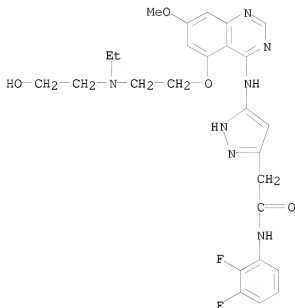
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of substituted (quinazolinylamino)pyrazolylacetamides as anticancer agents)

RN 895146-47-1 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[ethyl(2-



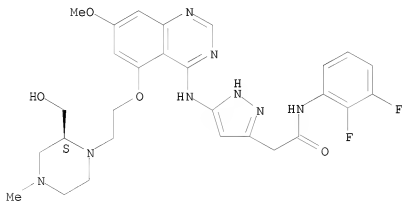
hydroxyethyl)amino]ethoxy]-7-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 895146-51-7 CAPLUS

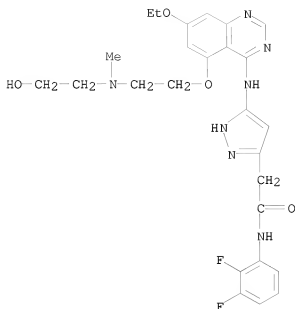
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2S)-2-(hydroxymethyl)-4-methyl-1-piperazinyl]ethoxy]-7-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 895146-61-9 CAPLUS

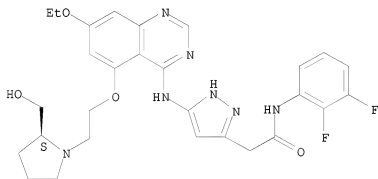
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[(2S)-2-(hydroxyethyl)methylamino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 895146-63-1 CAPLUS

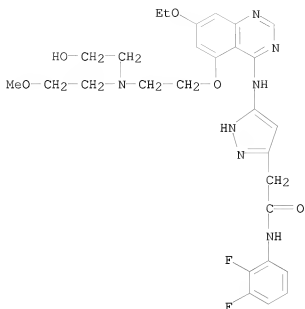
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



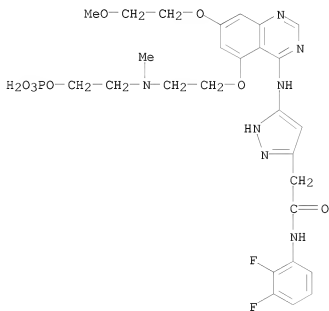
RN 895146-65-3 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[(2S)-2-(hydroxyethyl)(2-methoxyethyl)amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)



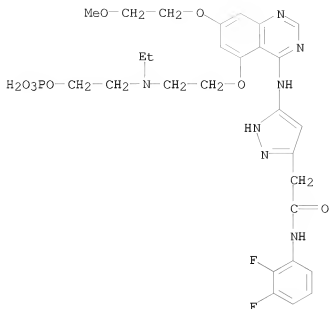
RN 895146-67-5 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-(2-methoxyethoxy)-5-[2-[methyl[2-(phosphonoxy)ethyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 895146-69-7 CAPLUS

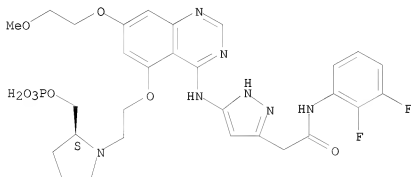
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[ethyl[2-(phosphonoxy)ethyl]amino]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 895146-71-1 CAPLUS

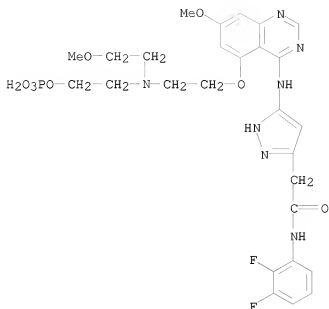
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-(2-methoxyethoxy)-5-[2-[(2S)-2-[(phosphonoxy)methyl]-1-pyrrolidinyl]ethoxy]-4-quinazoliny]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 895146-73-3 CAPLUS

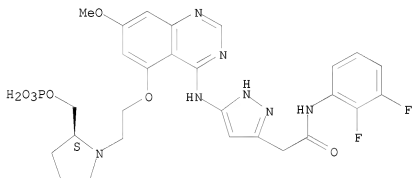
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-methoxy-5-[2-[(2-methoxyethyl) [2-(phosphonoxy)ethyl]amino]ethoxy]-4-quinazoliny]amino]- (CA INDEX NAME)



RN 895146-75-5 CAPLUS

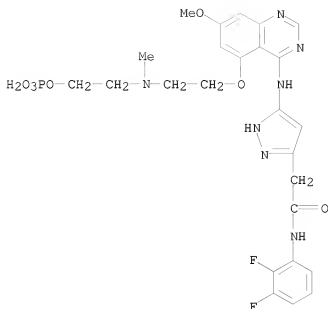
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-methoxy-5-[2-[(2S)-2-[(phosphonoxy)methyl]-1-pyrrolidinyl]ethoxy]-4-quinazoliny]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 895146-76-6 CAPLUS

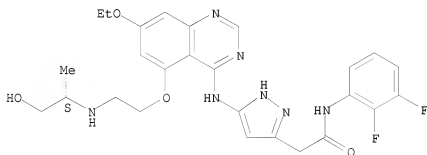
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-methoxy-5-[2-[[methyl[2-(phosphonoxy)ethyl]amino]ethoxy]-4-quinazoliny]amino]- (CA INDEX NAME)



RN 895146-82-4 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[[[(1S)-2-hydroxy-1-methylethyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

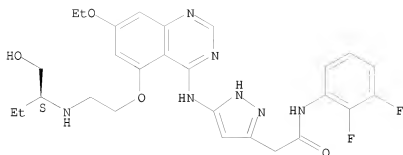
Absolute stereochemistry.



RN 895146-84-6 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[[[(1S)-1-(hydroxymethyl)propyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

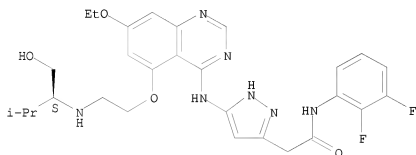
Absolute stereochemistry.



RN 895146-86-8 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[(1S)-1-(hydroxymethyl)-2-methylpropyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

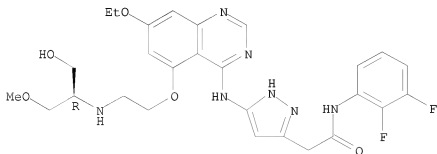
Absolute stereochemistry.



RN 895146-88-0 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[(1R)-2-hydroxy-1-(methoxymethyl)ethyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

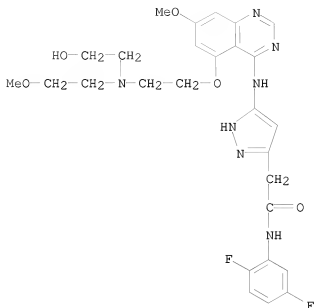


RN 895146-92-6 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,4-difluorophenyl)-5-[[5-[2-[(2S)-2-hydroxyethyl]amino]ethoxy]-7-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)



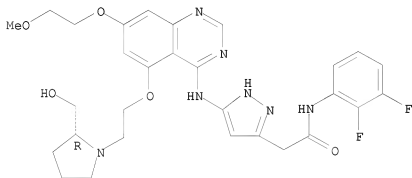




RN 895146-99-3 CAPLUS

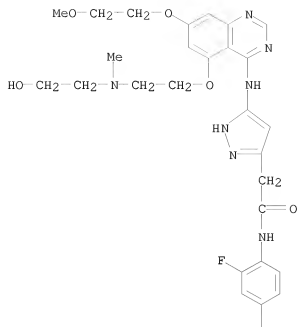
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[(5-[2-((2R)-2-(hydroxymethyl)-1-pyrrolidinyl)ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 895147-01-0 CAPLUS

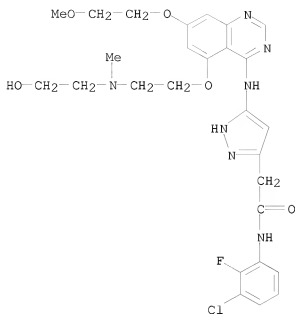
CN 1H-Pyrazole-3-acetamide, N-(4-chloro-2-fluorophenyl)-5-[[5-[2-((2R)-2-(hydroxyethyl)methylamino)ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)



Cl

RN 895147-03-2 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(3-chloro-2-fluorophenyl)-5-[[5-[2-[(2-hydroxyethyl)methylamino]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]-  
(CA INDEX NAME)

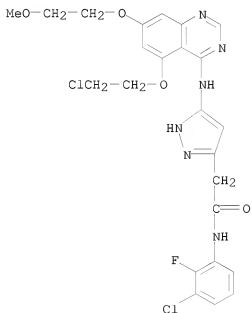


IT 895147-81-6

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of substituted (quinazolinylamino)pyrazolylacetamides  
 as anticancer agents)

RN 895147-81-6 CAPLUS

CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-(2-methoxyethoxy)-4-quinazolinyl]amino]-N-(3-chloro-2-fluorophenyl)- (CA INDEX NAME)



IT 895147-23-6P 895147-26-9P 895147-28-1P  
 895147-30-5P 895147-32-7P 895147-36-1P

895147-47-4P 895147-55-4P 895147-57-6P

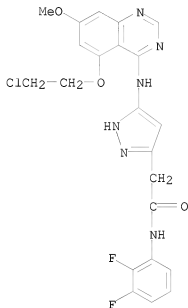
895147-75-8P 895147-76-9P 895147-79-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted (quinazolinylamino)pyrazolylacetamides as anticancer agents)

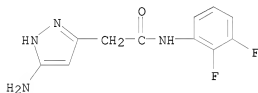
RN 895147-23-6 CAPLUS

CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-methoxy-4-quinazolinyl]amino]-N-(2,3-difluorophenyl)- (CA INDEX NAME)



RN 895147-26-9 CAPLUS

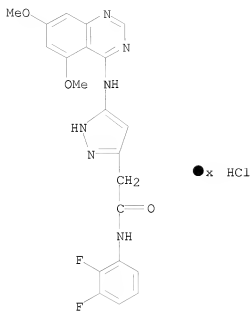
CN 1H-Pyrazole-3-acetamide, 5-amino-N-(2,3-difluorophenyl)- (CA INDEX NAME)



RN 895147-28-1 CAPLUS

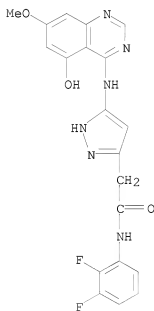
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5,7-dimethoxy-4-quinazolinyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

10/562,112



RN 895147-30-5 CAPLUS

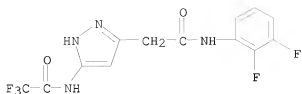
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[(5-hydroxy-7-methoxy-4-quinazolinyl)amino]- (CA INDEX NAME)



RN 895147-32-7 CAPLUS

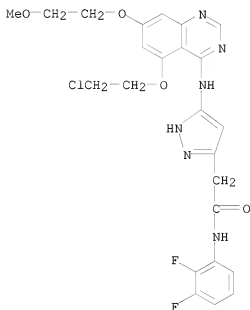
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

10/562,112



RN 895147-36-1 CAPLUS

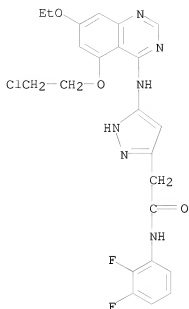
CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-(2-methoxyethoxy)-4-quinazolinyl]amino]-N-(2,3-difluorophenyl)- (CA INDEX NAME)



RN 895147-47-4 CAPLUS

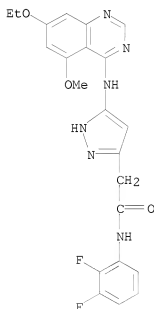
CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-ethoxy-4-quinazolinyl]amino]-N-(2,3-difluorophenyl)- (CA INDEX NAME)

10/562,112



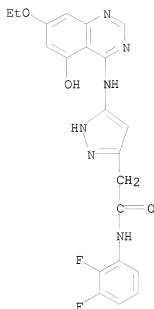
RN 895147-55-4 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[(7-ethoxy-5-methoxy-4-quinazolinyl)amino]- (CA INDEX NAME)



RN 895147-57-6 CAPLUS

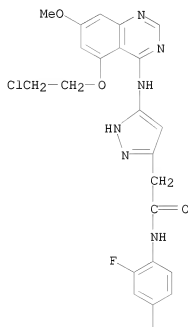
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[(7-ethoxy-5-hydroxy-4-quinazolinyl)amino]- (CA INDEX NAME)



RN 895147-75-8 CAPLUS

CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-methoxy-4-quinazolinyl]amino]-N-(2,4-difluorophenyl)- (CA INDEX NAME)

PAGE 1-A

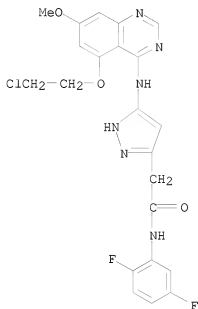




F

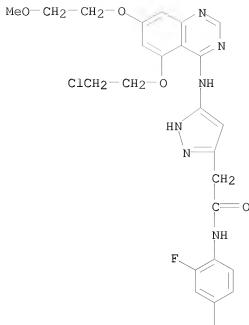
RN 895147-76-9 CAPLUS

CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-methoxy-4-quinazoliny]amino]-N-(2,5-difluorophenyl)- (CA INDEX NAME)



RN 895147-79-2 CAPLUS

CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-(2-methoxyethoxy)-4-quinazoliny]amino]-N-(4-chloro-2-fluorophenyl)- (CA INDEX NAME)



Cl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 53 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:409732 CAPLUS  
 DOCUMENT NUMBER: 144:450702  
 TITLE: Constrained indazoloazepinones and related compounds as CGRP-receptor antagonists and their preparation, pharmaceutical compositions, and use for treatment of migraine  
 INVENTOR(S): Chaturvedula, Prasad V.; Mercer, Stephen E.; Fang, Haiquan  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 112 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060094707	A1	20060504	US 2005-247697	20051011
US 7384930	B2	20080610		
AU 2005305245	A1	20060518	AU 2005-305245	20051012

CA 2586370	A1	20060518	CA 2005-2586370	20051012
WO 2006052378	A1	20060518	WO 2005-US36859	20051012
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1809633	A1	20070725	EP 2005-808743	20051012
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, MK, YU			
CN 101094854	A	20071226	CN 2005-80045264	20051012
JP 2008519074	T	20080605	JP 2007-540320	20051012
US 20060229447	A1	20061012	US 2006-417326	20060503
US 7384931	B2	20080610		
IN 2007DN03133	A	20070831	IN 2007-DN3133	20070426
MX 200705119	A	20070704	MX 2007-5119	20070427
NO 2007002188	A	20070719	NO 2007-2188	20070427
KR 2007085647	A	20070827	KR 2007-712438	20070601
PRIORITY APPLN. INFO.:			US 2004-624655P	P 20041103
			US 2005-678099P	P 20050505
			US 2005-247697	A 20051011
			WO 2005-US36859	W 20051012
OTHER SOURCE(S):	MARPAT 144:450702			
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention encompasses constrained bicyclic and tricyclic CGRP-receptor antagonists of formula I, methods for identifying them, pharmaceutical compns. comprising them, and methods for their use in therapy for treatment of migraine and other headaches, neurogenic vasodilation, neurogenic inflammation, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD), and other conditions the treatment of which can be effected by the antagonism of CGRP-receptors. Compds. of formula I, wherein R1 is C1-6 (halo)alkyl, C2-6 alkenyl, C3-7 cycloalkyl, C5-7 cycloalkenyl, C1-6(C3-7 cycloalkenyl)alkyl, C1-6(C1-6alkoxy)alkyl, C1-6(hetero)arylalkyl, C1-6(NH2)alkyl and derivs., NH-pyrrolidinyl and derivs., or NH-piperidinyl and derivs.; R2 is H, halo, OH, C1-6 alkyl, C2-6 alkenyl, BnO, or NH2 and derivs.; R3 is H, OH, halo, C1-6 alkyl, or C2-6 alkenyl; or R2R3 together are CHNHR5; R4 is H, halo, C1-6 alkyl, or C2-6 alkenyl; R5 is H or C1-6 alkyl; R6 is H, C1-6 alkyl, or spiro[imidazolidinedione-cycloalkaphenyl]; or NR5R6 taken together is (un)substituted 6-membered aza-cycle, or spiro-substituted piperidine; X-Y is aminocarbonyl, oxycarbonyl, methylenecarbonyl, ethylene, or amino(cyano)iminomethyl; n is 0 or 1; and their pharmaceutically acceptable salts or solvates thereof are claimed. Example compound II was prepared by substitution of (9-benzyl-4-chloro-8-oxo-3,6,7,8,9,10-hexahydro-2,3,9-triaza-(R)-cyclohepta[e]inden-7-yl)carbamic acid benzyl ester with

4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)piperidine. All the invention compds. were evaluated for their CGRP receptor binding activity. From the assay, it was determined that most of the invention compds. exhibited CGRP receptor activity. Example compound II were found to have an IC<sub>50</sub> value between 0.1-10 nM against CGRP receptors and for cAMP functions. These compds. are claimed to be useful for treatment migraine.

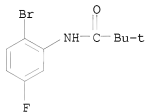
IT 885609-84-7P 885609-96-1P 885609-97-2P  
885609-98-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of constrained indazoloazepinones and related compds. as CGRP-receptor antagonists and useful for treatment of migraine)

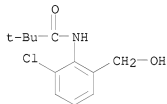
RN 885609-84-7 CAPLUS

CN Propanamide, N-(2-bromo-5-fluorophenyl)-2,2-dimethyl- (CA INDEX NAME)



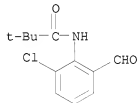
RN 885609-96-1 CAPLUS

CN Propanamide, N-[2-chloro-6-(hydroxymethyl)phenyl]-2,2-dimethyl- (CA INDEX NAME)



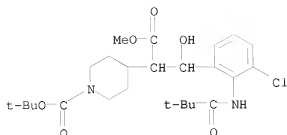
RN 885609-97-2 CAPLUS

CN Propanamide, N-(2-chloro-6-formylphenyl)-2,2-dimethyl- (CA INDEX NAME)



RN 885609-98-3 CAPLUS

CN 4-Piperidineacetic acid, α-[[3-chloro-2-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]hydroxymethyl]-1-[[1,1-dimethylethoxy]carbonyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L3 ANSWER 54 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:366862 CAPLUS

DOCUMENT NUMBER: 144:412531

TITLE: Preparation of quinazoline derivatives for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability

INVENTOR(S): Ple, Patrick; Jung, Frederic Henri

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 212 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

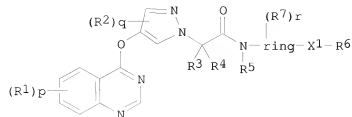
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

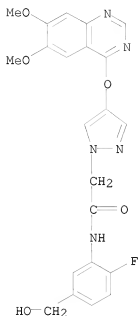
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040526	A1	20060420	WO 2005-GB3881	20051007
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
EP 1802608	A1	20070704	EP 2005-790971	20051007
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
JP 2008515961	T	20080515	JP 2007-536246	20051007
PRIORITY APPLN. INFO.:			EP 2004-292418	A 20041012
			WO 2005-GB3881	W 20051007

OTHER SOURCE(S): MARPAT 144:412531

GI



- AB Quinazoline derivs. I, wherein p is 0-3; R1 is halogen, CF3, Cn, OH, SH, NH2, alkyl, alkenyl, alkynyl, alkoxy, alkenyl-oxy, alkynyl-oxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, Q1X2; X2 is O, S, SO, SO2, substituted amine, CO, amide, amino-carbonyl; Q1 is aryl, arylalkyl, cycloalkyl, cyclo-alkenyl, cyclo-alkenyl-alkyl, heteroaryl, heterocycle, heterocycl-alkyl; q = 0-2; R2 is halogen CF3, CN, OH, amino, alkyl, alkenyl, alkynyl, alkoxy, alkylamino; R3 is H, alkyl, alkenyl, alkynyl; R3 and R4 together with the carbon atom to which they are attached form a cycloalkyl group; R5 is H, alkyl, alkenyl, alkynyl; ring is 6-membered mono-cyclic, 10-membered bicyclic aryl ring, heterocycle; X1 is O, S, SO, SO2, substituted nitrogen, Co, amide, amino-carbonyl, sulfonyl-amine, amino-sulfonyl, ; R6 and R7 are independently halogen, CF3, CN, OH, SH, amino, carboxy, carbamoyl, sulfamoyl, ureido, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, alkoxy-carbonyl, alkanoyl, alkanoyl-oxy, alkyl-carbamoyl; r is 0-3, were prepared for use in the treatment of cell proliferative disorders or in the treatment of disease states associated with angiogenesis and/or vascular permeability. Thus, N-(2,3-methylenedioxy-phenyl)-2-[4-[6-[2-(4-hydroxy-piperidin-1-yl)ethoxy]-7-methoxy-quinazolin-4-yl-oxy]pyrazol-1-yl]acetamide was prepared for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability. The compds. of the present invention were tested as inhibitors of PDGFR $\alpha$ , PDGFR $\beta$  and KDR tyrosine kinase enzymes, as inhibitors in vitro of the phosphorylation of PDGFR expressed on MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of human umbilical vein endothelial cells (HUVECs), and as inhibitors in vivo of the growth in nude mice of xenografts of human tumor tissue such as CaLu-6 and Colo205.
- IT 884341-03-1P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of quinazoline derivs. for use in treatment of cell proliferative disorders or disease assocd with angiogenesis and or vascular permeability)
- RN 884341-03-1 CAPLUS
- CN 1H-Pyrazole-1-acetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-(hydroxymethyl)phenyl]- (CA INDEX NAME)



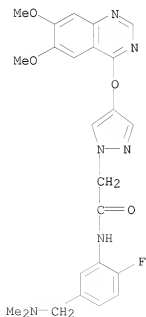
IT 884341-83-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. for use in treatment of cell proliferative disorders or disease assocd with angiogenesis and or vascular permeability)

RN 884341-83-7 CAPLUS

CN 1H-Pyrazole-1-acetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[5-[(dimethylamino)methyl]-2-fluorophenyl]- (CA INDEX NAME)



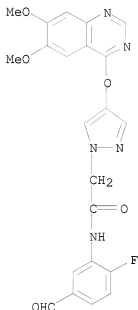
IT 884341-92-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinazoline derivs. for use in treatment of cell proliferative disorders or disease assocd with angiogenesis and or vascular permeability)

RN 884341-92-8 CAPLUS

CN 1H-Pyrazole-1-acetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-(2-fluoro-5-formylphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 55 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:365444 CAPLUS

DOCUMENT NUMBER: 144:412530

TITLE: Preparation of quinazoline derivatives for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability

INVENTOR(S): Ple, Patrick; Jung, Frederic Henri

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited

SOURCE: PCT Int. Appl., 191 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

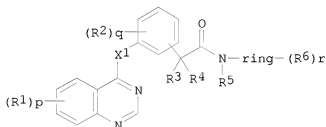
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006040520	A1	20060420	WO 2005-GB3846	20051007
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				



GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,  
 LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,  
 NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,  
 SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,  
 YU, ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM

AU 2005293336	A1	20060420	AU 2005-293336	20051007
CA 2581516	A1	20060420	CA 2005-2581516	20051007
EP 1802591	A1	20070704	EP 2005-789135	20051007
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
CN 101072758	A	20071114	CN 2005-80042048	20051007
JP 2008515959	T	20080515	JP 2007-536244	20051007
BR 2005016093	A	20080819	BR 2005-16093	20051007
NO 2007001703	A	20070704	NO 2007-1703	20070330
MX 200704403	A	20070427	MX 2007-4403	20070412
IN 2007DN02983	A	20070817	IN 2007-DN2983	20070420
KR 2007084172	A	20070824	KR 2007-710679	20070510
PRIORITY APPLN. INFO.:			EP 2004-292417	A 20041012
			WO 2005-GB3846	W 20051007

OTHER SOURCE(S): MARPAT 144:412530  
 GI



I

AB Quinazoline derivs. I, wherein X1 is O, substituted amine; p is 0-3; R1 is halogen, CF3, Cn, OH, SH, NH2, alkyl, alkenyl, alkynyl, alkoxy, alkenyl-oxy, alkynyl-oxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, Q1X2; X2 is O, S, SO, SO2, substituted amine, CO, amide, amino-carbonyl; Q1 is aryl, arylalkyl, cycloalkyl, cyclo-alkenyl, cyclo-alkenyl-alkyl, heteroaryl, heterocycle, heterocycl-alkyl; q = 0-2; R2 is halogen CF3, CN, OH, amino, alkyl, alkenyl, alkynyl, alkoxy, alkylamino; R3 is H, alkyl, alkenyl, alkynyl; R3 and R4 together with the carbon atom to which they are attached form a cycloalkyl group; R5 is H, alkyl, alkenyl, alkynyl; ring is 6-membered mono-cyclic, 10-membered bicyclic aryl ring, heterocycle; r is 0-3; R6 is halogen, CF3, CN, OH, SH, amino, carboxy, carbamoyl, sulfamoyl, ureido, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, alkoxy-carbonyl, alkanoyl, alkanoyl-oxy, alkyl-carbamoyl, were prepared for use in the treatment of cell proliferative disorders or in the treatment of disease states associated with angiogenesis and/or vascular permeability. Thus, (2S)-2-amino-2-[4-(6,7-dimethoxy-quinazolin-4-yl-oxy)phenyl]-N-(4,5-dimethyl-thiazol-2-yl)acetamide was prepared and tested in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability. The compds. of the

present invention were tested as inhibitors of PDGFR $\alpha$ , PDGFR $\beta$  and KDR tyrosine kinase enzymes, as inhibitors in vitro of the phosphorylation of PDGFR expressed on MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of human umbilical vein endothelial cells (HUVECs), and as inhibitors in vivo of the growth in nude mice of xenografts of human tumor tissue such as CaLu-6 and Colo205.

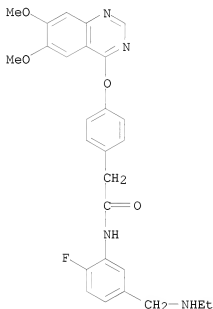
IT 883985-41-9P 883985-42-0P 883985-43-1P  
883985-44-2P 883985-45-3P 883985-46-4P  
883985-47-5P 883985-48-6P 883985-49-7P  
883985-50-0P 883985-51-1P 883985-52-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability)

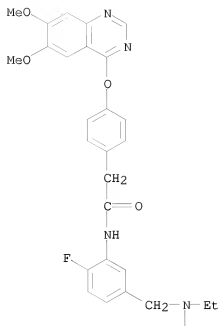
RN 883985-41-9 CAPLUS

CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[5-[(ethylamino)methyl]-2-fluorophenyl]- (CA INDEX NAME)



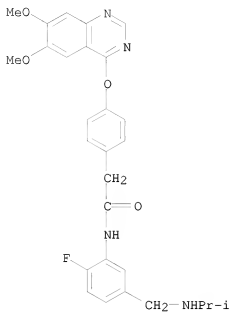
RN 883985-42-0 CAPLUS

CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[5-[(ethylmethylamino)methyl]-2-fluorophenyl]- (CA INDEX NAME)



RN 883985-43-1 CAPLUS

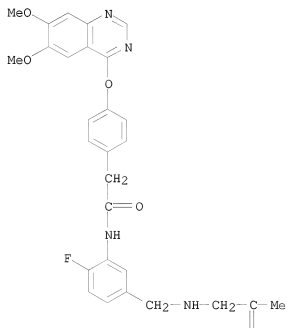
CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-[(1-methylethyl)amino]methyl]phenyl]- (CA INDEX NAME)



RN 883985-44-2 CAPLUS

CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-[(2-methyl-2-propen-1-yl)amino)methyl]phenyl]- (CA INDEX NAME)

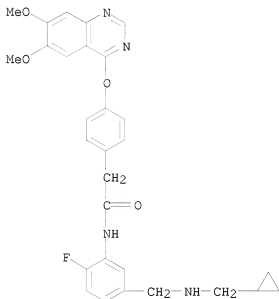
PAGE 1-A





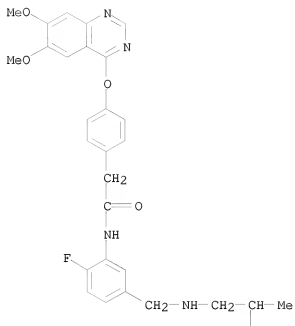
RN 883985-45-3 CAPLUS

CN Benzeneacetamide, N-[5-[[[(cyclopropylmethyl)amino]methyl]-2-fluorophenyl]-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]- (CA INDEX NAME)



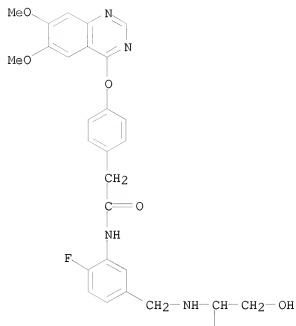
RN 883985-46-4 CAPLUS

CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-[(2-hydroxypropyl)amino]methyl]phenyl]- (CA INDEX NAME)



RN 883985-47-5 CAPLUS

CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-[(2-hydroxy-1-methylethyl)amino]methyl]phenyl)- (CA INDEX NAME)

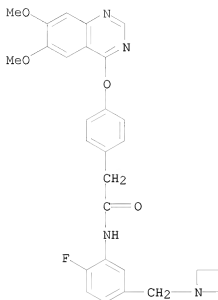


Me

RN 883985-48-6 CAPLUS

CN Benzeneacetamide, N-[5-(1-azetidinylmethyl)-2-fluorophenyl]-4-[(6,7-dimethoxy-4-quinazolinyl)oxy]- (CA INDEX NAME)

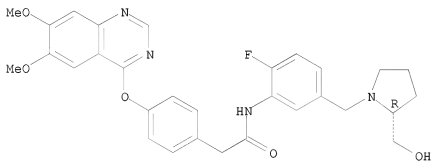
10/562,112



RN 883985-49-7 CAPLUS

CN Benzeacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-  
[[ (2R)-2-(hydroxymethyl)-1-pyrrolidinyl)methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

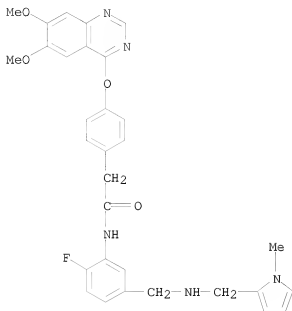


RN 883985-50-0 CAPLUS

CN Benzeacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-  
[[[(1-methyl-1H-pyrrol-2-yl)methyl]amino]methyl]phenyl]- (CA INDEX NAME)

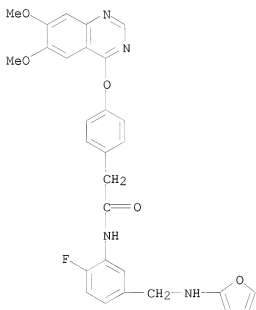


10/562,112



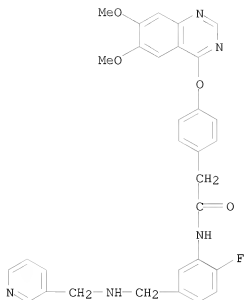
RN 883985-51-1 CAPLUS

CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-[(2-furanylamino)methyl]phenyl]- (CA INDEX NAME)

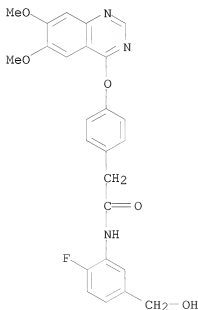


RN 883985-52-2 CAPLUS

CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-[(3-pyridinylmethyl)amino]methyl]phenyl]- (CA INDEX NAME)

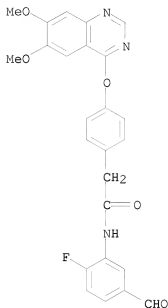


IT 883985-21-5P 883985-68-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of quinazoline derivs. for use in treatment of cell  
 proliferative disorders or disease associated with angiogenesis and/or  
 vascular permeability)  
 RN 883985-21-5 CAPLUS  
 CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-  
 (hydroxymethyl)phenyl]- (CA INDEX NAME)



RN 883985-68-0 CAPLUS

CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-(2-fluoro-5-formylphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 56 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:343955 CAPLUS

DOCUMENT NUMBER: 144:390936

TITLE: Aryl nitrogen-containing bicyclic compounds and their preparation, pharmaceutical compositions, and protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases

INVENTOR(S): Patel, Vinod F.; Kim, Joseph L.; Geuns-Meyer, Stephanie D.; Chaffee, Stuart C.; Cee, Victor J.; Hodous, Brian L.; Bellon, Steven; Harmange, Jean-Christophe; Olivieri, Philip R.; Thaman, Maya C.; Dimauro, Erin F.; Buchanan, John L.; McGowan, David C.; Albrecht, Brian K.; Deak, Holly L.; Bemis, Jean E.; White, Ryan; Martin, Matthew W.; Habgood, Gregory J.; Tempest, Paul A.; Masse, Craig E.; Buckner, William H.; Herberich, Bradley J.; Graceffa, Russell; Zhang, Dawei; Xu, Shimin; Sham, Kelvin; Rzasa, Robert M.; Falsey, James Richard; Chakrabarti, Partha P.; Cao, Guo-Qiang; Tomlinson, Susan Ann; Pettus, Liping H.; Smith, Adrian Leonard; Paras, Nick A.; Liu, Gang; Demorin, Frenel F.; Tasker, Andrew; Reed, Anthony

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 876 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

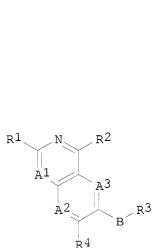
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

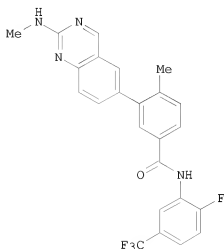
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
------------	------	------	-----------------	------

WO 2006039718	A2	20060413	WO 2005-US35873	20051003
WO 2006039718	A3	20060713		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20070054916	A1	20070308	US 2005-240590	20050930
AU 2005292152	A1	20060413	AU 2005-292152	20051003
CA 2582029	A1	20060413	CA 2005-2582029	20051003
EP 1836174	A2	20070926	EP 2005-818381	20051003
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
JP 2008515812	T	20080515	JP 2007-534914	20051003
MX 200703784	A	20070424	MX 2007-3784	20070329
PRIORITY APPLN. INFO.:			US 2004-615535P	P 20041001
			US 2005-240590	A 20050930
			WO 2005-US35873	W 20051003
OTHER SOURCE(S):	CASREACT 144:390936; MARPAT 144:390936			
GI				



I



II

AB The invention comprises a class of compds. of formula I useful for the prophylaxis and treatment of protein kinase mediated diseases, including inflammation, cancer and related conditions. Compds. of formula I wherein A1 and one of A2 and A3 are independently CR5 or N; B is a bond, CR5R6, CO, NR6, O, S, SO, or SO2; R1 is halo, haloalkyl, NO2, CN, H, NH2 and derivs., OH and derivs., SH and derivs., CHO and derivs., OC(O)R and derivs., CO2H and derivs., CONH2 and derivs., CSNH2 and derivs., NHCHO and derivs., NHC(S)H and derivs., NHCONH2 and derivs., NHCSNH2 and derivs., SO2H and derivs., SO2NH2 and derivs., etc.; R2, R4, and R5 are

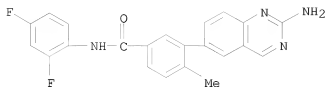
independently H, halo, haloalkyl, NO<sub>2</sub>, CN, OH and derivs., SH and derivs., NH<sub>2</sub> and derivs., CHO and derivs., CO<sub>2</sub>H and derivs., CONH<sub>2</sub> and derivs., NHCONH<sub>2</sub> and derivs., SO<sub>2</sub>H and derivs., SO<sub>2</sub>NH<sub>2</sub> and derivs., NHSO<sub>2</sub>H and derivs., (un)substituted C1-10 (hetero)alkyl, (un)substituted C2-10 alkenyl, (un)substituted C2-10 (hetero)alkynyl, (un)substituted 3- to 10-membered (hetero)cycloalkyl, (un)substituted 4- to 10-membered (hetero)cycloalkenyl, etc.; R<sub>3</sub> is (un)substituted (un)saturated 5- to 8-membered (hetero)monocyclic, (un)substituted (un)saturated 6- to 12-membered (hetero)bicyclic, or (un)substituted (un)saturated 7- to 14-membered (hetero)tricyclic rings; R<sub>6</sub> is H, (un)substituted C1-10 (hetero)alkyl, (un)substituted C2-10 (hetero)alkenyl, (un)substituted C2-10 (hetero)alkynyl, (un)substituted 3- to 10-membered (hetero)cycloalkyl, (un)substituted 4- to 10-membered (hetero)cycloalkenyl; and their stereoisomers, tautomers, solvates, pharmaceutically acceptable salts, derivs., and prodrugs thereof are claimed. Accordingly, the invention also comprises pharmaceutical compns. comprising the compds. of the invention, methods for the prophylaxis and treatment of kinase mediated diseases using the compds. and compns. of the invention, and intermediates and processes useful for the preparation of compds. of the invention. Example compound II was prepared by boration of 3-iodo-4-methylbenzoic acid with bis(pinacolato)diboron; the resulting 4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzoic acid was converted to the corresponding acid chloride, *in situ*, and reacted with 2-fluoro-5-trifluoromethylbenzeneamine to give N-(2-fluoro-5-fluoromethylphenyl)-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzamide, which underwent cross-coupling with 6-bromo-N-methylquinazolin-2-amine to give compound II. About 2000 invention compds. of formula I were prepared by similar procedures. All the invention compds. were tested for their protein kinase inhibitory activity. Example compound I along with many other invention compound showed good inhibitory activity. From the HTRF assay, the IC<sub>50</sub> values for inhibition of Tie-2 was determined to be less than or equal to 1 μM for some of the invention compds. For the inhibition of Lck kinase enzyme, the some of the exemplary compds. exhibited an average IC<sub>50</sub> value of 25 μM or less and some invention compound exhibited an IC<sub>50</sub> value of 1 μM or less, in the human HTRF assay. The invention compds. were also found to be active inhibitors of the VEGF kinase receptor. Furthermore, some of the invention compds. exhibited activities in the monocyte assay with IC<sub>50</sub> values of 25 μM or less. Various compds. of the invention have selective inhibitory activity for specific kinase receptor enzymes, including Tie-2, Lck, p38 and VEGFR/KDR. Accordingly, the compds. of the invention would be useful in therapy as antineoplasia agents, antiinflammatory agents, or to minimize deleterious effects of Tie-2, Lck, VEGF and/or p38.

IT 882668-13-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate and intermediate; preparation of aryl nitrogen-containing bicyclic compds. and their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

RN 882668-13-5 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-(2,4-difluorophenyl)-4-methyl-  
(CA INDEX NAME)



IT 882663-69-6P

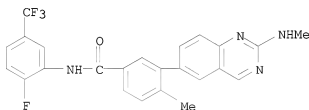
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate; preparation of aryl nitrogen-containing bicyclic compds.

and

their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

RN 882663-69-6 CAPLUS

CN Benzamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-[2-(methylamino)-6-quinazolinyl]- (CA INDEX NAME)



IT 882663-87-8P 882663-90-3P 882663-91-4P

882663-92-5P 882663-93-6P 882663-94-7P

882663-95-8P 882663-98-1P 882663-99-2P

882664-31-5P 882664-32-6P 882665-11-4P

882665-15-8P 882665-22-7P 882665-56-7P

882666-07-1P 882666-55-9P 882667-66-5P

882668-22-6P 882668-24-8P 882669-29-6P

882669-31-0P 882669-33-2P 882669-35-4P

882669-37-6P 882669-39-8P 882669-41-2P

882669-45-6P 882669-47-8P 882670-70-4P

882670-74-8P 882672-79-9P 882672-85-7P

882672-86-8P 882673-32-7P 882673-33-8P

882673-34-9P 882673-35-0P 882673-61-2P

882674-61-5P 882674-62-6P 882674-63-7P

882674-90-0P 882677-08-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

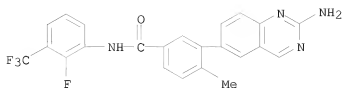
(drug candidate; preparation of aryl nitrogen-containing bicyclic compds.

and

their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

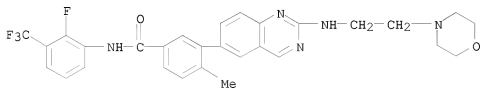
RN 882663-87-8 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-[2-fluoro-3-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



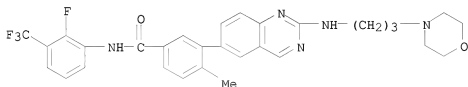
RN 882663-90-3 CAPLUS

CN Benamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-4-methyl-3-[2-[(2-(4-morpholinyl)ethyl)amino]-6-quinazoliny]- (CA INDEX NAME)



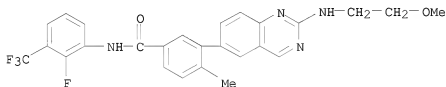
RN 882663-91-4 CAPLUS

CN Benamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-4-methyl-3-[2-[(3-(4-morpholinyl)propyl)amino]-6-quinazoliny]- (CA INDEX NAME)



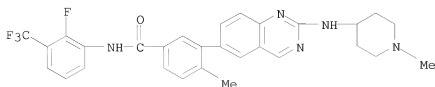
RN 882663-92-5 CAPLUS

CN Benamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-3-[2-[(2-methoxyethyl)amino]-6-quinazoliny]-4-methyl- (CA INDEX NAME)



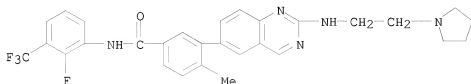
RN 882663-93-6 CAPLUS

CN Benamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-4-methyl-3-[2-[(1-methyl-4-piperidiny)amino]-6-quinazoliny]- (CA INDEX NAME)



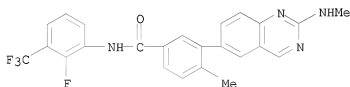
RN 882663-94-7 CAPLUS

CN Benzamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-4-methyl-3-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-6-quinazoliny]- (CA INDEX NAME)



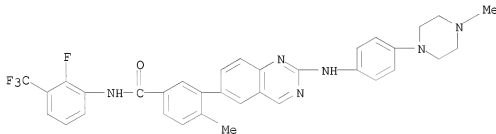
RN 882663-95-8 CAPLUS

CN Benzamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-4-methyl-3-[2-(methylamino)-6-quinazoliny]- (CA INDEX NAME)



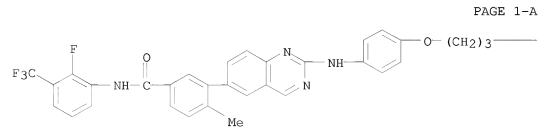
RN 882663-98-1 CAPLUS

CN Benzamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-4-methyl-3-[2-[[4-(4-methyl-1-piperazinyl)phenyl]amino]-6-quinazoliny]- (CA INDEX NAME)



RN 882663-99-2 CAPLUS

CN Benzamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-4-methyl-3-[2-[[4-[3-(1-piperidinyl)propoxy]phenyl]amino]-6-quinazoliny]- (CA INDEX NAME)



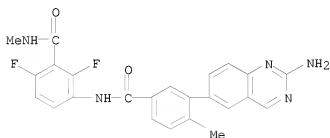
PAGE 1-A





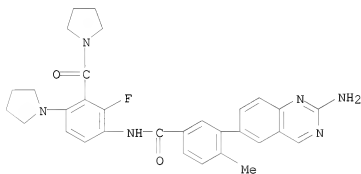
RN 882664-31-5 CAPLUS

CN Benzamide, 3-[[3-(2-amino-6-quinazolinyl)-4-methylbenzoyl]amino]-2,6-difluoro-N-methyl- (CA INDEX NAME)



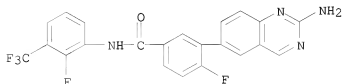
RN 882664-32-6 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-[2-fluoro-4-(1-pyrrolidinyl)-3-(1-pyrrolidinylcarbonyl)phenyl]-4-methyl- (CA INDEX NAME)



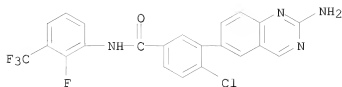
RN 882665-11-4 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-4-fluoro-N-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

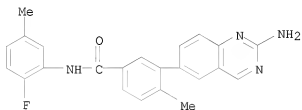


RN 882665-15-8 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-4-chloro-N-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)

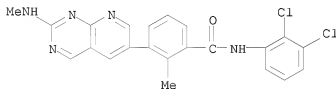


RN 882665-22-7 CAPLUS

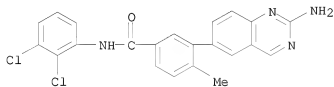
CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-(2-fluoro-5-methylphenyl)-4-methyl-  
(CA INDEX NAME)

RN 882665-56-7 CAPLUS

CN Benzamide, N-(2,3-dichlorophenyl)-2-methyl-3-[2-(methylamino)pyrido[2,3-d]pyrimidin-6-yl]- (CA INDEX NAME)

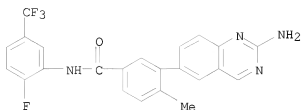


RN 882666-07-1 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-(2,3-dichlorophenyl)-4-methyl-  
(CA INDEX NAME)

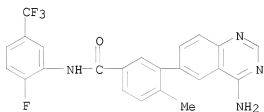
RN 882666-55-9 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



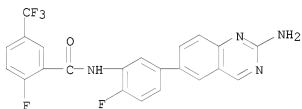
RN 882667-66-5 CAPLUS

CN Benzamide, 3-(4-amino-6-quinazolinyl)-N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



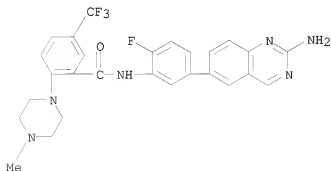
RN 882668-22-6 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)



RN 882668-24-8 CAPLUS

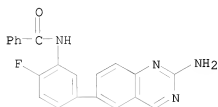
CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2-(4-methyl-1-piperazinyl)-5-(trifluoromethyl)- (CA INDEX NAME)



10/562,112

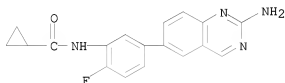
RN 882669-29-6 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]- (CA INDEX NAME)



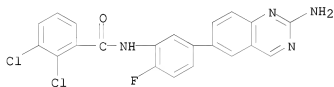
RN 882669-31-0 CAPLUS

CN Cyclopropanecarboxamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]- (CA INDEX NAME)



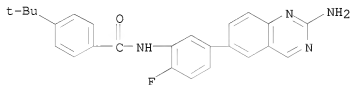
RN 882669-33-2 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2,3-dichloro- (CA INDEX NAME)



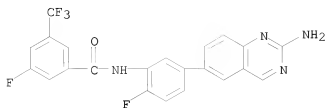
RN 882669-35-4 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-4-(1,1-dimethylethyl)- (CA INDEX NAME)

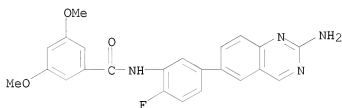


RN 882669-37-6 CAPLUS

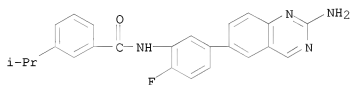
CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-3-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)



RN 882669-39-8 CAPLUS

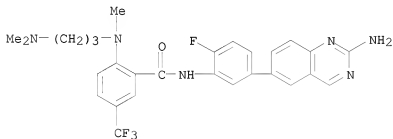
CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-3,5-dimethoxy-  
(CA INDEX NAME)

RN 882669-41-2 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-3-(1-methylethyl)-  
(CA INDEX NAME)

RN 882669-45-6 CAPLUS

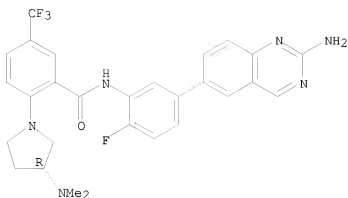
CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2-[[3-(dimethylamino)propylmethylamino]-5-(trifluoromethyl)- (CA INDEX NAME)



RN 882669-47-8 CAPLUS

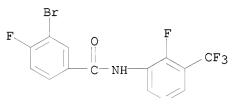
CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2-[(3R)-3-(dimethylamino)-1-pyrrolidinyl]-5-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.



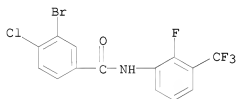
RN 882670-70-4 CAPLUS

CN Benzamide, 3-bromo-4-fluoro-N-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



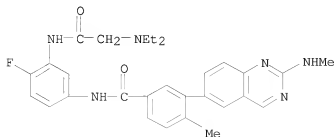
RN 882670-74-8 CAPLUS

CN Benzamide, 3-bromo-4-chloro-N-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



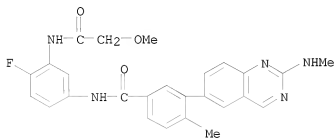
RN 882672-79-9 CAPLUS

CN Benzamide, N-[3-[[2-(diethylamino)acetyl]amino]-4-fluorophenyl]-4-methyl-3-[2-(methylamino)-6-quinazolinyl]- (CA INDEX NAME)



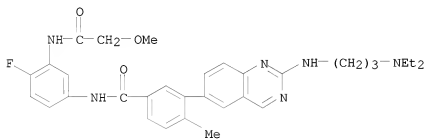
RN 882672-85-7 CAPLUS

CN Benzamide, N-[4-fluoro-3-[(2-methoxyacetyl)amino]phenyl]-4-methyl-3-[2-(methylamino)-6-quinazoliny]- (CA INDEX NAME)



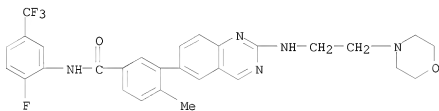
RN 882672-86-8 CAPLUS

CN Benzamide, 3-[2-[[3-(diethylamino)propyl]amino]-6-quinazoliny]-N-[4-fluoro-3-[(2-methoxyacetyl)amino]phenyl]-4-methyl- (CA INDEX NAME)



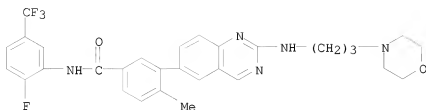
RN 882673-32-7 CAPLUS

CN Benzamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-[2-[[2-(4-morpholinyl)ethyl]amino]-6-quinazoliny]- (CA INDEX NAME)



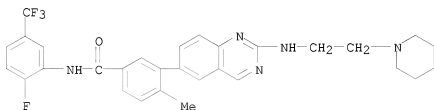
RN 882673-33-8 CAPLUS

CN Benzamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-[2-[[3-(4-morpholinyl)propyl]amino]-6-quinazoliny]- (CA INDEX NAME)



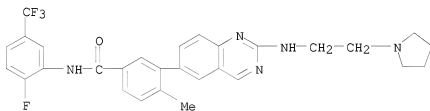
RN 882673-34-9 CAPLUS

CN Benamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-[2-[(1-piperidinyl)ethyl]amino]-6-quinazoliny]- (CA INDEX NAME)



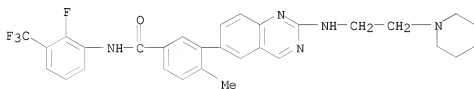
RN 882673-35-0 CAPLUS

CN Benamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-[2-[(1-pyrrolidinyl)ethyl]amino]-6-quinazoliny]- (CA INDEX NAME)



RN 882673-61-2 CAPLUS

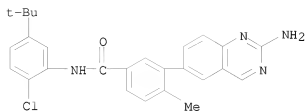
CN Benamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-4-methyl-3-[2-[(1-piperidinyl)ethyl]amino]-6-quinazoliny]- (CA INDEX NAME)



RN 882674-61-5 CAPLUS

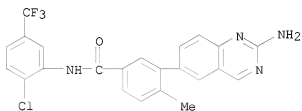
CN Benamide, 3-(2-amino-6-quinazoliny)-N-[2-chloro-5-(1,1-dimethylethyl)phenyl]-4-methyl- (CA INDEX NAME)





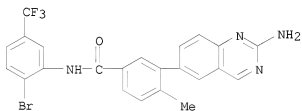
RN 882674-62-6 CAPLUS

CN Benamide, 3-(2-amino-6-quinazolinyl)-N-[2-chloro-5-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



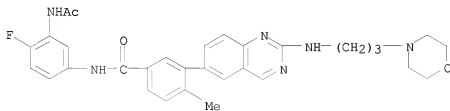
RN 882674-63-7 CAPLUS

CN Benamide, 3-(2-amino-6-quinazolinyl)-N-[2-bromo-5-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



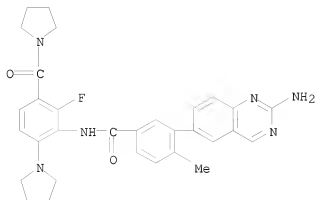
RN 882674-90-0 CAPLUS

CN Benamide, N-[3-(acetylamino)-4-fluorophenyl]-4-methyl-3-[2-[(3-(4-morpholinyl)propyl)amino]-6-quinazolinyl]- (CA INDEX NAME)



RN 882677-08-9 CAPLUS

CN Benamide, 3-(2-amino-6-quinazolinyl)-N-[2-fluoro-6-(1-pyrrolidinyl)-3-(1-pyrrolidinylcarbonyl)phenyl]-4-methyl- (CA INDEX NAME)



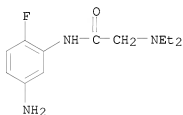
IT 882671-89-8P 882678-69-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of aryl nitrogen-containing bicyclic compds. and their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

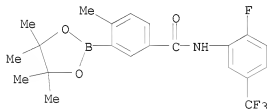
RN 882671-89-8 CAPLUS

CN Acetamide, N-(5-amino-2-fluorophenyl)-2-(diethylamino)- (CA INDEX NAME)



RN 882678-69-5 CAPLUS

CN Benzamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)- (CA INDEX NAME)



IT 882679-54-1 882679-65-4

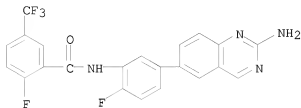
RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of aryl nitrogen-containing bicyclic compds. and their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

RN 882679-54-1 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2-fluoro-5-

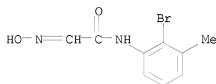
(trifluoromethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 882679-65-4 CAPLUS

CN Acetamide, N-(2-bromo-3-methylphenyl)-2-(hydroxyimino)- (CA INDEX NAME)



L3 ANSWER 57 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:341638 CAPLUS

DOCUMENT NUMBER: 144:370129

TITLE: Preparation of imidazo[1,5-a][1,2,4]triazolo[1,5-d][1,4]benzodiazepine derivatives selective for GABAA  $\alpha 5$  receptor binding sites and useful in treating cognitive disorders

INVENTOR(S): Knust, Henner; Stadler, Heinz; Thomas, Andrew William  
 PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 26 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060079507	A1	20060413	US 2005-245736	20051007
AU 2005293820	A1	20060420	AU 2005-293820	20051004
CA 2581918	A1	20060420	CA 2005-2581918	20051004
WO 2006040038	A1	20060420	WO 2005-EP10655	20051004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1809297 A1 20070725 EP 2005-797208 20051004  
 EP 1809297 B1 20080730

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

CN 101039678 A 20070919 CN 2005-80034851 20051004  
 JP 2008515941 T 20080515 JP 2007-536039 20051004  
 AT 402707 T 20080815 AT 2005-797208 20051004  
 MX 200704250 A 20070612 MX 2007-4250 20070410  
 KR 2007053324 A 20070523 KR 2007-708246 20070411  
 IN 2007CN01483 A 20070831 IN 2007-CN1483 20070412

PRIORITY APPLN. INFO.: EP 2004-105000 A 20041012  
 WO 2005-EP10655 W 20051004

OTHER SOURCE(S): CASREACT 144:370129; MARPAT 144:370129

GI

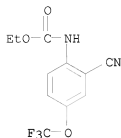
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention is concerned with a method of treating a disease selected from the group consisting of cognitive disorders, anxiety, Alzheimer's disease, and schizophrenia comprising administering a therapeutically effective amount of a substituted imidazo[1,5-a][1,2,4]triazolo[1,5-d][1,4]benzodiazepine derivs. of general formula I (wherein R1 = halogen, lower alkyl, lower alkynyl, cycloalkyl, lower alkoxy, OCF3, substituted amino; R2 = H, Me or (un)substituted aryl; R3 = H, lower alkyl, lower alkenyl, cycloalkyl, lower alkoxy, etc.; and n = 0-3) or their pharmaceutically acceptable salts. The invention also provides pharmaceutical compns. containing them as well as a process for preparing them. I selectively bind to the GABAA  $\alpha 5$  receptor binding site indicating their potential utility in treating cognitive disorders, particularly Alzheimer's disease. For example, II was prepared by reacting III with 3-phenylpropylmagnesium bromide to provide the 4-phenylbutanol which in turn was converted to the 4-phenylbutanone II. All I tested possessed a Ki value for displacement of (3H)flumazenil from  $\alpha 5$  subunits of the rat GABAA receptor of  $\leq 100$  nM.

IT 882517-84-2P, (2-Cyano-4-(trifluoromethoxyphenyl)carbamic acid ethyl ester  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of imidazo[1,5-a][1,2,4]triazolo[1,5-d][1,4]benzodiazepine derivs. selective for GABAA  $\alpha 5$  receptor binding sites and useful in treating cognitive disorders)

RN 882517-84-2 CAPLUS

CN Carbamic acid, [2-cyano-4-(trifluoromethoxy)phenyl]-, ethyl ester (9CI)  
 (CA INDEX NAME)



L3 ANSWER 58 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:333468 CAPLUS

DOCUMENT NUMBER: 144:350718

TITLE: Preparation of bicyclic antibiotics, particularly quinoline, naphthyridine, quinazoline and quinoxaline antibacterials

INVENTOR(S): Hubschwerlen, Christian; Surivet, Jean-Philippe; Zumbrunn Acklin, Cornelia

PATENT ASSIGNEE(S): Actelion Percurex AG, Switz.

SOURCE: PCT Int. Appl., 281 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

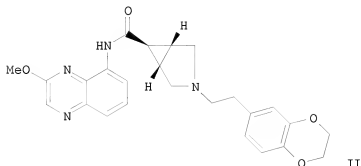
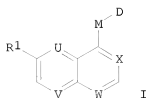
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006032466	A2	20060330	WO 2005-EP10154	20050920
WO 2006032466	A3	20061214		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
CA 2580621	A1	20060330	CA 2005-2580621	20050920
EP 1799676	A2	20070627	EP 2005-784860	20050920
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101035784	A	20070912	CN 2005-80032153	20050920
JP 2008514563	T	20080508	JP 2007-532823	20050920
PRIORITY APPLN. INFO.:				
			WO 2004-EP10762	A 20040924
			WO 2005-EP7731	A 20050715
			WO 2005-EP10154	W 20050920

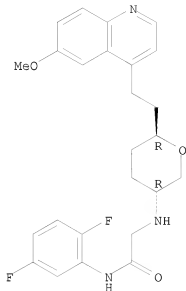
OTHER SOURCE(S): MARPAT 144:350718

GI



- AB Title compds. I [R1 = alkyl, halo/alkoxy, halo, CN; 1-2 of U, V, W, and X = N, the remaining = CH, or in case of U, V, and/or W may also represent CRa, and, in the case of X, may also represent CRb; Ra = halo; Rb = halo, alkoxy; D = alkyl, hetero/aryl; M = -A11-3-azabicyclo[3.1.0]hex-3-yl-A21-, (un)substituted -A3-tetrahydropyran-3-ylamino-A4-; -A1-1,3-dioxolo[4,5-c]pyran-7-yl-A2-, etc.; A11 = NHCO, OCH2, CH(OH)CH2, CH2CH2; A21 = CH2, CO, CH(OH), CH(OCONH2); A3 = NHCO, CH2CH2, CH:CH, etc.; A4 = CH2, CO, COCH:CH, etc.; A1 = NHCO, OCH2, CH2CH2, CH:CH, CH(OH)CH2; A2 = NHCH2, NHCO, COCH2, NHCH2CONH, etc.; and their prodrugs, tautomers, racemates, and their stereoisomers, and their meso and morphol. forms, salts and solvent complexes] were prepared for use in the treatment of bacterial infections. Thus, (1a, 5a, 6a)-II was prepared from (1a, 5a, 6a)-3-azabicyclo[3.1.0]hexane-3,6-dicarboxylic acid 3-benzyl ester and trifluoromethanesulfonic acid 3-methoxyquinoxalin-5-yl ester. Selected I ar active against a wide range of bacteria, including Gram-neg. and Gram-pos. bacteria and displayed min. inhibitory concentration values  $\leq 0.031$  mg/L.
- IT 881656-08-2P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(bactericide; preparation of bicyclic antibacterials)
- RN 881656-08-2 CAPLUS
- CN Acetamide, N-(2,5-difluorophenyl)-2-[[[(3R, 6R)-tetrahydro-6-[2-(6-methoxy-4-quinolinyl)ethyl]-2H-pyran-3-yl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 59 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:268466 CAPLUS

DOCUMENT NUMBER: 144:324798

TITLE: Simultaneous use of sulfonamide-containing compound and angiogenesis inhibitor

INVENTOR(S): Owa, Takashi; Ozawa, Yoichi; Semba, Taro

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 270 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006030941	A1	20060323	WO 2005-JP17228	20050913
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
WO 2006030947	A1	20060323	WO 2005-JP17238	20050913
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,			

ZA, ZM, ZW  
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM

US 20060135486 A1 20060622 US 2005-226655 20050913  
 EP 1797877 A1 20070620 EP 2005-785820 20050913

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,  
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,  
 BA, HR, MK, YU

PRIORITY APPLN. INFO.:  
 US 2004-609452P P 20040913  
 JP 2005-54150 A 20050228  
 JP 2005-54475 A 20050228  
 WO 2005-JP17238 W 20050913

OTHER SOURCE(S): MARPAT 144:324798

AB A pharmaceutical composition comprising a sulfonamide-containing compound combined

with an angiogenesis inhibitor.

IT 880252-32-4, 4-(4-(3-Ethylureido)-3-fluoro-phenoxy)-7-methoxyquinolin-6-carboxylic acid (2-aminoethyl)amide

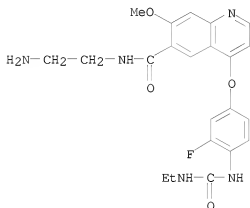
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(sulfonamide-containing compds. and angiogenesis inhibitors for combination chemotherapy of cancer)

RN 880252-32-4 CAPLUS

CN 6-Quinolinescarboxamide, N-(2-aminoethyl)-4-[4-  
 [[(ethylamino)carbonyl]amino]-3-fluorophenoxy]-7-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 60 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:256981 CAPLUS

DOCUMENT NUMBER: 145:505417

TITLE: Synthesis of dibenzo[b,g][1,5]diazoninedione and isoindolo[2,1-a]quinazoline derivatives

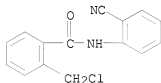
AUTHOR(S): Bakavoli, Mehdi; Davoodnia, Abolghasem; Rahimizadeh, Mohammad; Heravi, Majid M.

CORPORATE SOURCE: Department of Chemistry, School of Sciences, Ferdowsi University, Mashhad, 91779, Iran

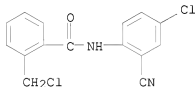
SOURCE: Mendelev Communications (2006), (1), 29-30



PUBLISHER: CODEN: MENCEX; ISSN: 0959-9436  
 DOCUMENT TYPE: Russian Academy of Sciences  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 145:505417  
 AB Starting from 2-aminobenzonitrile and 2-(chloromethyl)benzoyl chloride (I), a new synthetic pathway to 11H-isoindolo[2,1-a]quinazolin-5-one (II) is described. Reaction of 2-aminobenzamide with I leads to 5H-dibenzo[b,g][1,5]diazonine-4,6-dione which was easily converted to II in the presence of KOH in refluxing H<sub>2</sub>O-EtOH.  
 IT 914942-76-0P 914942-77-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of dibenzodiazoninedione and isoindoloquinazoline by cyclization of aminobenzamide and -benzonitrile with (chloromethyl)benzoyl chloride)  
 RN 914942-76-0 CAPLUS  
 CN Benzamide, 2-(chloromethyl)-N-(2-cyanophenyl)- (CA INDEX NAME)



RN 914942-77-1 CAPLUS  
 CN Benzamide, N-(4-chloro-2-cyanophenyl)-2-(chloromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 61 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:234769 CAPLUS  
 DOCUMENT NUMBER: 144:312101  
 TITLE: Quinazolines useful as modulators of ion channels, and their preparation, pharmaceutical compositions, and use as inhibitors of voltage-gated sodium channels, which is useful in treatment of various diseases  
 INVENTOR(S): Wilson, Dean, M.; Termin, Andreas, P.; Gonzalez, Jesus, E., III; Fanning, Lev, T., D.; Neubert, Timothy, D.; Krenitsky, Paul; Joshi, Pramod; Hurley, Dennis, J.; Sheth, Urvi; Boger, Joshua, S.  
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA  
 SOURCE: PCT Int. Appl., 480 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006028904	A1	20060316	WO 2005-US31146	20050831
WO 2006028904	A9	20060622		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005282761	A1	20060316	AU 2005-282761	20050831
CA 2578739	A1	20060316	CA 2005-2578739	20050831
US 20060154935	A1	20060713	US 2005-216899	20050831
US 20060173018	A1	20060803	US 2005-216376	20050831
EP 1784393	A1	20070516	EP 2005-807734	20050831
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
CN 101068794	A	20071107	CN 2005-80037496	20050831
BR 2005014893	A	20071127	BR 2005-14893	20050831
JP 2008511670	T	20080417	JP 2007-530356	20050831
MX 200702582	A	20080114	MX 2007-2582	20070302
IN 2007KN01123	A	20070713	IN 2007-KN1123	20070330
KR 2007057914	A	20070607	KR 2007-707601	20070402
PRIORITY APPLN. INFO.:				
			US 2004-607033P	P 20040902
			US 2004-607036P	P 20040902
			US 2004-607037P	P 20040902
			US 2004-607150P	P 20040902
			US 2004-607245P	P 20040902
			WO 2005-US31146	W 20050831
OTHER SOURCE(S): MARPAT 144:312101				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to compds. of formula I useful as inhibitors of voltage-gated sodium channels. Compounds of formula I wherein R1 and R2 are taken together with the nitrogen atom to form a substituted 4- to 10-membered diazacycloalkyl or substituted 4- to 10-membered azacycloalkyl; W is OH and derivs.; R3 and R5 are independently QRx; R3 is on the 6- or 7-position on the quinazoline ring; Q is a bond or C1-6 alkylidene chain wherein up to two non-adjacent methylene units is optionally and independently replaced by NH and derivs., S, O, CS, CO2, OC(O), CO, COCO, CONH and derivs., NHC(O) and derivs., NHC(O) and derivs., SO2NH and derivs., NHC(O) and derivs., CONHNH and derivs., NHCONH and derivs., OCONH and derivs., NHC(O) and derivs., NHC(O) and derivs., SO, SO2, PO, PO2, OP(O)(OH) and derivs., or P(OH) and derivs.; Rx is halo, =O, =NH and derivs., NO2, CN, OH and derivs., SH and derivs., NH2 and derivative,

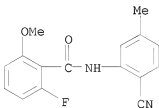
NHC(O)H and derivs., NHCONH<sub>2</sub> and derivs., NHCO<sub>2</sub>H and derivs., COH and derivs., CO<sub>2</sub>H and derivs., OCOH and derivs., CONH<sub>2</sub> and derivs., OCONH<sub>2</sub> and derivs., SOH and derivs., SO<sub>2</sub>NH<sub>2</sub> and derivs., NHSO<sub>2</sub>H and derivs., NHSO<sub>2</sub>NH<sub>2</sub> and derivs., COCOH and derivs., COCH<sub>2</sub>COH and derivs., OP(O)(OH)<sub>2</sub> and derivs., OP(O)<sub>2</sub>OH and derivs., P(O)<sub>2</sub>OH and derivs., POH<sub>2</sub> and derivs., OPOH<sub>2</sub> and derivs.; m and n are independently 0-4; and pharmaceutically acceptable salts or derivs. thereof are claimed. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention and methods of using the compns. in the treatment of various disorders. Example compound II was prepared by amidation of o-anisoyl chloride with 2-amino-4-methylbenzonitrile; the resulting N-(2-cyano-5-methylphenyl)-2-methoxybenzamide was cyclized to give 2-(2-methoxyphenyl)-7-methyl-3H-quinazolin-4-one, which was chlorinated to give 4-chloro-2-(2-methoxyphenyl)-7-methylquinazoline, which was demethylated; the resulting 4-chloro-2-(2-hydroxyphenyl)-7-methylquinazoline underwent substitution with piperazine to give the corresponding quinazolin-4-ylpiperazine derivative, which underwent acylation with (R)-2-hydroxy-4,4-dimethylpentanoic acid to give compound II. All the invention compds. were evaluated for their sodium channel inhibition activity. From the voltage-gated Na ion channel inhibition assay, it was determined that example compound II had an IC<sub>50</sub> value of < 2 μM.

IT 879274-77-8P 879275-06-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate; preparation of quinazolines useful as modulators or inhibitors of voltage-gated sodium channels, which is useful in treatment of various diseases)

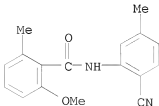
RN 879275-06-6 CAPLUS

CN Benzamide, N-(2-cyano-5-methylphenyl)-2-fluoro-6-methoxy- (CA INDEX NAME)



RN 879275-06-6 CAPLUS

CN Benzamide, N-(2-cyano-5-methylphenyl)-2-methoxy-6-methyl- (CA INDEX NAME)

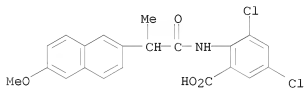


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 62 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:202382 CAPLUS

DOCUMENT NUMBER: 145:489192  
 TITLE: Propionic acids in organic synthesis: novel synthesis of benzimidazole, 3,1-benzoxazine, 3-aminoquinazoline and 3-aminothieno[2,3-d]pyrimidine derivatives containing 2-naphthyl propionyl moiety  
 AUTHOR(S): Al-Sehemi, Abdullah G. M.; El-Sharief, A. M. Sh; Ammar, Y. A.  
 CORPORATE SOURCE: Chemistry Department, Teacher's College, Abha, Saudi Arabia  
 SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2006), 45B(2), 450-455  
 CODEN: IJSBDB; ISSN: 0376-4699  
 PUBLISHER: National Institute of Science Communication and Information Resources  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 145:489192  
 AB Naproxenoyl chloride (I) is reacted with NH<sub>4</sub>SCN and Na<sub>3</sub>N to produce the acid isothiocyanate and acid azide, resp. Interaction of the isothiocyanate with 1,2-phenylenediamine and anthranilic acid produced the corresponding benzimidazole 5 and 3,1-benzoxazine, resp. Treatment of the acid azide with 4-toluidine afforded the corresponding urea derivative A novel quinazolinone is synthesized by acylation of Me anthranilate with I followed by treatment with N<sub>2</sub>H<sub>4</sub>.H<sub>2</sub>O.  
 IT 914398-03-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of naproxen-derived benzimidazole, benzoxazine, quinazolinamine, and thienopyrimidine)  
 RN 914398-03-1 CAPLUS  
 CN Benzoic acid, 3,5-dichloro-2-[[2-(6-methoxy-2-naphthalenyl)-1-oxopropyl]amino]- (CA INDEX NAME)



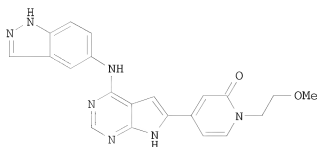
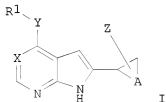
REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 63 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2006:152715 CAPLUS  
 DOCUMENT NUMBER: 144:233089  
 TITLE: Preparation of aryl-amino substituted pyrrolopyrimidine multi-kinase inhibiting compounds as antiproliferative, particularly antitumor agents  
 INVENTOR(S): Ahmed, Saleh; Barba, Oscar; Bloxham, Jason; Dawson, Graham; Gattrell, William; Kitchin, John; Pegg, Neil Anthony; Saba, Imaad; Shadiq, Shazia; Smith, Colin Peter Sambrook; Smyth, Don; Steinig, Arno G.; Wilkes, Robin; Foreman, Kenneth; Weng, Qinghua Felix; Stolz, Kathryn; Tavares, Paula; Panicker, Bijoy; Li, An-Hu; Dong, Hanqing; Ma, Lifu; Cox, Matthew  
 PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA

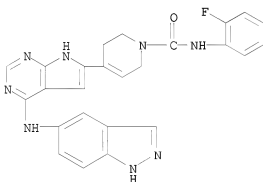
SOURCE: PCT Int. Appl., 253 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006017443	A2	20060216	WO 2005-US27274	20050801
WO 2006017443	A3	20070118		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
CA 2575808	A1	20060216	CA 2005-2575808	20050801
US 20060211678	A1	20060921	US 2005-194158	20050801
EP 1797054	A2	20070620	EP 2005-778352	20050801
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
CN 101052629	A	20071010	CN 2005-80033538	20050801
JP 2008508358	T	20080321	JP 2007-524889	20050801
BR 2005014094	A	20080527	BR 2005-14094	20050801
MX 200701399	A	20070418	MX 2007-1399	20070201
IN 2007CN00519	A	20070824	IN 2007-CN519	20070206
PRIORITY APPLN. INFO.:			US 2004-598173P	P 20040802
			US 2005-698516P	P 20050712
			WO 2005-US27274	W 20050801

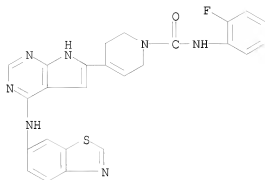
OTHER SOURCE(S): MARPAT 144:233089  
 GI



- AB Title compds. I [X = N, C-CN; A = 1,4-piperidinylene, 1,4-pyrazinylene, 1,2,3,6-tetrahydro-1,4-pyridinylene, etc.; Z = (un)substituted hetaryl, alkyloxyalkyl, alkylsulfonyl, dialkylamino, hetarylsulfonyl, etc.; Y = O, S, -N(alkyl)-, etc.; R1 = (un)substituted het-aryl, heterocyclyl; and their stereoisomers, and their pharmaceutically acceptable salts] were prepared as inhibitors of least two of the Abl, Aurora-A, Btk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mek1, PDK-1, GSK3 $\beta$ , EGFR, p70S6K, BMX, SGK, CaMKII, Tie-2, IGF-1R, Ron, Ret, and KDR kinases in animals, including humans, for the treatment and/or prevention of various diseases and conditions such as cancer. For example, Pd-coupling of (1H-indazol-5-yl)(6-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl)amine with [1-(2-methoxyethyl)-2-oxo-1,2-dihydropyridin-4-yl]boronic acid gave pyrrolopyrimidine II. In kinase inhibition studies, selected I inhibited at least 2 of the Abl, Aurora-A, Btk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mek1, PDK-1, GSK3 $\beta$ , EGFR, p70S6K, BMX, SGK, CaMKII, Tie-2, Ret and KDR kinases at an IC50 of greater than 50% inhibition at 10 to 14 nM.
- IT 876339-64-9P, 4-[4-[(1H-Indazol-5-yl)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]-3,6-dihydro-2H-pyridine-1-carboxylic acid N-(2-fluorophenyl)amide 876339-78-5P, 4-[4-[(1,3-Benzothiazol-6-yl)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]-N-(2-fluorophenyl)-3,6-dihydropyridine-1(2H)-carboxamide
- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (drug candidate; preparation of pyrrolopyrimidines multi-kinase inhibiting compds. as antitumor agents)
- RN 876339-64-9 CAPLUS
- CN 1(2H)-Pyridinecarboxamide, N-(2-fluorophenyl)-3,6-dihydro-4-[4-(1H-indazol-5-ylamino)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]- (CA INDEX NAME)



- RN 876339-78-5 CAPLUS
- CN 1(2H)-Pyridinecarboxamide, 4-[4-(6-benzothiazolylamino)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]-N-(2-fluorophenyl)-3,6-dihydro- (CA INDEX NAME)



L3 ANSWER 64 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:39815 CAPLUS

DOCUMENT NUMBER: 144:274228

TITLE: Acetonitrile-mediated synthesis of 2,4-dichloroquinoline from 2-ethynylaniline and 2,4-dichloroquinazoline from anthranilonitrile

AUTHOR(S): Lee, Jae Hak; Lee, Byoung Se; Shin, Hyunik; Nam, Do Hyun; Chi, Dae Yoon  
 CORPORATE SOURCE: Department of Chemistry, Inha University, Incheon, 402-751, S. Korea

SOURCE: Synlett (2006), (1), 65-68  
 CODEN: SYNLES; ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:274228

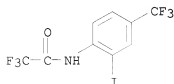
AB 2,4-Dichloroquinolines and 2,4-dichloroquinazolines were synthesized from 2-ethynylanilines and anthranilonitriles, resp., using diphosgene in acetonitrile and heating at 130 °C or 150 °C for 12 h. This reaction was applied to the synthesis of 4,6-dichloropyrazolo[3,4-d]pyrimidine (dichloro-9H-isopurine). The postulated mechanism is also described.

IT 878133-04-1 878133-05-2 878133-06-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of 2-ethynylanilines and anthranilonitriles from 2-iodo-N-trifluoroacetylphenylamines via Sonogashira reaction with trimethylsilylacetylene or nitration with cuprous cyanide)

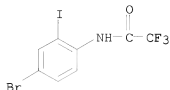
RN 878133-04-1 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[2-iodo-4-(trifluoromethyl)phenyl]- (CA INDEX NAME)



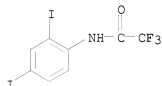
RN 878133-05-2 CAPLUS

CN Acetamide, N-(4-bromo-2-iodophenyl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 878133-06-3 CAPLUS

CN Acetamide, N-(2,4-diiodophenyl)-2,2,2-trifluoro- (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 65 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:20543 CAPLUS

DOCUMENT NUMBER: 144:292702

TITLE: Discovery of Novel and Potent Thiazoloquinazolines as Selective Aurora A and B Kinase Inhibitors

AUTHOR(S): Jung, Frederic H.; Pasquet, Georges; Van der Brempt, Christine Lambert; Lohmann, Jean-Jacques M.; Warin, Nicolas; Renaud, Fabrice; Germain, Herve; De Savi, Chris; Roberts, Nicola; Johnson, Trevor; Dousson, Cyril; Hill, George B.; Mortlock, Andrew A.; Heron, Nicola; Wilkinson, Robert W.; Wedge, Stephen R.; Heaton, Simon P.; Odedra, Rajesh; Keen, Nicholas J.; Green, Stephen; Brown, Elaine; Thompson, Katherine; Brightwell, Stephen

CORPORATE SOURCE: Centre de Recherches, AstraZeneca, Reims, 51689, Fr.  
SOURCE: Journal of Medicinal Chemistry (2006), 49(3), 955-970  
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:292702

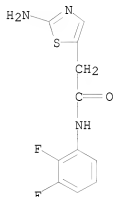
AB The synthesis of a novel series of quinazolines substituted at C4 by five-membered ring aminoheterocycles is reported. Their in vitro structure-activity relationships vs. Aurora A and B serine-threonine kinases is discussed. Our results demonstrate that quinazolines with a substituted aminothiazole at C4 possess potent Aurora A and B inhibitory activity and excellent selectivity against a panel of various serine-threonine and tyrosine kinases, as exemplified by N-(3-fluorophenyl)-2-[2-[[7-[3-[4-(hydroxymethyl)piperidin-1-yl]propoxy]-6-methoxy-quinazolinyl]amino]-1,3-thiazol-5-yl]acetamide (I). It was found also that the position and nature of the substituent on the thiazole play key roles in cellular potency. Comps. with an acetanilide substituent at C5' have the greatest cellular activity. The importance of the C5' position for substitution has been rationalized by ab initio MO calcs. Results show that the planar conformation with the sulfur of the thiazole next to the quinazoline N-3 is strongly favored over



the other possible planar conformation. I is a potent suppressor of the expression of phospho-histone H3 in tumor cells in vitro as well as in vivo, where I, administered as its phosphate prodrug suppresses the expression of phospho-histone H3 in s.c. implanted tumors in nude mice.

IT 878376-01-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (heterocyclization of aminothiazolylacetanilide derivative in preparation of (aminoalkoxy) [(heterocyclic)amino]quinazolines as inhibitors of aurora A and B kinase)

RN 878376-01-3 CAPLUS  
 CN 5-Thiazoleacetamide, 2-amino-N-(2,3-difluorophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 66 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 2005:1123776 CAPLUS  
 DOCUMENT NUMBER: 143:405917  
 TITLE: Preparation of quinazoline derivatives as protein kinase inhibitors  
 INVENTOR(S): Liang, Congxin  
 PATENT ASSIGNEE(S): The Scripps Research Institute, USA  
 SOURCE: PCT Int. Appl., 56 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097137	A2	20051020	WO 2005-US10974	20050331
WO 2005097137	A3	20060216		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,			

RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

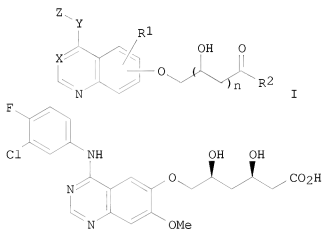
US 2004-558025P

P 20040331

OTHER SOURCE(S):

MARPAT 143:405917

GI



II

AB The title quinazoline derivs. I [wherein X = N or (un)substituted CH; Y = O or (un)substituted NH; Z = (un)substituted Ph, pyridinyl, indolyl, etc.; R1 = H, alkyl, alkoxy, cycloalkoxy, or heterocycloalkoxy; R2 = OH, alkoxy, cycloalkoxy, or (un)substituted NH2; n = 1 or 2] or pharmaceutically acceptable salts thereof were prepared as inhibitors of protein kinases. For example, the compound II•Na was prepared in a multi-step synthesis in good yield. I are useful in treating disorders related to abnormal protein kinase activities such as cancer (no data).

IT 1042446-97-8 1042447-00-6 1042447-03-9  
1042447-05-1 1042448-49-6 1042448-63-4  
1042448-72-5 1042448-73-6 1042448-74-7  
1042448-75-8 1042448-76-9 1042448-77-0  
1042448-79-2 1042448-81-6 1042448-82-7

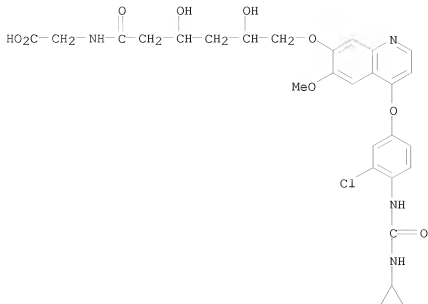
RL: PRPH (Prophetic)

(Preparation of quinazoline derivatives as protein kinase inhibitors)

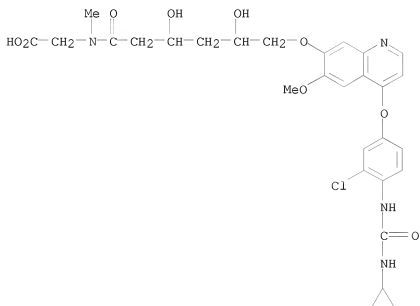
RN 1042446-97-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

10/562,112

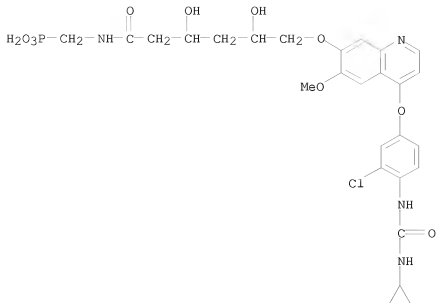


RN 1042447-00-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

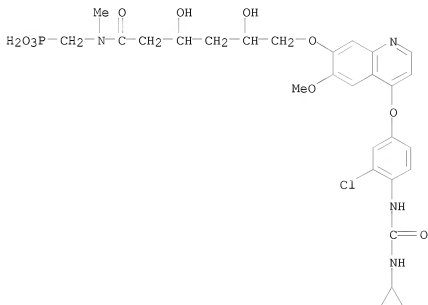


RN 1042447-03-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

10/562,112

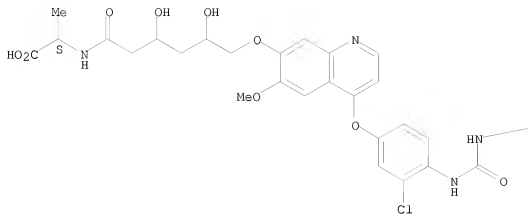


RN 1042447-05-1 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

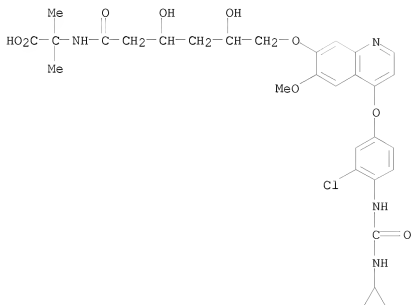


RN 1042448-49-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

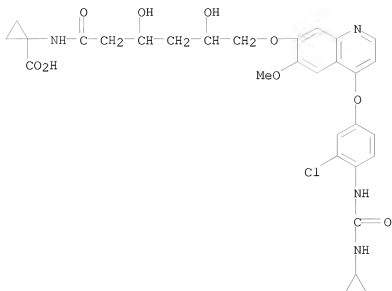


RN 1042448-63-4 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED

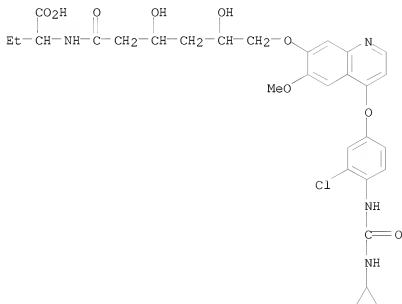


10/562,112

RN 1042448-72-5 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

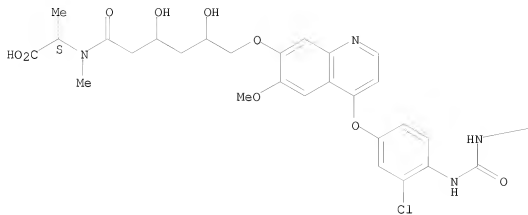


RN 1042448-73-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

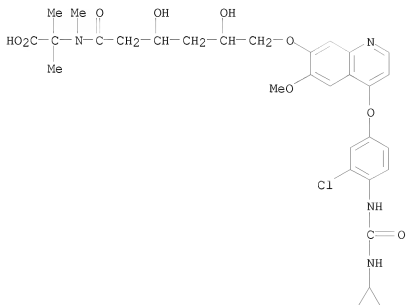


RN 1042448-74-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

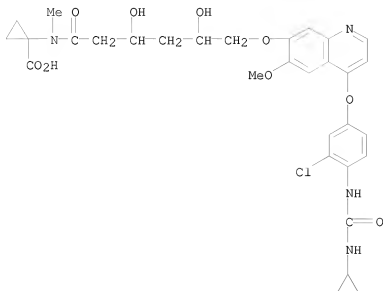


RN 1042448-75-8 CAPLUS  
 CN INDEX NAME NOT YET ASSIGNED



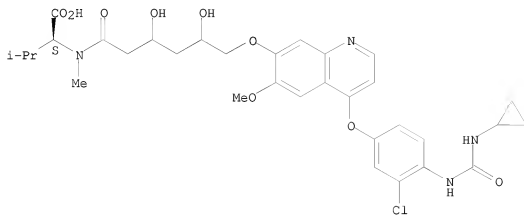
10/562,112

RN 1042448-76-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1042448-77-0 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

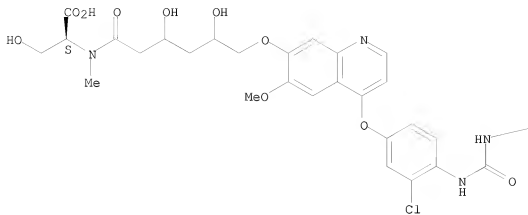
Absolute stereochemistry.



RN 1042448-79-2 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

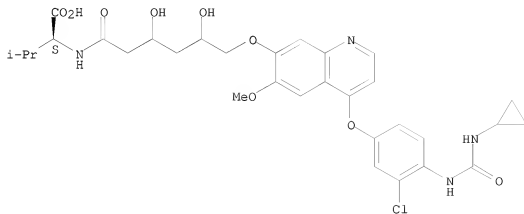
Absolute stereochemistry.





RN 1042448-81-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

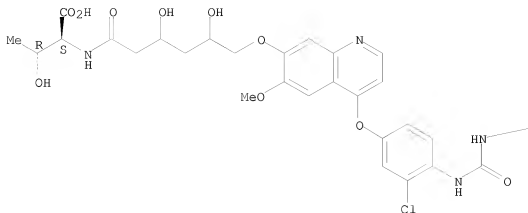
Absolute stereochemistry.



RN 1042448-82-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L3 ANSWER 67 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1123773 CAPLUS

DOCUMENT NUMBER: 143:405916

DOCUMENT NUMBER: 110700010  
TITLE: Preparation of quinazoline derivatives as  
protein kinase inhibitors  
INVENTOR(S): Liang, Congxin

PATENT ASSIGNEE(S): The Scripps Re

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

DOCUMENT TYPE: Facten  
LANGUAGE: Engli

LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 2

FAMILY ACC. NUM. COUNT: 2  
PATENT INFORMATION:

PATENT INFORMATION:

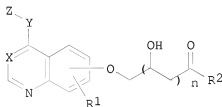
PATENT NO.		KIND	DATE	APPLICATION NO.	DATE
WO 2005097134		A2	20051020	WO 2005-US10968	20050331
WO 2005097134		A3	20060126		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EG, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EG, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

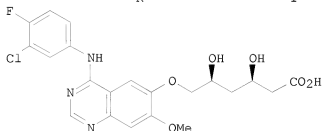
PRIORITY APPLN. INFO.: US 2004-558025P P 20040331

OTHER SOURCE(S): CASREACT 143:405916; MARPAT 143:405916

GI



I



II

AB The title quinazoline derivs. I [wherein X = N or (un)substituted CH; Y = O or (un)substituted NH; Z = (un)substituted Ph, pyridinyl, indolyl, etc.; R1 = H, alkyl, alkoxy, cycloalkoxy, or heterocycloalkoxy; R2 = OH, alkoxy, cycloalkoxy, or (un)substituted NH2; n = 1 or 2] or pharmaceutically acceptable salts thereof were prepared as inhibitors of protein kinases. For example, the compound II•Na was prepared in a multi-step synthesis in good yield. I are useful in treating disorders related to abnormal protein kinase activities such as cancer (no data).

IT 1042446-97-8 1042447-00-6 1042447-03-9  
 1042447-05-1 1042448-63-4 1042448-72-5  
 1042448-73-6 1042448-74-7 1042448-75-8  
 1042448-76-9 1042448-77-0 1042448-79-2

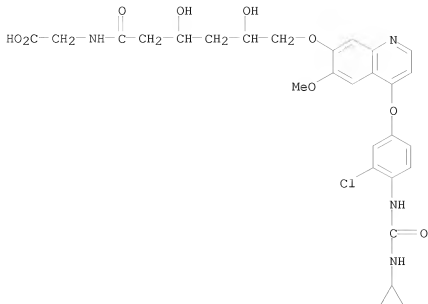
RL: PRPH (Prophetic)

(Preparation of quinazoline derivatives as protein kinase inhibitors)

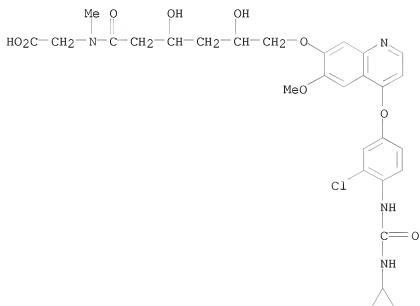
RN 1042446-97-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

10/562,112

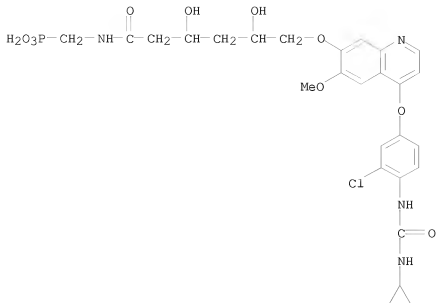


RN 1042447-00-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

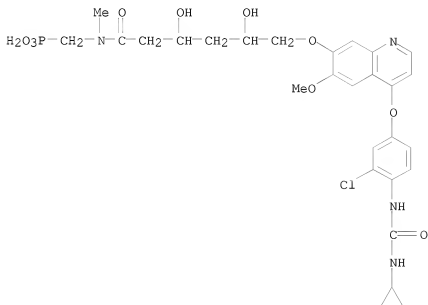


RN 1042447-03-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

10/562,112

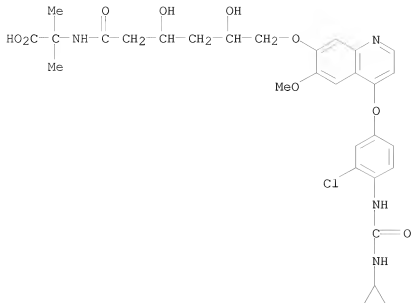


RN 1042447-05-1 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

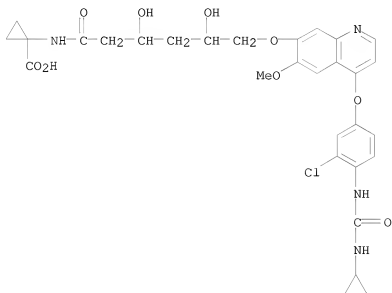


RN 1042448-63-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

10/562,112

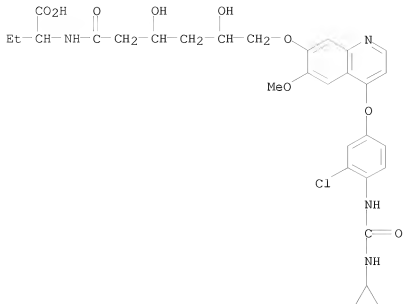


RN 1042448-72-5 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1042448-73-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

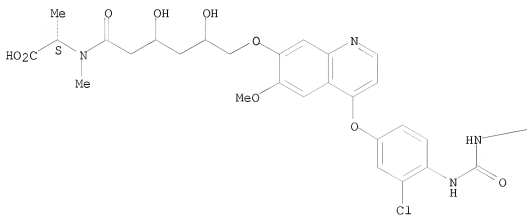
10/562,112



RN 1042448-74-7 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

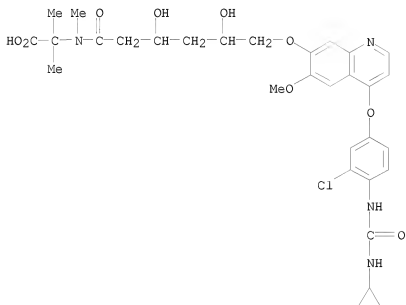
Absolute stereochemistry.

PAGE 1-A





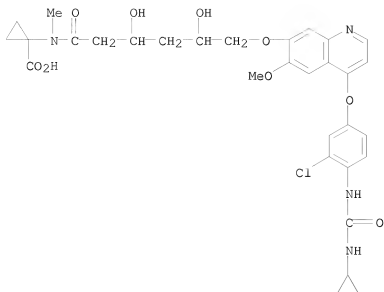
RN 1042448-75-8 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1042448-76-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

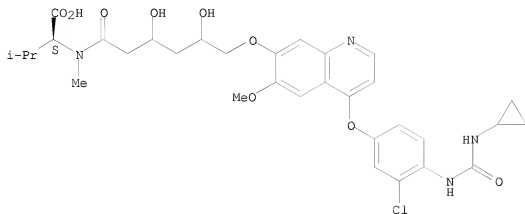


10/562,112



RN 1042448-77-0 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

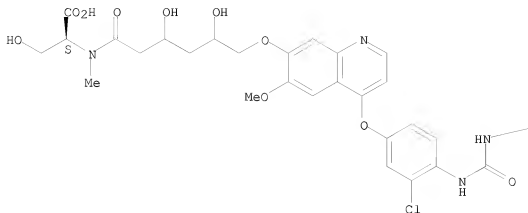
Absolute stereochemistry.



RN 1042448-79-2 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L3 ANSWER 68 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1964:454909 CAPLUS  
 DOCUMENT NUMBER: 61:54909  
 ORIGINAL REFERENCE NO.: 61:9515f-h, 9516a-h, 9517a-e, 9518a-b  
 TITLE: 5-Aryl-3H-1,4-benzodiazepin-2(1H)-ones  
 INVENTOR(S): Reeder, Earl; Sternbach, Leo H.  
 PATENT ASSIGNEE(S): Hoffmann-La Roche Inc.  
 SOURCE: 26 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 PATENT INFORMATION:

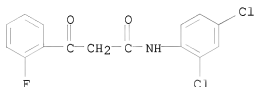
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3136815		19640609	US 1961-149527	19611102
CH 396016			CH	
DE 1199776			DE	
GB 972969			GB	
PRIORITY APPLN. INFO.:			CH	19601202

GI For diagram(s), see printed CA Issue.  
 AB I, II, III, and IV are prepared Thus, 26.2 g. 5,2-Cl(H2N)C6H3CPh:NOH (β-form) is treated with 12.4 g. ClCH2COCl in the presence of 3N NaOH to give 2-chloroacetamido-5-chlorobenzophenone β-oxime (V), m. 161-2°. V (6.4 g.) is treated 15 hrs. with 20 ml. N NaOH to give 7-chloro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one (VI) 4-oxide (VII). A

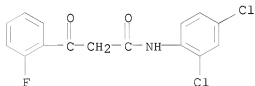
solution of 14.3 g. VII in 300 ml. dioxane is treated with H in the presence of 20 g. Raney Ni to give VI, m. 216-17° (Me<sub>2</sub>CO). A solution of 7.6 g. VII in 150 ml. HOAc is treated with H in the presence of 0.6 g. PtO<sub>2</sub> to give 7-chloro-4-hydroxy-5-phenyl-4,5-dihydro-3H-1,4-benzodiazepin-2(1H)-one, m. 215-16° (HOAc). A solution of 10.8 g. VI in 120 ml. HOAc is treated with H in the presence of 1.2 g. Pt oxide to give the 4,5-dihydro derivative, m. 184.5-5.5° (dilute HOCNMe<sub>2</sub>). Also prepared are the following I (R<sub>2</sub> = H): X, Ar, R, R<sub>1</sub>, m.p., X, Ar, R, R<sub>1</sub>, m.p.; Cl, Ph, Me, H, 188-9°; Me, Ph, H, H, 226-7°; Br, Ph, H, H, 230-1°; Me, Ph, H, Me, 234-5°; Br, p-tolyl, H, H, 237-8°; Cl, p-ClC<sub>6</sub>H<sub>4</sub>, H, H, 250-2°; Cl, Ph, allyl, H, 150-1°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, 248-9°; Cl, Ph, PhCH<sub>2</sub>, H, 151-2°; Cl, Ph, Et, H, 207-8°. Also prepared are the following II (R = R<sub>2</sub> = H): X, Ar, R<sub>1</sub>, and m.p. given): Br, p-tolyl, AcNMe, 209-10°; Br, p-tolyl, MeNH, 255-6°; Cl, p-ClC<sub>6</sub>H<sub>4</sub>, MeNH, 254-5°; Cl, p-ClC<sub>6</sub>H<sub>4</sub>, AcNMe, 191-2°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, MeNH, 247-8° (decomposition); Cl, Ph, AcNMe, 186-7°. Also prepared are the following III (R<sub>3</sub> = Z = H): X, Ar, R, R<sub>1</sub>, R<sub>2</sub>, m.p.; H, Ph, H, H, H, 182-3°; H, Ph, Me, H, H, 153.5-5.5°; Me, Ph, H, H, H, 209-10°; Me, Ph, H, H, Me, 210-11°; Cl, Ph, H, H, Cl, 207-8°; Cl, p-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 247-8°; Br, p-tolyl, H, H, H, 239-40°; Cl, Ph, Me, H, H, 125-6°; H, p-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 262-3°; Me, Ph, H, Me, H, 255-6°; Br, Ph, H, H, H, 220-1°; H, Ph, H, H, Cl, 174.5-6.5°; H, Ph, H, Cl, H, 214-15°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 199-201°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, H, 135-8°; Cl, o-tolyl, H, H, H, 180-1°; Cl, o-tolyl, Me, H, H, 137-9°; Cl, o-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 205-6°; Cl, m-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 200-1°; Br, o-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 187-8°; Cl, o-FC<sub>6</sub>H<sub>4</sub>, Me, H, H, --; Br, o-FC<sub>6</sub>H<sub>4</sub>, Me, H, H, 132-2.5°; Me, o-ClC<sub>6</sub>H<sub>4</sub>, H, Me, H, 259-60°; Cl, Ph, CH<sub>2</sub>OH, H, H, 201-2°; Cl, Ph, PhCH<sub>2</sub>, H, H, 174-5°; Cl, Ph, Et, H, H, 127-8°; Cl, Ph, allyl, H, H, 105-6°; H, Ph, H, Me, 184-5°; H, Ph, H, Me, H, 255-6°; Me, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 223-4°; H, o-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 180-1°; H, o-FC<sub>6</sub>H<sub>4</sub>, Me, H, H, 173-14°; Cl, p-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 223-4°; F, Ph, H, H, H, 197-8°; H, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 212-13°; H, o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, H, 135-7°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, HC:CH<sub>2</sub>, H, H, 140-2°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, iso-Pr, H, H, 148-50°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, allyl, H, H, 128-30°; Br, Ph, H, H, H, 219-20.5°; Me, Ph, H, H, H, 209-10°; Cl, m-tolyl, H, H, H, 148-9°; F, Ph, Me, H, H, 109-10°; Cl, p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, H, 154-6°; Cl, Ph, (CH<sub>2</sub>)<sub>2</sub>CN, H, H, 117-18°; Br, o-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 186-7°. Also prepared are the following IV: X, Ar, R, R<sub>1</sub>, m.p.; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, 235-7°; Cl, o-FC<sub>6</sub>H<sub>4</sub>, H, H, 214-15°; Br, o-FC<sub>6</sub>H<sub>4</sub>, H, H, 224-5°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, 168-71°; Cl, Ph, Me, H, 139-41°; H, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, 187-9°; H, o-ClC<sub>6</sub>H<sub>4</sub>, Me, Me, -- (1); H, o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, 177-80°; Br, Ph, H, H, 191-2°; Br, Ph, Me, Me, 166-72°; H, Ph, H, H, 147-8°; Me, Ph, H, H, 174-6°; Me, Ph, Me, Me, 71-3° (2); Cl, o-tolyl, H, H, 248-9°; Cl, o-tolyl, Me, Me, -- (3); H, o-FC<sub>6</sub>H<sub>4</sub>, H, H, 162-3°; Cl, Ph, Me, H, 144-5°; Cl, Ph, Me, allyl, 108.5-109°; Cl, Ph, allyl, allyl, -- (4); H, Ph, H, Me, -- (5); Cl, o-FC<sub>6</sub>H<sub>4</sub>, H, Me, 185.6°; Cl, o-FC<sub>6</sub>H<sub>4</sub>, Me, Me, 124-5°; Cl, Ph, H, Me, 205-5.5°; Cl, Ph, Me, Me, 90-1°; Br, o-ClC<sub>6</sub>H<sub>4</sub>, Me, Me, 134-5°; H, Ph, Me, Me, 115-16°; (1) HCl salt m. 240-1° (Me<sub>2</sub>CO-ether), (2) 4-MeI salt m. 160-1° (decomposition) (MeOH-ether), (3) HCl salt m. 197-215° (MeOH-ether), (4) HCl salt m. 190-1° (CH<sub>2</sub>Cl<sub>2</sub>-ether), (5) MeI salt m. 190-1° (EtOH) and 4-MeCl salt m. 199-201° (MeOH-ether). Also prepared are the following III (Z = R = R<sub>1</sub> = R<sub>2</sub> = H, X = Cl, Ar = Ph): (R<sub>3</sub> and m.p. given): Me, 220-1°; Ph, 269-70°; m-HOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 151-3°; iso-Bu,

213-14°; CH<sub>2</sub>OMe, 166-7°. Also prepared are the following (m.p. given): III (R = R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = X = H, Z = Cl, Ar = Ph), 243.5-45°; II [R = H, R<sub>1</sub> = AcNHMe, Ar = Ph, X = R<sub>2</sub> = Me], 193-4° (decomposition); 7-chloro-2-methylamino-5-phenyl-3H-1,4-benzodiazepine, 240-1°; 7-chloro-2-(N-methylacetamido)-5-phenyl-3H-1,4-benzodiazepine, 162°; 6-bromo-2-chloromethyl-4-(p-tolyl)quinazoline 3-oxide, 162-4°; 6-chloro-2-chloromethyl-4-(4-chloromethyl)quinazoline 3-oxide, 163-4°; 5-chloro-2-methyl-4H-3,1-benzoxazin-4-one, 143.5-46°; 6,2-Cl(AcNH)C<sub>6</sub>H<sub>3</sub>CO<sub>2</sub>H, --; 8-chloro-2-methyl-4H-3,1-benzoxazine-4-one, 131.5-2.5°; 2-methyl-7-chloro-4H-3,1-benzoxazin-4-one, --; 6-chloro-2-chloromethyl-4-(2-chlorophenyl)quinazoline 3-oxide, 140-3°; O-methylserine Et ester-HCl, --; o-(o-ClC<sub>6</sub>H<sub>4</sub>CO)C<sub>6</sub>H<sub>4</sub>NHCOCH<sub>2</sub>Br, 119-21°; o-(o-ClC<sub>6</sub>H<sub>4</sub>CO)C<sub>6</sub>H<sub>4</sub>NHCOCH<sub>2</sub>NH<sub>2</sub>, 162-4°. Also prepared were the following 2-X1C<sub>6</sub>H<sub>4</sub>COC<sub>6</sub>H<sub>2</sub>(NR1)R2X-2,3,5 VII1: R, R<sub>1</sub>, R<sub>2</sub>, X, X<sub>1</sub>, m.p.; H, ClCH<sub>2</sub>CO, H, Cl, H, 117-18°; H, H, Me, Me, H, 68-70°; H, Ac, Cl, Cl, H, 143-4°; H, H, Cl, Cl, H, 93-4°; H, MeCHBrCO, H, Cl, H, 114-15°; H, Ac, Cl, H, H, 129-31°; H, H, Cl, H, H, 56.8-58°; H, BrCH<sub>2</sub>CO, Cl, H, H, 129-30°; H, H, H, Cl, Cl, 88-9°; H, BrCH<sub>2</sub>CO, H, Cl, Cl, 136°; H, H<sub>2</sub>NCH<sub>2</sub>CO, H, Cl, Cl, 122-4°; H, H, H, Cl, Me, 50-5°; H, H, H, Cl, F, 94-5°; H, H, H, Br, F, 101-2°; H, BrCH<sub>2</sub>CO, H, Cl, F, 132.5-33°; H, H<sub>2</sub>NCH<sub>2</sub>CO, H, Cl, F, 115-15.5°; H, BrCH<sub>2</sub>CO, H, Br, F, 139-40°; H, H<sub>2</sub>NCH<sub>2</sub>CO, H, Br, F, 110-11°; Na, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, Cl, H, 298-9°; H, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, Cl, H, 120-1°; Me, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, Cl, H, 151-2°; H, Me, H, Cl, H, 95-6°; H, allyl, H, Cl, H, 76-7°; PhCH<sub>2</sub>, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, Cl, H, 116-18°; H, PhCH<sub>2</sub>, H, Cl, H, 86-7°; Me, BrCH<sub>2</sub>CO, H, Cl, H, 95-6°; allyl, BrCH<sub>2</sub>CO, H, Cl, H, 85-6°; PhCH<sub>2</sub>, BrCH<sub>2</sub>CO, H, Cl, H, 159-60°; H, Et, H, Cl, H, 56-7°; H, BrCH<sub>2</sub>CO, H, Cl, Me, 137-8°; H, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, Cl, Cl, 136-8°; Me, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, Cl, Cl, 145°, 153-5°; H, Me, H, Cl, Cl, 78-80°, 88-90°; H, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, Cl, F, 119-20°; Me, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, Cl, F, 151-2°; H, Me, H, Cl, F, 119-20°; H, H, Cl, Cl, H, 93-4°; H, H, Me, Cl, H, 88.5-90°; H, H, Me, H, H, 51-2°; H, BrCH<sub>2</sub>CO, Me, H, H, 117-18°; H, H, Me, F, 68.5-9.5°; H, H, H, Me, Cl, 106-7°; H, H, H, H, F, --; H, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, H, F, 129.5-30°; H, BrCH<sub>2</sub>CO, H, H, F, 117-18.5°; H, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, Br, F, 114-15°; Me, p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H, Br, F, 154-5°; H, Me, H, Br, F, 112-13°; H, H, H, Cl, Cl, 58-60°; H, ClCH<sub>2</sub>CO, H, Cl, Cl, 157-9°; H, BrCH<sub>2</sub>CO, H, Br, H, 117.5-18.5°; H, BrCH<sub>2</sub>CO, H, Me, H, 116-17°; H, BrCH<sub>2</sub>CO, H, F, H, 103-5°; Me, ClCH<sub>2</sub>CO, H, Cl, H, 123-4°; Me, ICH<sub>2</sub>CO, H, Cl, H, 95°; H, BrCH<sub>2</sub>CO, H, Br, F, 139-40°; H, H<sub>2</sub>NCH<sub>2</sub>CO, H, Br, F, 110-11°; H, ClCH<sub>2</sub>CO, H, Cl, F, 141-2°; H, BrCH<sub>2</sub>CO, H, H, H, 94-5°; H, BrCH<sub>2</sub>CO, Cl, Cl, H, 162-3°; (1) oxime m. 137-9° (C<sub>6</sub>H<sub>6</sub>-petr. ether). Also prepared were the following (m.p. given): p-[5,2-Br(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CO]C<sub>6</sub>H<sub>4</sub>Me, 105-6° (α-oxime m. 204-5°; β-oxime m. 115-16°), p-[5,2-Br(ClCH<sub>2</sub>CONH)C<sub>6</sub>H<sub>3</sub>CO]C<sub>6</sub>H<sub>4</sub>Me α-oxime, 179-80°; p-[5,2-Cl(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CO]C<sub>6</sub>H<sub>4</sub>Cl, 118-19° (α-oxime m. 151-4°); o-(p-ClC<sub>6</sub>H<sub>4</sub>CO)C<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>, 98-9°; 6,2-Cl(AcNH)C<sub>6</sub>H<sub>3</sub>Bz, --; 6,2-Cl(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>Bz, 101-2.5°; 6,2-Cl(BrCH<sub>2</sub>CONH)C<sub>6</sub>H<sub>3</sub>Bz, 97-8°; 4,2-Cl(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>Bz, 84-5°; 4,2-Me(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>Bz, 68-70°; p-[5,2-Cl(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CO]C<sub>6</sub>H<sub>4</sub>F, 108-9°; p-[5,2-Cl(p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NH)C<sub>6</sub>H<sub>3</sub>CO]C<sub>6</sub>H<sub>4</sub>F, 126-8°; p-[5,2-Cl(BrCH<sub>2</sub>CONH)C<sub>6</sub>H<sub>3</sub>CO]C<sub>6</sub>H<sub>4</sub>F, 97-8°; o-(o-ClC<sub>6</sub>H<sub>4</sub>CO)C<sub>6</sub>H<sub>4</sub>NO<sub>2</sub>, 76-9°; o-(o-ClC<sub>6</sub>H<sub>4</sub>CO)C<sub>6</sub>H<sub>4</sub>NH<sub>2</sub>, 58-60°; m-[5,2-Cl(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CO]C<sub>6</sub>H<sub>4</sub>Me, 90-1°; p-[5,2-Cl(BrCH<sub>2</sub>CO NH)C<sub>6</sub>H<sub>3</sub>CO]C<sub>6</sub>H<sub>4</sub>Cl,

127-8°; p-[5,2-Cl(H<sub>2</sub>NCH<sub>2</sub>CONH)C<sub>6</sub>H<sub>3</sub>CO]C<sub>6</sub>H<sub>4</sub>Cl, 139-40°.  
 IT 875252-06-5P, Acetanilide, 2,4-dichloro-2'-(o-fluorobenzoyl)-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 875252-06-5 CAPLUS  
 CN Benzenepropanamide, N-(2,4-dichlorophenyl)-2-fluoro-β-oxo- (CA INDEX NAME)

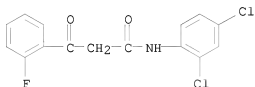


L3 ANSWER 69 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1963:20757 CAPLUS  
 DOCUMENT NUMBER: 58:20757  
 ORIGINAL REFERENCE NO.: 58:3436c-d  
 TITLE: Quinazolines and 1,4-benzodiazepines. VI. Halo-, methyl-, and methoxy-substituted 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones Sternbach, L. H.; Fryer, R. Ian; Metlesics, W.; Reeder, E.; Sach, G.; Saucy, G.; Stempel, A. Hoffmann-La Roche Inc., Nutley, NJ  
 CORPORATE SOURCE: Journal of Organic Chemistry (1962), 27, 3788-96  
 SOURCE: CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 OTHER SOURCE(S): CASREACT 58:20757  
 GI For diagram(s), see printed CA Issue.  
 AB Two new methods for the synthesis of 1,4-benzodiazepin-2-ones were reported. A number of new 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones (I), and intermediates leading to these compds. was described.  
 IT 875252-06-5P, Acetanilide, 2,4-dichloro-2'-(o-fluorobenzoyl)-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 875252-06-5 CAPLUS  
 CN Benzenepropanamide, N-(2,4-dichlorophenyl)-2-fluoro-β-oxo- (CA INDEX NAME)

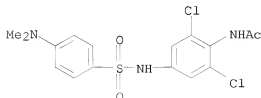


L3 ANSWER 70 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1963:20756 CAPLUS  
 DOCUMENT NUMBER: 58:20756  
 ORIGINAL REFERENCE NO.: 58:3436b-c  
 TITLE: Quinazolines and 1,4-benzodiazepines. V. o-Aminobenzophenones

AUTHOR(S): Sternbach, L. H.; Fryer, R. Ian; Metlesics, W.; Sach, G.; Stempel, A.  
 CORPORATE SOURCE: Hoffmann-La Roche Inc., Nutley, NJ  
 SOURCE: Journal of Organic Chemistry (1962), 27, 3781-8  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 OTHER SOURCE(S): CASREACT 58:20756  
 AB cf. CA 57, 14296c. A series of substituted o-aminobenzophenones was prepared. Some of these compds. were converted via their tosyl derivs. into N-mono-substituted o-aminobenzophenones. These primary and secondary amines were needed as intermediates for the synthesis of 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones.  
 IT 875252-06-5P, Acetanilide, 2,4-dichloro-2'-(o-fluorobenzoyl)-  
 RL: PREP (Preparation)  
 (preparation of)  
 RN 875252-06-5 CAPLUS  
 CN Benzenepropanamide, N-(2,4-dichlorophenyl)-2-fluoro- $\beta$ -oxo- (CA INDEX NAME)



L3 ANSWER 71 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN  
 ACCESSION NUMBER: 1949:47268 CAPLUS  
 DOCUMENT NUMBER: 43:47268  
 ORIGINAL REFERENCE NO.: 43:85288  
 TITLE: Chemotherapy of protozoal infections  
 AUTHOR(S): Ishii, Nobutaro  
 SOURCE: Japan. Med. J. (1948), 1, 30-51  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable  
 AB Correction to C.A. 43, 1484i where the journal name is incorrectly given Japan. J. Med.  
 IT 873401-06-0, Sulfanilamide 4'-acetamido-N4,N4-dimethyl-,  
 3',5'-dichloro-  
 (in protozoa infection therapy)  
 RN 873401-06-0 CAPLUS  
 CN Acetamide, N-[2,6-dichloro-4-[[[4-(dimethylamino)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



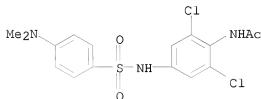
ACCESSION NUMBER: 1949:6843 CAPLUS  
 DOCUMENT NUMBER: 43:6843  
 ORIGINAL REFERENCE NO.: 43:1484i,1485a-h  
 TITLE: Chemotherapy of protozoal infections  
 AUTHOR(S): Ishii, Nobutaro  
 SOURCE: Japan. J. Med. (1948), 1, 30-51  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Unavailable

AB A great variety of synthetic chems. were tested for their ability to control 6 infections: Malaria. 53 sulfonamides of which sulfadibromobenzene and sulfadichlorobenzene showed chemotherapeutic indexes similar to quinine-HCl in canary malaria. The Br compound gave satisfactory results in 3 human cases of tertian malaria; 16 benzothiazole and 3 benzothiazolone-2 compds. all were neg. in canaries; 9 quinazalone compds., 14 quinazolines, 5 benzotriazoles, and 7 benzoquinolines were neg.; 16 di-Ph sulfones and related compds. were neg. in canaries except that the slight activity of promin was confirmed; 42 quinine derivs. (structures given) were tested. Some exhibited malaricidal activity in canaries and 6-aminodihydrocinchonidine was found satisfactory in 5 human tertian cases. Atebrin and plasmochin displayed the highest chemotherapeutic indexes, but a modified (asano) atebrin, was twice as good as atebrin in canary tests and proved satisfactory in 5 human tertian cases. Its structure is given. A compound prepared from quinine bisulfate and sulfadibromobenzene gave effective results in canaries and in 5 tertian human cases. Its index was 8, compared to 60 for asano. Cepharanthin (I), isotetrandin, and hypoeipistephanin act as provocatives for extra-erythrocytic plasmodia in canary malaria. The erythrocytic plasmodia appear within 1-2 h. after I injections but only after 20 h. with the other 2 drugs. The action of I is believed to be due to the fact that this drug stimulates endothelial cells. Complete cures of malaria were obtained by treatment with I in conjunction with malaricidal drugs. The latter act on the erythrocytic forms after they have been changed from extra-erythrocytic forms by I. In several human cases about 60% complete cures were obtained. Spirochetosis. The test system was Spirochaeta recurrentis (duttoni) in white mice; 43 sulfonamides were tested, with sulfapyridine and sulfathiazole being equally active, and sulfamethylthiazole being less active; 8 di-Ph sulfones and related compds. all were neg. Trypanosomiasis (Trypanosoma gambiense in white mice). Eight Sb compds. were compared with foudain and neostibosan. The following showed some activity, in the order named, but foudain was superior: 7-iodo-8-oxyquinoline-5-sulfonate Na Sb compound (II), 7-bromo-8-oxyquinoline-5-sulfonate Na Sb compound (III), 7-chloro-8-oxyquinoline-5-sulfonate Na Sb compound (IV), and 7,8-dioxyquinoline-5-sulfonate Na Sb compound; 41 sulfonamides had no action; 11 di-Ph sulfones of which 6 were effective, the most promising being 4,4'-diguandidinodiphenyl sulfoxide. Leishmaniasis. The above di-Ph sulfone gave fair results in 8 out of 9 human cases treated, but leucopenia resulted. Ten sulfonamides were ineffective in kala-azar infection of the striped squirrel; neostibosan gave complete cures in squirrels. Entamebiasis (in vitro tests using Endamoeba histolytica). II, III, and IV were about 3 times more effective than yatren (7-iodo-8-oxyquinoline-5-sulfonate); 31 sulfonamides were neg. with exception of 1-sulfanylamido-3,5-dichlorobenzene and 3-carboxy-4-aminoazobenzene-4'-sulfonamide with emetine about 10 times more active. Trichomoniasis. Of quinolines tested in vitro using Trichomonas hominis, II, III and IV were 10 times more active than yatren and slightly more than emetine; 36 sulfonamides were neg.; 11 di-Ph sulfones were tested, with 2 active, stilbene guanidine more so than stilbene amidine.

IT 873401-06-0, Sulfanilamide 4'-acetamido-N4,N4-dimethyl-,

10/562,112

3',5'-dichloro-  
(in protozoa infection therapy)  
RN 873401-06-0 CAPLUS  
CN Acetamide, N-[2,6-dichloro-4-[[4-(dimethylamino)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 16:24:22 ON 02 OCT 2008)

FILE 'REGISTRY' ENTERED AT 16:24:40 ON 02 OCT 2008

L1 STRUCTURE UPLOADED  
L2 214978 S L1 FULL

FILE 'CAPLUS' ENTERED AT 16:25:17 ON 02 OCT 2008

L3 72 S L2 AND QUINAZOL?

=> log y

COST IN U.S. DOLLARS

SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST

XXXXXXXXXXXXXXXXXXXX

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE TOTAL

ENTRY SESSION

CA SUBSCRIBER PRICE

-57.60

-57.60

STN INTERNATIONAL LOGOFF AT 16:27:53 ON 02 OCT 2008